



# STIC Search Report

## Biotech-Chem Library

STIC Database Tracking Number: 10/735561

**TO:** Dwayne C Jones  
**Location:** REM/3B87/3C70  
**Art Unit:** 1614  
**Monday, May 15, 2006**

**Case Serial Number:** 10/735561

**From:** Alex Waclawiw  
**Location:** Biotech-Chem Library  
**Rem 1A71**  
**Phone:** 272-2534

**Alexandra.waclawiw@uspto.gov**

Search Notes

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## Scientific and Technical Information Center

## SEARCH REQUEST FORM

Requester's Full Name: Dwayne C. Jones Examiner #: 71099 Date: 21 APR 06  
 Art Unit: 1614 Phone Number: 2-0571 Serial Number: 10735561  
 Location (Bldg/Room#): 3B17 (Mailbox #): 3620 Results Format Preferred (circle): PAPER DISK  
 \*\*\*\*\*  
LEM

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: please see attached sheet

Inventors (please provide full names):   

Earliest Priority Date: 07/04/01 13 DEC 2002

Search Topic:  
 Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search claims 1, 2.  
 And where R, R<sup>1</sup>, R<sup>2</sup> can be  
 And R<sup>1</sup> and R<sup>2</sup>

\*\*\*\*\*  
**STAFF USE ONLY**  
 Point of Contact: Alexandra Wadlawiw  
 Searcher: Alexandra Wadlawiw Technical Info. Specialist  
 Searcher Phone #: 305-231-3081  
 Searcher Location: \_\_\_\_\_  
 Date Searcher Picked Up: 5/15  
 Date Completed: 5/15  
 Searcher Prep & Review Time: 1:15  
 Online Time: 5:00

Type of Search  
 NA Sequence (#)  
 AA Sequence (#)  
 Structure (#)  
 Bibliographic  
 Litigation  
 Fulltext  
 Other

Vendors and cost where applicable  
 STN       Dialog  
 Questel/Orbit       Lexis/Nexis  
 Westlaw       WWW/Internet  
  
 In-house sequence systems  
 Commercial       Oligomer       Score/Length  
 Interference       SPDI       Encode/Transl  
 Other (specify) \_\_\_\_\_

37



Dwayne Jones 10/735,561

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=> d his ful

(FILE 'CAPLUS' ENTERED AT 12:12:47 ON 15 MAY 2006)  
DEL HIS Y

FILE 'REGISTRY' ENTERED AT 12:12:53 ON 15 MAY 2006  
ACT JONES/A

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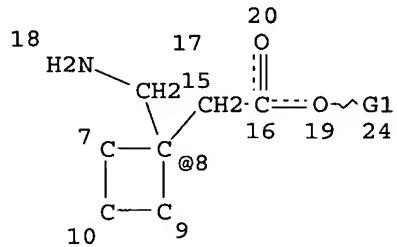
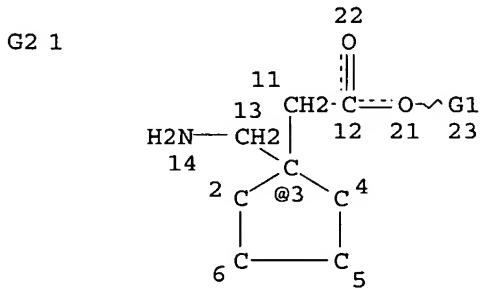
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| L4 (  | 270) SEA SSS FUL L3 |        |    |     |              |  |
| L5 (  | 48) SEA ABB=ON      | PLU=ON | L4 | AND | C10H19NO2    |  |
| L6 (  | 42) SEA ABB=ON      | PLU=ON | L5 | AND | C5/ES        |  |
| L7 (  | 36) SEA ABB=ON      | PLU=ON | L6 | AND | DIMETHYL     |  |
| L8    | 10 SEA ABB=ON       | PLU=ON | L7 | AND | 3 4 DIMETHYL |  |
| <hr/> |                     |        |    |     |              |  |
| L9    | 260 SEA ABB=ON      | PLU=ON | L2 | NOT | L8           |  |

FILE 'CAPLUS' ENTERED AT 12:16:52 ON 15 MAY 2006  
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L11 29 SEA ABB=ON PLU=ON L8  
L12 29 SEA ABB=ON PLU=ON L10 AND L11  
L13 1 SEA ABB=ON PLU=ON L12 AND (SLEEP DISOR?) /TI  
L14 28 SEA ABB=ON PLU=ON L12 NOT L13  
L15 18 SEA ABB=ON PLU=ON L10 NOT L12

=> d que sta 12

## L1 STR



Ak @25

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VAR G2=3/8  
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CONNECT IS E1 RC AT 25  
DEFAULT MLEVEL IS ATOM  
GGCAT IS LOC SAT AT 25  
DEFAULT FCLEVEL IS LIMITED
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GRAPH  
RSPEC T

NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE

Dwayne Jones 10/735,561

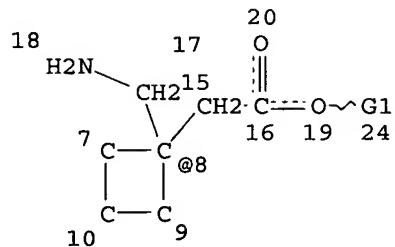
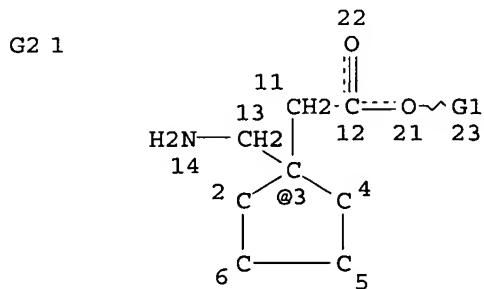
L2 270 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 1314 ITERATIONS  
SEARCH TIME: 00.00.01

270 ANSWERS

=> d que sta 18

L3 STR



Ak @25

VAR G1=25/H  
VAR G2=3/8

NODE ATTRIBUTES:

CONNECT IS E1 RC AT 25

DEFAULT MLEVEL IS ATOM

GGCAT IS LOC SAT AT 25

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE

L4 ( 270 SEA FILE=REGISTRY SSS FUL L3  
L5 ( 48) SEA FILE=REGISTRY ABB=ON PLU=ON L4 AND C10H19NO2  
L6 ( 42) SEA FILE=REGISTRY ABB=ON PLU=ON L5 AND C5/ES  
L7 ( 36) SEA FILE=REGISTRY ABB=ON PLU=ON L6 AND DIMETHYL  
L8 10 SEA FILE=REGISTRY ABB=ON PLU=ON L7 AND 3 4 DIMETHYL

=> fil reg  
FILE 'REGISTRY' ENTERED AT 12:27:45 ON 15 MAY 2006  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 14 MAY 2006 HIGHEST RN 884198-07-6  
DICTIONARY FILE UPDATES: 14 MAY 2006 HIGHEST RN 884198-07-6

Dwayne Jones 10/735,561

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

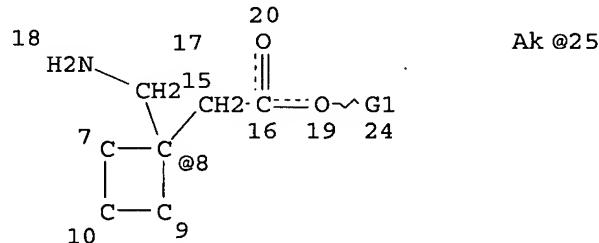
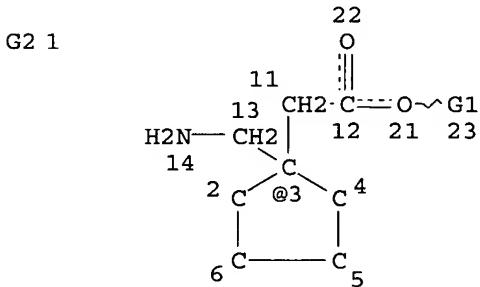
\* \*\*\*\*\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\* \*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=> d que sta l2  
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VAR G2=3/8

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DEFAULT MLEVEL IS ATOM

GGCAT IS LOC SAT AT 25

DEFALUT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 25

STEREO ATTRIBUTES : NONE

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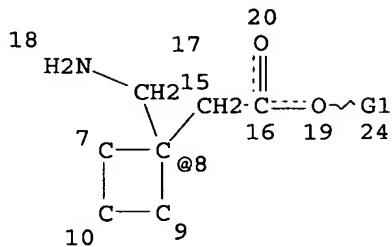
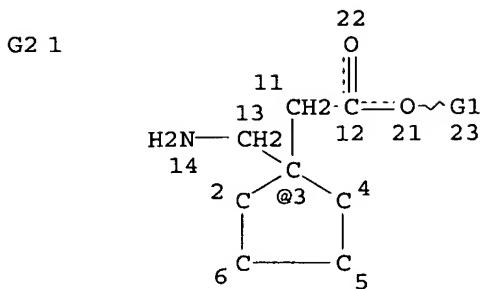
100.0% PROCESSED 1314 ITERATIONS  
SEARCH TIME: 00.00.01

270 ANSWERS

↳ claim 1

=&gt; d que sta 18

L3 STR



Ak @25

VAR G1=25/H

VAR G2=3/8

## NODE ATTRIBUTES:

CONNECT IS E1 RC AT 25

DEFAULT MLEVEL IS ATOM

GGCAT IS LOC SAT AT 25

DEFAULT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 25

## STEREO ATTRIBUTES: NONE

L4 ( 270) SEA FILE=REGISTRY SSS FUL L3  
 L5 ( 48) SEA FILE=REGISTRY ABB=ON PLU=ON L4 AND C10H19NO2  
 L6 ( 42) SEA FILE=REGISTRY ABB=ON PLU=ON L5 AND C5/ES  
 L7 ( 36) SEA FILE=REGISTRY ABB=ON PLU=ON L6 AND DIMETHYL  
 L8 10 SEA FILE=REGISTRY ABB=ON PLU=ON L7 AND 3 4 DIMETHYL

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FILE 'CAPLUS' ENTERED AT 12:27:52 ON 15 MAY 2006

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↳claim 2

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FILE COVERS 1907 - 15 May 2006 VOL 144 ISS 21

FILE LAST UPDATED: 14 May 2006 (20060514/ED)

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'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

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L1           STR
L2       270 SEA FILE=REGISTRY SSS FUL L1
L3           STR
L4   ( 270)SEA FILE=REGISTRY SSS FUL L3
L5   ( 48)SEA FILE=REGISTRY ABB=ON PLU=ON L4 AND C10H19NO2
L6   ( 42)SEA FILE=REGISTRY ABB=ON PLU=ON L5 AND C5/ES
L7   ( 36)SEA FILE=REGISTRY ABB=ON PLU=ON L6 AND DIMETHYL
L8       10 SEA FILE=REGISTRY ABB=ON PLU=ON L7 AND 3 4 DIMETHYL
L10      47 SEA FILE=CAPLUS ABB=ON PLU=ON L2
L11      29 SEA FILE=CAPLUS ABB=ON PLU=ON L8
L12      29 SEA FILE=CAPLUS ABB=ON PLU=ON L10 AND L11
L13      1 SEA FILE=CAPLUS ABB=ON PLU=ON L12 AND (SLEEP DISOR?)/TI
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these all include claim 2

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L1           STR
L2       270 SEA FILE=REGISTRY SSS FUL L1
L3           STR
L4   ( 270)SEA FILE=REGISTRY SSS FUL L3
L5   ( 48)SEA FILE=REGISTRY ABB=ON PLU=ON L4 AND C10H19NO2
L6   ( 42)SEA FILE=REGISTRY ABB=ON PLU=ON L5 AND C5/ES
L7   ( 36)SEA FILE=REGISTRY ABB=ON PLU=ON L6 AND DIMETHYL
L8       10 SEA FILE=REGISTRY ABB=ON PLU=ON L7 AND 3 4 DIMETHYL
L10      47 SEA FILE=CAPLUS ABB=ON PLU=ON L2
L11      29 SEA FILE=CAPLUS ABB=ON PLU=ON L8
L12      29 SEA FILE=CAPLUS ABB=ON PLU=ON L10 AND L11
L13      1 SEA FILE=CAPLUS ABB=ON PLU=ON L12 AND (SLEEP DISOR?)/TI
L14      28 SEA FILE=CAPLUS ABB=ON PLU=ON L12 NOT L13
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L1           STR
L2       270 SEA FILE=REGISTRY SSS FUL L1
L3           STR
L4   ( 270)SEA FILE=REGISTRY SSS FUL L3
L5   ( 48)SEA FILE=REGISTRY ABB=ON PLU=ON L4 AND C10H19NO2
L6   ( 42)SEA FILE=REGISTRY ABB=ON PLU=ON L5 AND C5/ES
L7   ( 36)SEA FILE=REGISTRY ABB=ON PLU=ON L6 AND DIMETHYL
L8       10 SEA FILE=REGISTRY ABB=ON PLU=ON L7 AND 3 4 DIMETHYL
L10      47 SEA FILE=CAPLUS ABB=ON PLU=ON L2
L11      29 SEA FILE=CAPLUS ABB=ON PLU=ON L8
L12      29 SEA FILE=CAPLUS ABB=ON PLU=ON L10 AND L11
L15      18 SEA FILE=CAPLUS ABB=ON PLU=ON L10 NOT L12
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=> d .ca l13 1; d .ca histr l14 1-28;d .ca hitstr l15 1-18

L13 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2002:10269 CAPLUS  
 DOCUMENT NUMBER: 136:79785  
 TITLE: Gabapentin analogs for sleep disorders  
 INVENTOR(S): Bryans, Justin Stephen; Meltzer, Leonard Theodore  
 PATENT ASSIGNEE(S): Warner-Lambert Co., USA  
 SOURCE: PCT Int. Appl., 36 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1

claim 1 set no +  
 Structures of claim 2

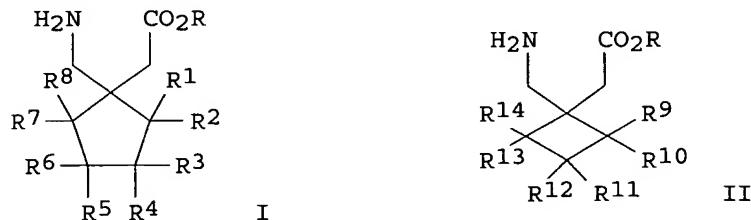
## PATENT INFORMATION:

| PATENT NO.             | KIND  | DATE     | APPLICATION NO. | DATE       |
|------------------------|---|----------|-----------------|------------|
| WO 2002000209          | A2  | 20020103 | WO 2001-US16343 | 20010518   |
| WO 2002000209          | A3  | 20030116 |                 |            |
|                        | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |            |
|                        | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |          |                 |            |
| CA 2414008             | AA  | 20020103 | CA 2001-2414008 | 20010518   |
| EP 1296671             | A2  | 20030402 | EP 2001-939192  | 20010518   |
|                        | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          |                 |            |
| BR 2001011913          | A   | 20040106 | BR 2001-11913   | 20010518   |
| JP 2004501189          | T2  | 20040115 | JP 2002-504991  | 20010518   |
| NZ 522480              | A   | 20050624 | NZ 2001-522480  | 20010518   |
| ZA 2002009418          | A   | 20050310 | ZA 2002-9418    | 20021119   |
| US 2003212133          | A1  | 20031113 | US 2002-297827  | 20021211   |
| PRIORITY APPLN. INFO.: |   |          | US 2000-214171P | P 20000626 |
|                        |   |          | WO 2001-US16343 | W 20010518 |

OTHER SOURCE(S): MARPAT 136:79785

ED Entered STN: 04 Jan 2002

GI



AB The invention provides a new use of compds. I [R = H, lower alkyl; R1-R8 = H, (un)branched C1-6 alkyl, Ph, benzyl, F, Cl, Br, OH, etc.] and II [R = H, lower alkyl; R9-R14 = H, (un)branched C1-6 alkyl, Ph, benzyl, F, Cl, Br, OH, etc.], or a pharmaceutically acceptable salt thereof. The compds. are useful in the treatment of insomnia and related disorders.

IC ICM A61K031-00

CC 1-11 (Pharmacology)

IT 60142-96-3D, Gabapentin, analogs 223425-82-9 223425-83-0

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 223445-87-2 313651-33-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (gabapentin analogs for sleep disorders)

IT 342652-27-1 385800-31-7 385800-39-5  
 385800-40-8 385800-41-9 385800-82-8  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (gabapentin analogs for sleep disorders)

=> d .ca hitstr l14 1-28;d .ca hitstr l15 1-18

L14 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2005:1170963 CAPLUS  
 DOCUMENT NUMBER: 143:440755  
 TITLE: Combinations comprising  $\alpha$ -2- $\delta$  ligands and NMDA receptor antagonists  
 INVENTOR(S): Hizue, Masanori; Imai, Aki; Toide, Katsuo  
 PATENT ASSIGNEE(S): Pfizer Japan, Inc., Japan; Pfizer Inc.  
 SOURCE: PCT Int. Appl., 69 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

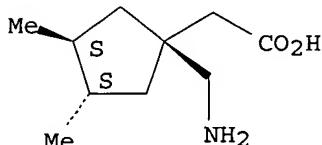
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2005102390   | A2   | 20051103 | WO 2005-IB988   | 20050411 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,<br>LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,<br>NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL,<br>SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,<br>ZM, ZW |      |          |                 |          |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,<br>AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,<br>EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,<br>RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,<br>MR, NE, SN, TD, TG  |      |          |                 |          |

PRIORITY APPLN. INFO.: US 2004-564374P P 20040422  
 ED Entered STN: 03 Nov 2005  
 AB The invention relates to a synergistic combination of an  $\alpha$ -2- $\delta$  ligand and an NMDA receptor antagonist (preferably an NR2B antagonist) or pharmaceutically-acceptable salts, esters or pharmaceutical compns. and their use in the treatment of pain, particularly neuropathic pain, and disorders of the central nervous system. Synthetic examples describe the preparation of  $\alpha$ -2- $\delta$  ligands, e.g., (3R,4R,5R)-3-amino-4,5-

dimethylheptanoic acid, useful in the combinations of the invention. The combination of 3-methylgabapentin as  $\alpha$ -2- $\delta$  ligand and (-)-(R)-6-[2-[4-(3-fluorophenyl)-4-hydroxy-1-piperidinyl]-1-hydroxyethyl]-3,4-dihydro-2(1H)-quinolinone as NR2B antagonist produced synergy in ability to relieve neuropathic pain.

IC ICM A61K045-06  
 ICS A61K031-195; A61P029-00  
 CC 34-2 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 1, 63  
 IT 125-71-3, Dextromethorphan 6740-88-1, Ketamine 19982-08-2, Memantine 23210-56-2, Ifenprodil 60142-96-3, Gabapentin 134234-12-1, Traxoprodil 148553-50-8, Pregabalin 196608-53-4 202914-18-9, CHF-3381 223445-75-8 227625-35-6 227626-51-9 313651-33-1 335458-65-6 473924-33-3 610300-07-7 610300-19-1 610300-20-4 686766-42-7 686766-43-8 686766-87-0 688007-58-1 868561-90-4  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (combinations comprising  $\alpha$ -2- $\delta$  ligands and NMDA receptor antagonists)  
 IT 223445-75-8  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (combinations comprising  $\alpha$ -2- $\delta$  ligands and NMDA receptor antagonists)  
 RN 223445-75-8 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2005:1170698 CAPLUS  
 DOCUMENT NUMBER: 143:446634  
 TITLE: Combinations comprising EP4-receptor antagonists and  $\alpha$ 2 $\delta$  ligands for treating pain  
 INVENTOR(S): Audoly, Laurent Pascal  
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
 SOURCE: PCT Int. Appl., 267 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| WO 2005102389  | A2   | 20051103 | WO 2005-IB935   | 20050408 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, |      |          |                 |          |

NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-563863P P 20040420

ED Entered STN: 03 Nov 2005

AB The present invention relates to a combination of an EP4-receptor antagonist (e.g. 4-[[[5-fluoro-2-(4-fluorophenoxy)pyridin-3-yl]carbonyl]amino]methyl]benzoic acid) and an  $\alpha\delta$  ligand (e.g. pregabalin), and pharmaceutically acceptable salts thereof, pharmaceutical compns. thereof and their use in the treatment of pain, particularly inflammatory, neuropathic, visceral and nociceptive pain. Although neither the compds. nor the methods of preparation are claimed, many example preps. (many of which are reproduced from previously published patents) are included. 4-[(1S)-1-[[[5-chloro-2-(3-fluorophenoxy)pyridin-3-yl]carbonyl]amino]ethyl]benzoic acid and pregabalin were tested for effectiveness against carrageenan-induced mech. hyperalgesia and the combination was significantly more effective than either substance alone.

IC ICM A61K045-06

ICS A61K031-196; A61P025-02; A61P029-00

CC 63-5 (Pharmaceuticals)

Section cross-reference(s): 1, 25, 27

IT 60142-96-3, Gabapentin 148553-50-8, Pregabalin 223445-75-8, ((3S,4S)-1-Aminomethyl-3,4-dimethylcyclopentyl)acetic acid 227625-35-6, 3-[(1-Aminomethylcyclohexyl)methyl]-4H-[1,2,4]oxadiazol-5-one 227626-51-9, [[1-(1H-Tetrazol-5-ylmethyl)cycloheptyl]methyl]amine 313651-33-1, (3S,5R)-3-Aminomethyl-5-methyloctanoic acid 335458-65-6, [(1 $\alpha$ ,3 $\alpha$ ,5 $\alpha$ )-3-Aminomethylbicyclo[3.2.0]hept-3-yl]acetic acid 415904-13-1, 4-(6-Chloro-2-ethyl-5-trifluoromethyl-1H-benzimidazol-1-yl)phenethyl [(4-methylphenyl)sulfonyl]carbamate 415906-01-3, 2-[4-[2-(1,1-Dimethylethyl)-4,6-dimethyl-1H-imidazo[4,5-c]pyridin-1-yl]phenyl]ethyl [(4-methylphenyl)sulfonyl]carbamate 415906-55-7, 2-[4-[6-Chloro-2-ethyl-5-(trifluoromethyl)-1H-benzimidazol-1-yl]phenyl]ethyl [(5-methyl-2-pyridinyl)sulfonyl]carbamate 415906-57-9, 2-[5-[6-Chloro-2-ethyl-5-(trifluoromethyl)-1H-benzimidazol-1-yl]-2-pyridinyl]ethyl [(4-methylphenyl)sulfonyl]carbamate 415906-73-9, N-[[2-[4-[5,7-Dimethyl-2-(methylamino)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methylbenzenesulfonamide 415906-78-4, 2-[4-[5,7-Dimethyl-2-(methylamino)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl [(4-methylphenyl)sulfonyl]carbamate 415906-83-1, 2-[4-[6-Chloro-2-(4-pyridinyl)-5-(trifluoromethyl)-1H-benzimidazol-1-yl]phenyl]ethyl [(4-methylphenyl)sulfonyl]carbamate 415907-18-5, N-[[2-[4-[2-Ethyl-5-(1-hydroxy-1-methylethyl)-1H-benzimidazol-1-yl]phenyl]ethyl]amino]carbonyl]-4-methylbenzenesulfonamide 415907-55-0, 6-Chloro-2-ethyl-1-[4-[2-[N-methyl[[[(4-methylphenyl)sulfonyl]amino]carbonyl]amino]ethyl]phenyl]-1H-benzimidazole-5-carboxamide 416844-64-9, 5-Acetyl-2-ethyl-3-[4-[2-[[[(4-methylphenyl)sulfonyl]amino]carbonyl]amino]ethyl]phenyl]benzimidazole 473924-33-3, [(1R,5R,6S)-6-(Aminomethyl)bicyclo[3.2.0]hept-6-yl]acetic acid 610300-07-7, (3S,5R)-3-Amino-5-methyloctanoic acid 610300-19-1, (3S,5R)-3-Amino-5-methylheptanoic acid 610300-20-4, (3S,5R)-3-Amino-5-methylnonanoic acid 616877-19-1, 2-[4-(3,5-Dimethyl-4-phenyl-1H-pyrazol-1-yl)phenyl]ethyl [(4-methylphenyl)sulfonyl]carbamate 616877-21-5, 2-[4-[4-(4-Fluorophenyl)-3,5-dimethyl-1H-pyrazol-1-yl]phenyl]ethyl [(4-methylphenyl)sulfonyl]carbamate 616877-23-7, N-[[2-[4-(3,5-Dimethyl-4-phenyl-1H-pyrazol-1-yl)phenyl]amino]carbonyl]-4-

methylbenzenesulfonamide 616877-24-8, N-[[[2-[4-(4-Ethoxyphenyl)-3,5-dimethyl-1H-pyrazol-1-yl]phenylethyl]amino]carbonyl]-4-methylbenzenesulfonamide 616877-26-0, N-[[[2-[4-(3,5-Dimethyl-4-phenyl-1H-pyrazol-1-yl)phenylethyl]amino]carbonyl]-4-methoxybenzenesulfonamide 616877-31-7, N-[[[2-[4-(3,5-Dimethyl-4-phenyl-1H-pyrazol-1-yl)phenylethyl]amino]carbonyl]-2-fluorobenzenesulfonamide 616877-32-8, N-[[[2-[4-(3,5-Dimethyl-4-phenyl-1H-pyrazol-1-yl)phenylethyl]amino]carbonyl]-3,4-dimethoxybenzenesulfonamide 616877-33-9, N-[[[2-[4-(3,5-Dimethyl-4-phenyl-1H-pyrazol-1-yl)phenylethyl]amino]carbonyl]-2,4-difluorobenzenesulfonamide 616877-35-1, 2,4-Difluoro-N-[[[2-[4-[5-methyl-4-phenyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenylethyl]amino]carbonyl]benzenesulfonamide 616877-36-2, 2-Fluoro-N-[[[2-[4-[5-methyl-4-phenyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenylethyl]amino]carbonyl]benzenesulfonamide 616892-63-8, 2-[4-(2-Amino-4,5-diphenyl-1H-imidazol-1-yl)phenyl]ethyl [(4-methylphenyl)sulfonyl]carbamate 616892-65-0, 2-[4-(2-Ethyl-4-phenyl-1H-imidazol-1-yl)phenyl]ethyl [(4-methylphenyl)sulfonyl]carbamate 616892-67-2, N-[[[2-[4-(2-Ethyl-4-phenyl-1H-imidazol-1-yl)phenylethyl]amino]carbonyl]-4-methylbenzenesulfonamide 616892-69-4, 2-Chloro-N-[[[2-[4-(2-ethyl-4-phenyl-1H-imidazol-1-yl)phenylethyl]amino]carbonyl]benzenesulfonamide 616892-73-0, 2-[4-(2-Butyl-4-phenyl-1H-imidazol-1-yl)phenyl]ethyl [(2-chlorophenyl)sulfonyl]carbamate 616892-75-2, 2-[4-(2-Isobutyl-4-phenyl-1H-imidazol-1-yl)phenyl]ethyl [(2-chlorophenyl)sulfonyl]carbamate 616892-77-4, 2-[4-(2-Isopropyl-4-phenyl-1H-imidazol-1-yl)phenyl]ethyl [(2-chlorophenyl)sulfonyl]carbamate 616892-79-6, 4-Chloro-N-[[[2-[4-(2-ethyl-4-phenyl-1H-imidazol-1-yl)phenyl]ethyl]amino]carbonyl]benzenesulfonamide 616892-81-0, 2-[4-(2-tert-Butyl-4-phenyl-1H-imidazol-1-yl)phenylethyl] [(2-chlorophenyl)sulfonyl]carbamate 616892-82-1, 4-Chloro-N-[[[2-[4-(2-isopropyl-4-phenyl-1H-imidazol-1-yl)phenyl]ethyl]amino]carbonyl]benzenesulfonamide 688007-58-1  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(codrug; combinations comprising EP4-receptor antagonists and α2δ ligands for treating pain)

IT 223445-75-8, ((3S,4S)-1-Aminomethyl-3,4-dimethylcyclopentyl)acetic acid

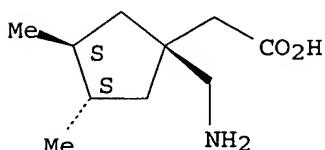
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(codrug; combinations comprising EP4-receptor antagonists and α2δ ligands for treating pain)

RN 223445-75-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1075617 CAPLUS

DOCUMENT NUMBER: 143:367000

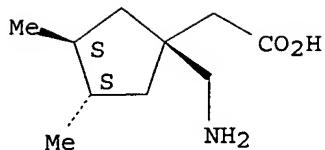
TITLE: Preparation of atypical antipsychotics for

INVENTOR(S) : combinations with  $\alpha$ -2- $\delta$  ligands  
 Field, Mark John; Williams, Richard Griffith  
 PATENT ASSIGNEE(S) : Pfizer Limited, UK; Pfizer Inc.  
 SOURCE: PCT Int. Appl., 57 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO.                 | DATE                     |
|--|------|----------|---------------------------------|--------------------------|
| WO 2005092318  | A1   | 20051006 | WO 2005-IB510                   | 20050224                 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,<br>LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,<br>NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,<br>SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                                 |                          |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,<br>AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,<br>EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,<br>RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,<br>MR, NE, SN, TD, TG   |      |          |                                 |                          |
| PRIORITY APPLN. INFO.:   |      |          | GB 2004-5200<br>US 2004-560416P | A 20040308<br>P 20040407 |

- ED Entered STN: 07 Oct 2005  
 AB The instant invention relates to a combination, particularly a synergistic combination, of an  $\alpha$ -2- $\delta$  ligand and an atypical antipsychotic, and pharmaceutically acceptable salts thereof, pharmaceutical compns. thereof and their use in the treatment of pain, particularly neuropathic pain. (3R,4R,5R)-3-amino-4,5-dimethylheptanoic acid, an atypical antipsychotic, was prepared via a series of reactions starting with (S)-3-[(E)-2-methylpent-2-enoyl]-4-phenyloxazolidin-2-one. Example  $\alpha$ -2- $\delta$  ligands include gabapentin.  
 IC ICM A61K031-197  
 ICS A61K031-401; A61K031-41; A61K031-496; A61K031-551; A61K031-5513;  
 A61K031-517; A61K031-554; A61P025-00  
 CC 23-16 (Aliphatic Compounds)  
 Section cross-reference(s): 1, 28, 63  
 IT 60142-96-3, Gabapentin 146939-27-7, Ziprasidone 148553-50-8,  
 Pregabalin 223445-75-8 227625-35-6 313651-33-1 335458-65-6  
 473924-33-3 610300-07-7 610300-19-1 610300-20-4 686766-87-0  
 688007-58-1 866108-70-5  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of atypical antipsychotics for combinations with  
 $\alpha$ -2- $\delta$  ligands)  
 IT 223445-75-8  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of atypical antipsychotics for combinations with  
 $\alpha$ -2- $\delta$  ligands)  
 RN 223445-75-8 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, (3S,4S)- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

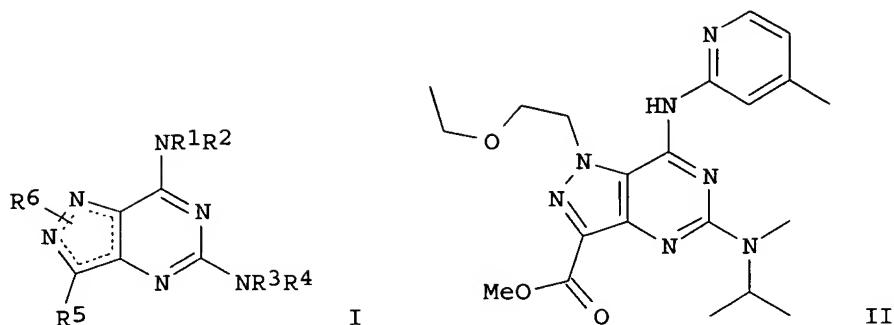
L14 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2005:472159 CAPLUS  
 DOCUMENT NUMBER: 143:26627  
 TITLE: Preparation of 5,7-diaminopyrazolo[4,3-d]pyrimidines with phosphodiesterase-5 (PDE5) inhibiting activity  
 INVENTOR(S): Bell, Andrew Simon; Brown, David Graham; Dack, Kevin Neil; Fox, David Nathan Abraham; Marsh, Ian Roger; Morrell, Andrew Ian; Palmer, Michael John; Winslow, Carol Ann  
 PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.  
 SOURCE: PCT Int. Appl., 282 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2005049616   | A1   | 20050602 | WO 2004-IB3747  | 20041112   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |            |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |            |
| NL 1027568  | A1   | 20050526 | NL 2004-1027568 | 20041123   |
| NL 1027568  | C2   | 20051130 |                 |            |
| US 2005245544   | A1   | 20051103 | US 2004-997191  | 20041124   |
| PRIORITY APPLN. INFO.:  |      |          | GB 2003-27319   | A 20031124 |
|   |      |          | US 2004-535797P | P 20040112 |

OTHER SOURCE(S): MARPAT 143:26627

ED Entered STN: 03 Jun 2005

GI



**AB** Title compds. [I; R1 = (substituted) cyclic group; R2 = H, alkyl; R3, R4 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl; R5 = YCO<sub>2</sub>R15, YR16; R6 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, etc.; Y = bond, CH<sub>2</sub>OCH<sub>2</sub>, alkylene, cycloalkylene; R15 = H, (substituted) alkyl; R16 = tetrazolyl, trifluoromethyltriazolyl, methylsulfonyltriazolyl, etc.; dotted lines = double bonds to form an aromatic ring], were prepared. Thus, title compound (II) (preparation given) inhibited PDE-5 with IC<sub>50</sub> = 0.075 nM.

IC ICM C07D487-04

ICS A61K031-505; A61P009-08

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

IT 50-78-2, Aspirin 52-01-7, Spironolactone 58-93-5, Hydrochlorothiazide  
 58-94-6, Chlorothiazide 77-36-1, Chlorthalidone 525-66-6, Propranolol  
 637-07-0, Clofibrate 657-24-9, Metformin 2609-46-3, Amiloride  
 3930-20-9, Sotalol 9004-10-8, Insulin, biological studies 10238-21-8,  
 Glyburide 26839-75-8, Timolol 29094-61-9, Glipizide 29122-68-7,  
 Atenolol 51384-51-1, Metoprolol 56211-40-6, Torsemide 60142-96-3,  
 Gabapentin 72956-09-3, Carvedilol 74191-85-8, Doxazosin 75330-75-5,  
 Lovastatin 75847-73-3, Enalapril 76547-98-3, Lisinopril 79902-63-9,  
 Simvastatin 81093-37-0, Pravastatin 85441-61-8, Quinapril  
 87333-19-5, Ramipril 88150-42-9, Amlodipine 93479-97-1, Glimepiride  
 107724-20-9, Eplerenone 111025-46-8, Pioglitazone 114798-26-4,  
 Losartan 122320-73-4, Rosiglitazone 133040-01-4, Eprosartan  
 134523-00-5, Atorvastatin 137862-53-4, Valsartan 138402-11-6,  
 Irbesartan 139481-59-7, Candesartan 144701-48-4, Telmisartan  
 148553-50-8, Pregabalin 209789-08-2, CI1027 **223445-75-8**  
 227625-35-6 227626-51-9 287714-41-4, Rosuvastatin 313651-33-1  
 335458-65-6 473924-33-3 610300-07-7 610300-19-1 610300-20-4  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (coadministration; preparation of diaminopyrazolopyrimidines with  
 phosphodiesterase-5 inhibiting activity)

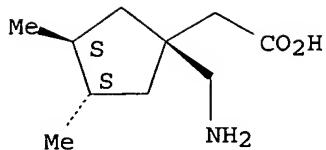
IT 223445-75-8

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(coadministration; preparation of diaminopyrazolopyrimidines with  
phosphodiesterase-5 inhibiting activity)

RN 223445-75-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, (3S,4S)- (9CI)  
(CA INDEX NAME)

## Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2005:259678 CAPLUS  
 DOCUMENT NUMBER: 142:341889  
 TITLE: Pharmaceuticals containing combinations of an acetylcholine esterase inhibitor and  $\alpha$ -2- $\delta$  receptor ligands  
 INVENTOR(S): Field, Mark John; Williams, Richard Griffith  
 PATENT ASSIGNEE(S): UK  
 SOURCE: U.S. Pat. Appl. Publ., 25 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| US 2005065176  | A1   | 20050324 | US 2004-936416  | 20040908 |
| WO 2005027975  | A1   | 20050331 | WO 2004-IB2981  | 20040908 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,<br>LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,<br>NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,<br>TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW<br>RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,<br>AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,<br>EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,<br>SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,<br>SN, TD, TG |      |          |                 |          |

PRIORITY APPLN. INFO.: GB 2003-22140 A 20030922

ED Entered STN: 25 Mar 2005

AB The instant invention relates to a combination of  $\alpha$ -2- $\delta$  ligand and an AChE inhibitor for use in therapy, particularly in the treatment of pain, particularly neuropathic pain. Particularly preferred  $\alpha$ -2- $\delta$  ligands are gabapentin and pregabalin. Particularly preferred ACHE inhibitors are donepezil (Aricept), tacrine (Cognex), rivastigmine (Exelon), physostigmine (Synapton), galantamine (Reminyl), metrifonate (Promem), neostigmine (Prostigmin) and icopezil. Thus pessary compns. contained the above ingredient 250, anhydrous dextrose 380, potato starch 363, and Mg stearate 7 mg. The preparation of some of the compds. is given.

IC ICM A61K031-4745

ICS A61K031-195; A61K031-41

INCL 514291000; 514300000; 514381000; 514561000; 514294000

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 1, 23

IT 52-68-6, Promem 57-47-6, Synapton 59-99-4, Prostigmin 321-64-2,

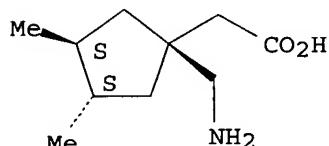
Tacrine 357-70-0, Galantamine 1684-40-8, Cognex 1953-04-4, Reminyl 60142-96-3, Gabapentin 62732-44-9, Ipidacrine 90043-86-0, Amiridin 98833-92-2, Stacofylline 101246-66-6, Phenserine 101246-68-8, Eptastigmine 102518-79-6, Huperzine A 118909-22-1, Mentane 120011-70-3, Aricept 120014-06-4, Donepezil 123441-03-2, Exelon 124027-47-0, Velnacrine 132236-18-1, Zifrosilone 142852-50-4, Zanapezil 142852-51-5, TAK 147 145209-30-9, Tolserine 145209-50-3, Thiatolserine 145508-78-7, Icopezil 147606-23-3, CHF 2060 148261-35-2 148553-50-8, Pregabalin 149028-28-4, CI 1002 154619-76-8, MF 247 209394-46-7, TV 3326 223445-75-8, (3S,4S)-(1-Aminomethyl-3,4-dimethylcyclopentyl)acetic acid 227625-35-6, 3-(1-Aminomethylcyclohexylmethyl)-4H-[1,2,4]-oxadiazol-5-one 227626-51-9, C-[1-(1H-Tetrazol-5-ylmethyl)-cycloheptyl]methylamine 252264-92-9, T 82 263175-47-9, Huperzine X 273930-29-3, SPH 1286 290308-82-6, ER 127528 335458-65-6, (1 $\alpha$ ,3 $\alpha$ ,5 $\alpha$ )-(3-Aminomethylbicyclo[3.2.0]hept-3-yl)acetic acid 402842-81-3, MF 8615 444667-97-4, RS 1259 473924-33-3 848347-50-2 848347-51-3 848442-09-1, E 2030 848442-10-4, MF 268 bitartrate hydrate  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceuticals containing combinations of acetylcholine esterase inhibitor and  $\alpha$ -2-8 receptor ligands)

IT 223445-75-8, (3S,4S)-(1-Aminomethyl-3,4-dimethylcyclopentyl)acetic acid  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceuticals containing combinations of acetylcholine esterase inhibitor and  $\alpha$ -2-8 receptor ligands)

RN 223445-75-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, (3S,4S)- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



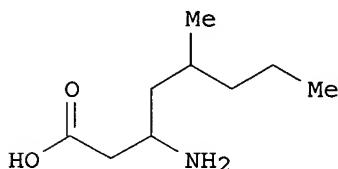
L14 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2005:238701 CAPLUS  
 DOCUMENT NUMBER: 142:316826  
 TITLE: A preparation of combinations comprising alpha-2-delta ligands and dual serotonin-noradrenaline reuptake inhibitors, useful for treatment of pain  
 INVENTOR(S): Dooley, David James; Field, Mark John; Williams, Richard Griffith  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 23 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| US 2005059715 | A1   | 20050317 | US 2004-935824  | 20040908 |

|  |             |                 |          |
|--|-------------|-----------------|----------|
| AU 2004271800  | A1 20050324 | AU 2004-271800  | 20040906 |
| CA 2537402   | AA 20050324 | CA 2004-2537402 | 20040906 |
| WO 2005025675  | A1 20050324 | WO 2004-IB2943  | 20040906 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,<br>LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,<br>NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,<br>TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW<br>RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,<br>AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,<br>EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,<br>SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,<br>SN, TD, TG |             |                 |          |

PRIORITY APPLN. INFO.: US 2003-502556P P 20030912  
WO 2004-IB2943 W 20040906

ED Entered STN: 18 Mar 2005  
GI



AB The invention relates to a combination, particularly a synergistic combination, of an alpha-2-delta ligand and a dual serotonin-noradrenaline reuptake inhibitor (DSNRI) or one or both of a selective serotonin reuptake inhibitor (SSRI) and a selective noradrenaline reuptake inhibitor (SNRI), and pharmaceutically acceptable salts thereof, pharmaceutical compns. thereof and their use in the treatment of pain, particularly neuropathic pain (no biol. data). For instance, 3-amino-5-methyloctanoic acid hydrochloride (I•HCl) was prepared from (S)-citronellyl bromide in eight steps.

IC ICM A61K031-4245

ICS A61K031-195; A61K031-407; A61K031-137

INCL 514364000; 514412000; 514561000; 514650000

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63

IT 60142-96-3, Gabapentin 148553-50-8, Pregabalin 223445-75-8  
227625-35-6 227626-51-9 313651-33-1 335458-65-6 473924-33-3  
610300-07-7 610300-20-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(alpha-2-delta ligand; pharmaceutical combinations comprising  
alpha-2-delta ligands and dual serotonin-noradrenaline reuptake  
inhibitors)

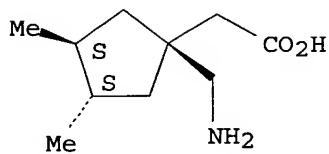
IT 223445-75-8

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(alpha-2-delta ligand; pharmaceutical combinations comprising  
alpha-2-delta ligands and dual serotonin-noradrenaline reuptake  
inhibitors)

RN 223445-75-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, (3S,4S)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2005:162040 CAPLUS  
 DOCUMENT NUMBER: 142:233358  
 TITLE: Pharmaceutical composition using a nicotinic receptor partial agonist- $\alpha$ 2 $\delta$  ligand combination for the treatment of obesity or to facilitate or promote weight loss  
 INVENTOR(S): Coe, Jotham W.; O'Neill, Brian T.; Sands, Steven B.  
 PATENT ASSIGNEE(S): Pfizer Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 19 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE       |
|--|------|----------|-----------------|------------|
| US 2005043406  | A1   | 20050224 | US 2004-870208  | 20040617   |
| CA 2534271   | AA   | 20050303 | CA 2004-2534271 | 20040809   |
| WO 2005018622  | A1   | 20050303 | WO 2004-IB2604  | 20040809   |
| WO 2005018622  | C1   | 20050428 |                 |            |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,<br>LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,<br>NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,<br>TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW<br>RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,<br>AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,<br>EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,<br>SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,<br>SN, TD, TG |      |          |                 |            |
| PRIORITY APPLN. INFO.:   |      |          | US 2003-497353P | P 20030822 |
|  |      |          | WO 2004-IB2604  | W 20040809 |

ED Entered STN: 25 Feb 2005  
 AB Pharmaceutical compns. are disclosed for the treatment of obesity, an overweight condition and compulsive overeating. The pharmaceutical compns. are comprised of a therapeutically effective combination of a nicotinic receptor partial agonist and an  $\alpha$ 2 $\delta$  ligand and a pharmaceutically acceptable carrier. The method of using these compds. is also disclosed.  
 IC ICM A61K031-195  
 INCL 514561000  
 CC 1-11 (Pharmacology)  
 Section cross-reference(s): 63  
 IT 53492-40-3 60142-96-3, Gabapentin 68998-15-2 69718-72-5  
 148553-50-8, Pregabalin 196608-53-4 207391-08-0 207391-10-4

|                    |                    |                    |             |             |
|--------------------|--------------------|--------------------|-------------|-------------|
| 207391-12-6        | 207391-15-9        | 207391-18-2        | 207391-21-7 | 207391-24-0 |
| 207391-27-3        | 207391-28-4        | 207391-29-5        | 207391-34-2 | 207391-36-4 |
| 207391-37-5        | 207391-38-6        | 207391-40-0        | 207391-41-1 | 207391-42-2 |
| 207391-44-4        | 207391-63-7        | 207391-64-8        | 207391-65-9 | 207391-67-1 |
| 207391-74-0        | <b>219135-91-8</b> | <b>219135-98-5</b> | 219136-10-4 |             |
| <b>223445-75-8</b> | 227625-35-6        | 227626-49-5        | 227626-51-9 |             |
| 230615-75-5        | 248275-68-5        | 248275-79-8        | 248275-81-2 | 248275-95-8 |
| 248276-19-9        | 249296-44-4        | 287973-23-3        | 287973-26-6 | 287973-27-7 |
| 328055-76-1        | 328055-77-2        | 328055-78-3        | 328055-79-4 | 328055-80-7 |
| 328055-81-8        | 328055-83-0        | 328055-84-1        | 328055-85-2 | 328055-86-3 |
| 328055-87-4        | 328055-88-5        | 328055-89-6        | 328055-90-9 | 328055-92-1 |
| 328055-94-3        | 328055-95-4        | 328055-96-5        | 328055-97-6 | 328055-98-7 |
| 328055-99-8        | 328056-00-4        | 328056-01-5        | 328056-02-6 | 328056-03-7 |
| 328056-04-8        | 328056-05-9        | 328056-06-0        | 328056-07-1 | 328056-08-2 |
| 328056-09-3        | 328056-10-6        | 328056-11-7        | 328056-12-8 | 328056-13-9 |
| 328056-14-0        | 328056-15-1        | 328056-16-2        | 328056-17-3 | 328056-18-4 |
| 328056-19-5        | 328056-20-8        | 328056-21-9        | 328056-22-0 | 328056-23-1 |
| 328056-24-2        | 328056-25-3        | 328056-26-4        | 328056-27-5 | 328056-28-6 |
| 328056-29-7        | 328056-30-0        | 328056-66-2        | 335458-65-6 | 335458-69-0 |
| 357424-19-2        | 357424-20-5        | 415682-84-7        | 473924-33-3 | 610300-06-6 |
| 610300-07-7        | 610300-11-3        | 610300-12-4        | 610300-17-9 | 610300-18-0 |
| 610300-19-1        | 610300-20-4        | 610300-21-5        | 610300-22-6 | 610300-23-7 |
| 610300-24-8        | 610300-25-9        | 610300-26-0        | 610300-27-1 | 610300-28-2 |
| 610300-29-3        | 610300-30-6        | 610300-31-7        | 610300-32-8 | 610300-33-9 |
| 610300-34-0        | 641635-01-0        | 658683-13-7        | 658683-30-8 | 658683-32-0 |
| 658683-33-1        | 658683-34-2        | 658683-35-3        | 663623-18-5 | 663623-19-6 |
| 663623-20-9        | 663623-21-0        | 663623-22-1        | 663623-23-2 | 663623-24-3 |
| 663623-25-4        | 663623-26-5        | 663623-27-6        | 663623-28-7 | 663623-29-8 |
| 663623-31-2        | 663623-35-6        | 663623-36-7        | 663623-37-8 | 663623-38-9 |
| 663623-39-0        |                    |                    |             |             |

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(nicotinic receptor partial agonist- $\alpha 2\delta$  ligand combination  
for treatment of obesity or to facilitate or promote weight loss)

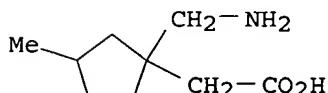
IT **219135-91-8 219135-98-5 223445-75-8**

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(nicotinic receptor partial agonist- $\alpha 2\delta$  ligand combination  
for treatment of obesity or to facilitate or promote weight loss)

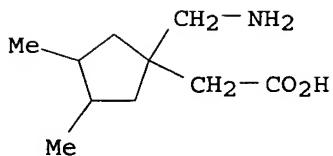
RN 219135-91-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 219135-98-5 CAPLUS

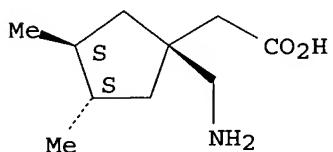
CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl- (9CI) (CA INDEX NAME)



RN 223445-75-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, (3S,4S)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:162035 CAPLUS

DOCUMENT NUMBER: 142:233377

TITLE: Pharmaceutical composition and method using a combination of an opioid receptor antagonist and an  $\alpha_2$  ligand for the prevention and treatment of addiction in a mammal

INVENTOR(S): Coe, Jotham Wadsworth; Iredale, Philip A.; McHardy, Stanton Furst; McLean, Stafford

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 15 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE       |
|--|------|----------|-----------------|------------|
| US 2005043345  | A1   | 20050224 | US 2004-870821  | 20040617   |
| CA 2535814   | AA   | 20050303 | CA 2004-2535814 | 20040809   |
| WO 2005018670  | A1   | 20050303 | WO 2004-IB2602  | 20040809   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,<br>LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,<br>NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,<br>TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW<br>RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,<br>AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,<br>EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,<br>SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,<br>SN, TD, TG |      |          |                 |            |
| PRIORITY APPLN. INFO.:   |      |          | US 2003-497372P | P 20030822 |
|  |      |          | WO 2004-IB2602  | W 20040809 |

ED Entered STN: 25 Feb 2005

AB Pharmaceutical compns. are disclosed for the treatment of alc. or cocaine dependence or addiction, tobacco dependence or addiction, reduction of alc. withdrawal symptoms or aiding in the cessation or lessening of alc. use or substance abuse or other behavioral dependencies including gambling. The pharmaceutical compns. are comprised of a therapeutically effective combination of an opioid receptor antagonist and an  $\alpha 2\delta$  ligand and a pharmaceutically acceptable carrier. The method of using these compds. is also disclosed.

IC ICM A61K031-4745

ICS A61K031-407; A61K031-18

INCL 514300000; 514306000; 514602000; 514412000

CC 1-12 (Pharmacology)

Section cross-reference(s): 4, 63

IT 53492-40-3 60142-96-3 68998-15-2 148553-50-8 196608-53-4

**219135-91-8 219135-98-5 219136-10-4**

223445-75-8 227625-35-6 227626-49-5 227626-51-9

335458-65-6 335458-69-0 415682-84-7 473924-33-3 610300-06-6

610300-07-7 610300-11-3 610300-12-4 610300-17-9 610300-18-0

610300-19-1 610300-20-4 610300-21-5 610300-22-6 610300-23-7

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610300-29-3 610300-30-6 610300-31-7 610300-32-8 610300-33-9

610300-34-0 641635-01-0 658683-13-7 658683-30-8 658683-32-0

658683-33-1 658683-34-2 658683-35-3 663623-18-5 663623-19-6

663623-20-9 663623-21-0 663623-22-1 663623-23-2 663623-24-3

663623-25-4 663623-26-5 663623-27-6 663623-28-7 663623-29-8

663623-31-2 663623-35-6 663623-36-7 663623-37-8 663623-38-9

663623-39-0 774240-03-8 774240-05-0 774240-35-6 774240-43-6

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774241-53-1 774241-58-6 774241-59-7 778582-19-7 778582-23-3

778582-27-7 778582-32-4 778582-34-6 778582-60-8 845621-26-3

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845621-32-1 845621-33-2 845621-34-3 845621-35-4 845621-36-5

845621-37-6 845621-38-7 845621-39-8 845621-40-1 845621-41-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(opioid receptor antagonist- $\alpha 2\delta$  ligand combination for prevention and treatment of addiction)

IT 219135-91-8 219135-98-5 223445-75-8

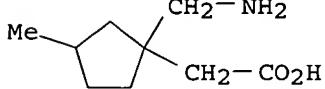
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(opioid receptor antagonist- $\alpha 2\delta$  ligand combination for prevention and treatment of addiction)

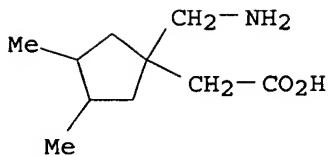
RN 219135-91-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 219135-98-5 CAPLUS

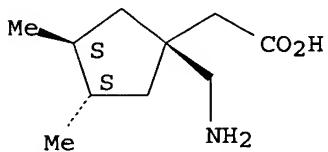
CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl- (9CI) (CA INDEX NAME)



RN 223445-75-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, (3S,4S)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:160850 CAPLUS

DOCUMENT NUMBER: 142:233374

TITLE: Pharmaceutical composition using a combination of a nicotinic receptor partial agonist and an α2 ligand for the prevention and treatment of addiction in a mammal

INVENTOR(S): Coe, Jotham W.; Sands, Steven B.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 21 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE       |
|--|------|----------|-----------------|------------|
| US 2005043407  | A1   | 20050224 | US 2004-879616  | 20040629   |
| CA 2535811   | AA   | 20050303 | CA 2004-2535811 | 20040809   |
| WO 2005018621  | A1   | 20050303 | WO 2004-IB2603  | 20040809   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,<br>LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,<br>NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,<br>TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW<br>RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,<br>AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,<br>EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,<br>SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,<br>SN, TD, TG |      |          |                 |            |
| PRIORITY APPLN. INFO.:   |      |          | US 2003-497350P | P 20030822 |
|  |      |          | WO 2004-IB2603  | W 20040809 |

ED Entered STN: 25 Feb 2005

AB Pharmaceutical compns. are disclosed for the treatment of alc. or cocaine

dependence or addiction, alc. dependence or addiction, reduction of alc. withdrawal symptoms or aiding in the cessation or lessening of tobacco use or substance abuse or other behavioral dependencies. The pharmaceutical compns. are comprised of a therapeutically effective combination of a nicotinic receptor partial agonist and an  $\alpha 2\delta$  ligand and a pharmaceutically acceptable carrier. The method of using these compds. is also disclosed.

IC ICM A61K031-195

INCL 514561000

CC 1-12 (Pharmacology)

Section cross-reference(s): 4, 63

|    |                    |             |                    |             |                    |
|----|--------------------|-------------|--------------------|-------------|--------------------|
| IT | 53492-40-3         | 60142-96-3  | 68998-15-2         | 69718-72-5  | 148553-50-8        |
|    | 196608-53-4        | 207391-08-0 | 207391-10-4        | 207391-12-6 | 207391-15-9        |
|    | 207391-18-2        | 207391-21-7 | 207391-24-0        | 207391-27-3 | 207391-28-4        |
|    | 207391-29-5        | 207391-34-2 | 207391-36-4        | 207391-37-5 | 207391-38-6        |
|    | 207391-40-0        | 207391-41-1 | 207391-42-2        | 207391-44-4 | 207391-63-7        |
|    | 207391-64-8        | 207391-65-9 | 207391-67-1        | 207391-74-0 | <b>219135-91-8</b> |
|    | <b>219135-98-5</b> | 219136-10-4 | <b>223445-75-8</b> | 227625-35-6 |                    |
|    | 227626-49-5        | 227626-51-9 | 230615-75-5        | 248275-68-5 | 248275-79-8        |
|    | 248275-81-2        | 248275-95-8 | 249296-44-4        | 287973-23-3 | 287973-26-6        |
|    | 287973-27-7        | 328055-76-1 | 328055-77-2        | 328055-78-3 | 328055-79-4        |
|    | 328055-80-7        | 328055-81-8 | 328055-83-0        | 328055-84-1 | 328055-85-2        |
|    | 328055-86-3        | 328055-87-4 | 328055-88-5        | 328055-89-6 | 328055-90-9        |
|    | 328055-92-1        | 328055-94-3 | 328055-95-4        | 328055-96-5 | 328055-97-6        |
|    | 328055-98-7        | 328055-99-8 | 328056-00-4        | 328056-01-5 | 328056-02-6        |
|    | 328056-03-7        | 328056-04-8 | 328056-05-9        | 328056-06-0 | 328056-07-1        |
|    | 328056-08-2        | 328056-09-3 | 328056-10-6        | 328056-11-7 | 328056-12-8        |
|    | 328056-13-9        | 328056-14-0 | 328056-15-1        | 328056-16-2 | 328056-17-3        |
|    | 328056-18-4        | 328056-19-5 | 328056-20-8        | 328056-21-9 | 328056-22-0        |
|    | 328056-23-1        | 328056-24-2 | 328056-25-3        | 328056-26-4 | 328056-27-5        |
|    | 328056-28-6        | 328056-66-2 | 335458-65-6        | 335458-69-0 | 357424-19-2        |
|    | 357424-20-5        | 415682-84-7 | 473924-33-3        | 610300-06-6 | 610300-07-7        |
|    | 610300-11-3        | 610300-12-4 | 610300-17-9        | 610300-18-0 | 610300-19-1        |
|    | 610300-20-4        | 610300-21-5 | 610300-22-6        | 610300-23-7 | 610300-24-8        |
|    | 610300-25-9        | 610300-26-0 | 610300-27-1        | 610300-28-2 | 610300-29-3        |
|    | 610300-30-6        | 610300-31-7 | 610300-32-8        | 610300-33-9 | 610300-34-0        |
|    | 641635-01-0        | 658683-13-7 | 658683-30-8        | 658683-32-0 | 658683-33-1        |
|    | 658683-34-2        | 658683-35-3 | 663623-18-5        | 663623-19-6 | 663623-20-9        |
|    | 663623-21-0        | 663623-22-1 | 663623-23-2        | 663623-24-3 | 663623-25-4        |
|    | 663623-26-5        | 663623-27-6 | 663623-28-7        | 663623-29-8 | 663623-31-2        |
|    | 663623-35-6        | 663623-36-7 | 663623-37-8        | 663623-38-9 | 663623-39-0        |

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(nicotinic receptor partial agonist- $\alpha 2\delta$  ligand combination for prevention and treatment of addiction)

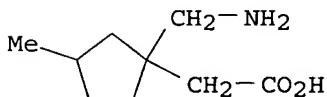
IT **219135-91-8 219135-98-5 223445-75-8**

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(nicotinic receptor partial agonist- $\alpha 2\delta$  ligand combination for prevention and treatment of addiction)

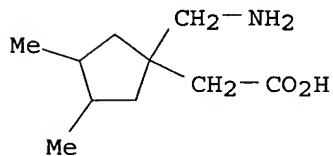
RN 219135-91-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 219135-98-5 CAPLUS

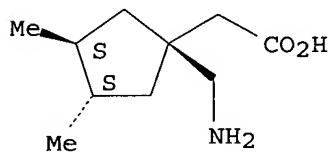
CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl- (9CI) (CA INDEX NAME)



RN 223445-75-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2006 ACS on STM

ACCESSION NUMBER: 2005:17019 CAPLUS

DOCUMENT NUMBER: 142:107448

TITLE: Combination of an allosteric inhibitor of matrix metalloproteinase-13 and a ligand to an alpha-2-delta receptor

INVENTOR(S): Roark, William Howard

PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA

SOURCE: U.S. Pat. Appl. Publ., 44 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| US 2005004177  | A1   | 20050106 | US 2004-883899  | 20040702 |
| WO 2005002585  | A1   | 20050113 | WO 2004-IB2075  | 20040621 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,<br>LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,<br>NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,<br>TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW<br>RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,<br>AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,<br>EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,<br>SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,<br>SN, TD, TG |      |          |                 |          |

PRIORITY APPLN. INFO.: US 2003-484577P P 20030702

OTHER SOURCE(S): MARPAT 142:107448

ED Entered STN: 09 Jan 2005

AB This invention relates to a combination of an allosteric inhibitor of matrix metalloproteinase-13 (MMP-13), or a pharmaceutically acceptable salt thereof, and a ligand to an alpha-2-delta receptor, or a pharmaceutically acceptable salt thereof, a pharmaceutical composition comprising the combination, and a method of using the combination to treat a disease or disorder in a mammal responsive to treatment in one aspect by an allosteric inhibitor of MMP-13 and in the same or a different aspect by a ligand to an alpha-2-delta receptor, such as cartilage damage and joint diseases. Preparation of 4-[3-[2-(4-methoxybenzyl)-2H-tetrazol-5-yl]benzoylamino]methyl]benzoic acid as the allosteric inhibitor of MMP-13 is exemplified.

IC ICM A61K031-4439

ICS A61K031-41

INCL 514341000; 514381000

CC 1-12 (Pharmacology)

Section cross-reference(s): 27, 28, 63

IT 223445-75-8P 227625-35-6P 227626-51-9P 313651-33-1P  
 335458-65-6P 473924-33-3P 610300-07-7P 610300-19-1P 610300-20-4P  
 658081-96-0P 660858-61-7P 660858-62-8P 660858-63-9P 660858-64-0P  
 660858-65-1P 660858-66-2P 660858-67-3P 660858-68-4P 660858-69-5P  
 660858-70-8P 660858-71-9P 660858-72-0P 660858-73-1P 660858-74-2P  
 660858-75-3P 660858-76-4P 660858-77-5P 660858-78-6P 660858-79-7P  
 660858-80-0P 660858-81-1P 660858-82-2P 660858-83-3P 660858-84-4P  
 660858-86-6P 660858-87-7P 660858-88-8P 660858-89-9P 660858-90-2P  
 660858-92-4P 660858-96-8P 660858-98-0P 660859-04-1P 660859-05-2P  
 660859-09-6P 661485-66-1P 724707-68-0P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(combination of allosteric inhibitor of MMP-13 and ligand to alpha-2-delta receptor for treatment of joint disorders)

IT 223445-75-8P

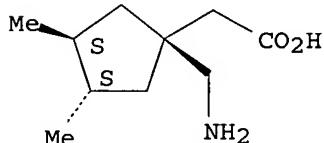
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(combination of allosteric inhibitor of MMP-13 and ligand to alpha-2-delta receptor for treatment of joint disorders)

RN 223445-75-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, (3S,4S)- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:965255 CAPLUS

DOCUMENT NUMBER: 141:410950

TITLE: Preparation of 5,7-diaminopyrazolo[4,3-d]pyrimidines as selective PDE5 inhibitors useful in the treatment of hypertension

INVENTOR(S): Bell, Andrew Simon; Brown, David Graham; Fox, David Nathan Abraham; Marsh, Ian Roger; Morrell, Andrew Ian; Palmer, Michael John; Winslow, Carol Ann

PATENT ASSIGNEE(S) : Pfizer Limited, UK; Pfizer Inc.  
 SOURCE: PCT Int. Appl., 279 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE       |
|--|------|----------|-----------------|------------|
| WO 2004096810  | A1   | 20041111 | WO 2004-IB1433  | 20040422   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,<br>LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,<br>NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,<br>TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |            |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,<br>BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,<br>ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,<br>SK, TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,<br>TD, TG   |      |          |                 |            |
| AU 2004234158  | A1   | 20041111 | AU 2004-234158  | 20040422   |
| CA 2523831   | AA   | 20041111 | CA 2004-2523831 | 20040422   |
| EP 1620437   | A1   | 20060201 | EP 2004-728868  | 20040422   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR   |      |          |                 |            |
| BR 2004009903  | A    | 20060425 | BR 2004-9903    | 20040422   |
| NL 1026074   | A1   | 20041101 | NL 2004-1026074 | 20040428   |
| NL 1026074   | C2   | 20050809 |                 |            |
| US 2005043325  | A1   | 20050224 | US 2004-834484  | 20040429   |
| NO 2005004404  | A    | 20051124 | NO 2005-4404    | 20050922   |
|  |      |          | GB 2003-9780    | A 20030429 |
|  |      |          | GB 2003-27748   | A 20031128 |
|  |      |          | US 2003-476678P | P 20030606 |
|  |      |          | US 2004-538147P | P 20040120 |
| PRIORITY APPLN. INFO.:   |      |          | WO 2004-IB1433  | A 20040422 |

OTHER SOURCE(S) : MARPAT 141:410950

ED Entered STN: 12 Nov 2004

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein R1 = (un)substituted cycloalkyl, cycloalkenyl, (un)substituted pyridin-2-yl, (un)fused Ph, etc.; R2 = H, alkyl; R3, R4 = independently (un)substituted alkyl, alkenyl, cycloalkyl, etc.; or NR3R4 = piperazin-1-yl, monocyclic, saturated polycyclic; R5 = (un)substituted halo/alkyl, alkenyl, alkynyl, cycloalkyl; R6 = H, (un)substituted alkyl, haloalkyl, alkenyl, alkynyl, etc.] were prepared as selective PDE5 inhibitors. For example, II•2HCl was prepared from (4-Methylpyridin-2-yl)amine, dichloride III (general preparation given), and tert-Bu piperazine-1-carboxylate. I gave IC50 values < 10,000 nM in an in vitro assay for PDE5 inhibition. Thus, I are used for treating hypertension.

IC ICM C07D487-04

ICS A61K031-505; A61K031-519

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

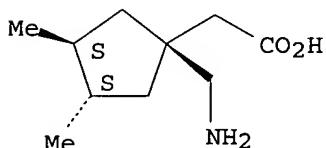
Section cross-reference(s): 1, 63

IT 50-78-2, Aspirin 52-01-7, Spironolactone 58-93-5, Hydrochlorothiazide 58-94-6, Chlorothiazide 77-36-1, Chlorothalidone 525-66-6, Propranolol 637-07-0, Clofibrate 657-24-9, Metformin 2609-46-3, Amiloride 3930-20-9, Sotalol 9004-10-8, Insulin, biological studies 10238-21-8, Glyburide 26839-75-8, Timolol 29094-61-9, Glipizide 29122-68-7, Atenolol 51384-51-1, Metoprolol 56211-40-6, Torsemide 60142-96-3, Gabapentin 72956-09-3, Carvedilol 74191-85-8, Doxazosin 75330-75-5, Lovastatin 75847-73-3, Enalapril 76547-98-3, Lisinopril 79902-63-9, Simvastatin 81093-37-0, Pravastatin 85441-61-8, Quinapril 87333-19-5, Ramipril 88150-42-9, Amlodipine 93479-97-1, Glimepiride 107724-20-9, Eplerenone 111025-46-8, Pioglitazone 114798-26-4, Losartan 122320-73-4, Rosiglitazone 133040-01-4, Eprosartan 134523-00-5, Atorvastatin 137862-53-4, Valsartan 138402-11-6, Irbesartan 139481-59-7, Candesartan 144701-48-4, Telmisartan 148553-50-8, Pregabalin 209789-08-2, CI 1027 227625-35-6, 3-[1-(Aminomethyl)cyclohexylmethyl]-4H-[1,2,4]oxadiazol-5-one 227626-51-9, [[1-(1H-Tetrazol-5-ylmethyl)cycloheptyl]methyl]amine 287714-41-4, Rosuvastatin 313651-33-1, (3S,5R)-3-Aminomethyl-5-methyloctanoic acid 335458-65-6 473924-33-3 610300-07-7, (3S,5R)-3-Amino-5-methyloctanoic acid 610300-19-1, (3S,5R)-3-Amino-5-methylheptanoic acid 610300-20-4, (3S,5R)-3-Amino-5-methylnonanoic acid 772324-47-7  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (combination therapy; preparation of 5,7-diaminopyrazolo[4,3-d]pyrimidines as selective PDE5 inhibitors useful in treatment of hypertension)

IT 772324-47-7  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (combination therapy; preparation of 5,7-diaminopyrazolo[4,3-d]pyrimidines as selective PDE5 inhibitors useful in treatment of hypertension)

RN 772324-47-7 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, (3R,4R)-rel- (9CI)  
 (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2004:531356 CAPLUS  
 DOCUMENT NUMBER: 141:65106  
 TITLE: Calcium channel  $\alpha$ -2- $\delta$  subunit ligands to treat chronic obstructive pulmonary disease (COPD), chronic cough, and other diseases  
 INVENTOR(S): Bertrand, Claude Philippe; Chovet, Maria Emilia Pereira Chicau; Geppetti, Pierangelo; Taylor, Charles Price, Jr.; Thorpe, Andrew John; Wustrow, David Juergen  
 PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA  
 SOURCE: PCT Int. Appl., 53 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

## PATENT INFORMATION:

| PATENT NO.   | KIND   | DATE     | APPLICATION NO.  | DATE       |
|--|--|----------|------------------|------------|
| WO 2004054577  | A1   | 20040701 | WO 2003-IB5640   | 20031203   |
| W: AE, AG, AL, AM, AT, AU, AZ, CO, CR, CU, CZ, DE, DK, DM, GH, GM, HR, ID, IL, IN, LR, LS, LT, LU, LV, MA, MD, OM, PG, PH, PL, PT, RO, RU, TN, TR, TT, TZ, UA, UG, US, RW: BW, GH, GM, KE, LS, MW, MZ, BY, KG, KZ, MD, RU, TJ, TM, ES, FI, FR, GB, GR, HU, IE, TR, BF, BJ, CF, CG, CI, CM, | BA, BB, BG, BR, BY, BZ, DZ, EC, EE, EG, ES, FI, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, SC, SD, SE, SG, SK, SL, SY, TJ, TN, VC, VN, YU, ZA, ZM, ZW, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, AT, BE, BG, CH, CY, CZ, DE, DK, EE, IT, LU, MC, NL, PT, RO, SE, SI, SK, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |          |                  |            |
| CA 2451267   | AA   | 20040613 | CA 2003-2451267  | 20031127   |
| US 2004176456  | A1   | 20040909 | US 2003-726878   | 20031202   |
| AU 2003303037  | A1   | 20040709 | AU 2003-303037   | 20031203   |
| CN 1726015   | A  | 20060125 | CN 2003-80105968 | 20031203   |
| CN 1726021   | A  | 20060125 | CN 2003-80106009 | 20031203   |
| US 2004132636  | A1   | 20040708 | US 2003-731605   | 20031209   |
| US 2004180958  | A1   | 20040916 | US 2003-732613   | 20031210   |
| US 2004143014  | A1   | 20040722 | US 2003-735398   | 20031212   |
| PRIORITY APPLN. INFO.:   |  |          |                  |            |
|  |  |          | US 2002-433491P  | P 20021213 |
|  |  |          | GB 2003-2657     | A 20030205 |
|  |  |          | US 2003-454074P  | P 20030312 |
|  |  |          | WO 2003-IB5640   | W 20031203 |

OTHER SOURCE(S): MARPAT 141:65106

ED Entered STN: 02 Jul 2004

AB The invention discloses the use of an calcium channel  $\alpha$ -2- $\delta$  subunit ligand in the treatment of chronic obstructive pulmonary disease (COPD) and diseases associated with a diagnosis of COPD, and particularly to the treatment of chronic cough, which may be unrelated to COPD. Compound preparation is included.

IC ICM A61K031-4245

ICS A61K031-195; A61K031-197; A61K031-401; A61P011-00; A61P011-14

CC 1-9 (Pharmacology)

Section cross-reference(s): 27

IT 60142-96-3, Gabapentin 223445-75-8 227625-35-6 313651-33-1

335458-65-6 473924-33-3 610300-07-7 610300-19-1 610300-20-4

686766-42-7 688007-58-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(calcium channel  $\alpha$ -2- $\delta$  subunit ligands to treat chronic obstructive pulmonary disease, chronic cough, and other diseases)

IT 223445-75-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

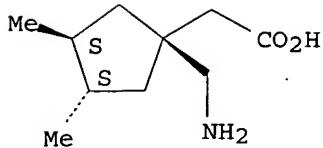
(Biological study); USES (Uses)

(calcium channel  $\alpha$ -2- $\delta$  subunit ligands to treat chronic obstructive pulmonary disease, chronic cough, and other diseases)

RN 223445-75-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, (3S,4S)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

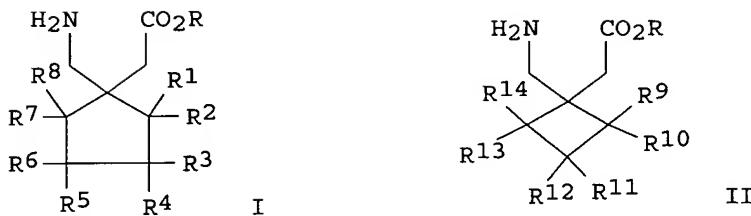
L14 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2004:531343 CAPLUS  
 DOCUMENT NUMBER: 141:82343  
 TITLE: Gabapentin analogues for fibromyalgia and other related disorders  
 INVENTOR(S): Dooley, David James; Taylor, Charles Price, Jr.; Thorpe, Andrew John; Wustrow, David Juergen  
 PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA  
 SOURCE: PCT Int. Appl., 75 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 9  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE            | APPLICATION NO.  | DATE     |
|---|------|-----------------|------------------|----------|
| WO 2004054564   | A1   | 20040701        | WO 2003-IB5710   | 20031203 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |                 |                  |          |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |                 |                  |          |
| CA 2509615  | AA   | 20040701        | CA 2003-2509615  | 20031203 |
| AU 2003283718   | A1   | 20040709        | AU 2003-283718   | 20031203 |
| EP 1572184  | A1   | 20050914        | EP 2003-775699   | 20031203 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |                 |                  |          |
| BR 2003016751   | A    | 20051025        | BR 2003-16751    | 20031203 |
| CN 1720038  | A    | 20060111        | CN 2003-80104702 | 20031203 |
| JP 2006511605   | T2   | 20060406        | JP 2005-502470   | 20031203 |
| US 2004180958   | A1   | 20040916        | US 2003-732613   | 20031210 |
| US 2004180959   | A1   | 20040916        | US 2003-735561   | 20031212 |
| PRIORITY APPLN. INFO.:  |      |                 |                  |          |
|   |      | US 2002-433491P | P                | 20021213 |
|   |      | US 2003-483435P | P                | 20030627 |
|   |      | GB 2003-2657    | A                | 20030205 |
|   |      | US 2003-454074P | P                | 20030312 |
|   |      | WO 2003-IB5710  | W                | 20031203 |

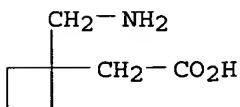
OTHER SOURCE(S): MARPAT 141:82343

ED Entered STN: 02 Jul 2004

GI



- AB This invention discloses the method for treating fibromyalgia and other disorders in a mammal, including a human, comprising administration of a therapeutically effective amount of a compds. of Formula I or Formula II (where R<sub>1</sub> - R<sub>14</sub> = H, (un)branched C<sub>1</sub>-C<sub>6</sub> alkyl, ph, OH, etc., and R<sub>1</sub> - R<sub>8</sub> are not simultaneously H) or a pharmaceutically acceptable salt thereof.
- IC ICM A61K031-195  
ICS A61P025-18; A61P043-00
- CC 1-11 (Pharmacology)  
Section cross-reference(s): 24
- IT 223425-82-9P 223425-83-0P 223425-85-2P  
223445-66-7P 223445-67-8P 713079-21-1P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(gabapentin analogs for fibromyalgia and other related disorders)
- IT 27741-65-7P 198132-54-6P 223425-55-6P 223425-58-9P 223425-65-8P  
223425-67-0P 223425-68-1P 223425-69-2P 223425-70-5P 223425-71-6P  
**223425-75-0P** 223425-79-4P 223425-80-7P **223425-81-8P**  
223445-59-8P 223445-60-1P 260983-20-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(gabapentin analogs for fibromyalgia and other related disorders)
- IT **223445-68-9P** 714264-60-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(gabapentin analogs for fibromyalgia and other related disorders)
- IT 223425-82-9P 223425-83-0P 223425-85-2P  
223445-66-7P 223445-67-8P 713079-21-1P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(gabapentin analogs for fibromyalgia and other related disorders)
- RN 223425-82-9 CAPLUS
- CN Cyclobutaneacetic acid, 1-(aminomethyl)-, hydrochloride (9CI) (CA INDEX NAME)

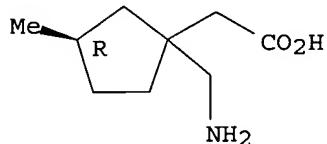


HCl

RN 223425-83-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-, hydrochloride, (3R)-  
(9CI) (CA INDEX NAME)

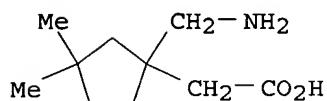
Absolute stereochemistry.



● HCl

RN 223425-85-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,3-dimethyl-, hydrochloride  
(9CI) (CA INDEX NAME)

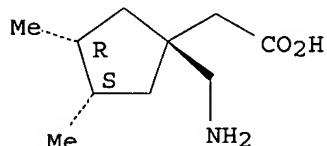


● HCl

RN 223445-66-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, hydrochloride,  
(3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

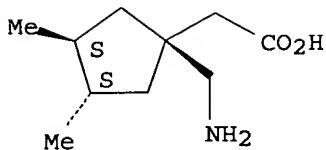


● HCl

RN 223445-67-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, hydrochloride,  
(3S,4S)- (9CI) (CA INDEX NAME)

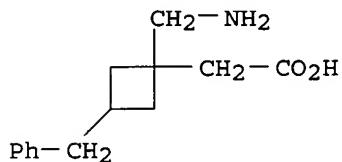
Absolute stereochemistry. Rotation (+).



● HCl

RN 713079-21-1 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(phenylmethyl)-, hydrochloride  
(9CI) (CA INDEX NAME)



● HCl

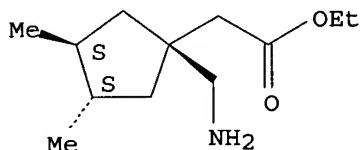
IT 223425-75-0P 223425-81-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
( gabapentin analogs for fibromyalgia and other related disorders)

RN 223425-75-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, ethyl ester,  
(3S,4S) - (9CI) (CA INDEX NAME)

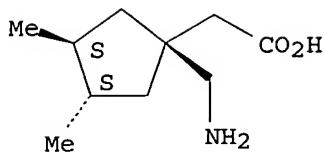
Absolute stereochemistry.



RN 223425-81-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, hydrochloride,  
(3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

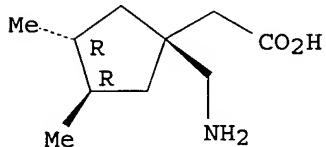
IT 223445-68-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
( gabapentin analogs for fibromyalgia and other related disorders)

RN 223445-68-9 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, hydrochloride,  
(3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:531342 CAPLUS

DOCUMENT NUMBER: 141:88858

TITLE: A preparation of aminocarboxylic acid derivatives as alpha-2-delta ligands, useful for the treatment of sexual dysfunction

INVENTOR(S): Taylor, Charles Price, Jr; Thorpe, Andrew John; Van Der Graaf, Pieter Hadewijn; Wayman, Christopher Peter; Wustrow, David Juergen

PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA

SOURCE: PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

| PATENT NO.    | KIND  | DATE     | APPLICATION NO. | DATE     |
|---------------|---|----------|-----------------|----------|
| WO 2004054563 | A1  | 20040701 | WO 2003-IB5682  | 20031203 |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, |          |                 |          |

LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,  
 OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
 TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,  
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 CA 2451267 AA 20040613 CA 2003-2451267 20031127  
 US 2004176456 A1 20040909 US 2003-726878 20031202  
 CA 2509611 AA 20040701 CA 2003-2509611 20031203  
 AU 2003283708 A1 20040709 AU 2003-283708 20031203  
 EP 1572183 A1 20050914 EP 2003-775689 20031203  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 BR 2003016753 A 20051025 BR 2003-16753 20031203  
 CN 1726015 A 20060125 CN 2003-80105968 20031203  
 CN 1726021 A 20060125 CN 2003-80106009 20031203  
 US 2004132636 A1 20040708 US 2003-731605 20031209  
 US 2004180958 A1 20040916 US 2003-732613 20031210  
 US 2004143014 A1 20040722 US 2003-735398 20031212  
 PRIORITY APPLN. INFO.: US 2002-433491P P 20021213  
 ED Entered STN: 02 Jul 2004 GB 2003-2657 A 20030205  
 GI US 2003-454074P P 20030312  
 WO 2003-IB5682 W 20031203

OTHER SOURCE(S) : MARPAT 141:88858

ED Entered STN: 02 Jul 2004

GI



AB The invention relates to a preparation of aminocarboxylic acid derivs., e.g. I [wherein: R1, R2, R3, R4, R5, R6, R7, and R8 are independently selected from H or C1-6alkyl, or R8 and R6 or R6 and R4 are taken together to form C3-7 cycloalkyl ring, etc.; n = 0-2; X is a carboxylic acid or carboxylic acid bioisostere], as alpha-2-delta ligands, useful for the treatment of premature ejaculation. For instance, delayed ejaculation in the presence of alpha-2-delta ligand II and effect of compound II on copulatory behavior in rapid ejaculating rats were demonstrated. Compound II increased ejaculation latency by 58% in rapidly ejaculating conscious rats.

IC ICM A61K031-195

ICS A61K031-197; A61K031-4015; A61P015-00

CC 23-16 (Aliphatic Compounds)

Section cross-reference(s): 1, 63

IT 60142-96-3P, Gabapentin 148553-50-8P 219135-98-5P

227625-35-6P 227626-51-9P 313651-33-1P 473829-37-7P 473829-38-8P

473829-39-9P 473829-40-2P 473829-41-3P 473829-42-4P 473829-43-5P

473829-44-6P 473829-45-7P 473829-46-8P 473924-33-3P 473924-35-5P

610300-19-1P 686766-30-3P 686766-32-5P 686766-36-9P 686766-42-7P

686766-43-8P 686766-87-0P 688007-58-1P

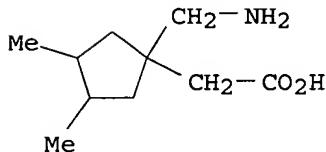
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of aminocarboxylic acid derivs. as alpha-2-delta ligands,  
 useful for the treatment of sexual dysfunction)

IT 219135-98-5P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of aminocarboxylic acid derivs. as alpha-2-delta ligands,  
 useful for the treatment of sexual dysfunction)

RN 219135-98-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2004:531340 CAPLUS  
 DOCUMENT NUMBER: 141:89004  
 TITLE: Use of alpha-2-delta ligands to treat lower urinary tract symptoms associated with overactive bladder or benign prostatic hyperplasia, and the preparation of 4-substituted pyrrolidine-2-carboxylic acid derivatives and other compounds as ligands for such use  
 INVENTOR(S): Taylor, Charles Price, Jr.; Thorpe, Andrew John; Westbrook, Simon Lempriere; Wustrow, David Juergen  
 PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA  
 SOURCE: PCT Int. Appl., 59 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 9  
 PATENT INFORMATION:

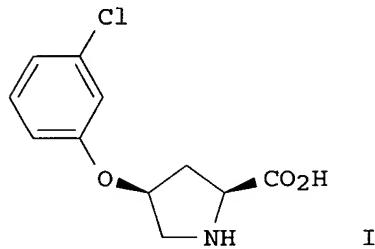
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2004054560   | A1   | 20040701 | WO 2003-IB5729  | 20031203 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| CA 2509605  | AA   | 20040701 | CA 2003-2509605 | 20031203 |
| AU 2003303041   | A1   | 20040709 | AU 2003-303041  | 20031203 |

|  |    |          |                  |            |
|--|----|----------|------------------|------------|
| EP 1572173   | A1 | 20050914 | EP 2003-813233   | 20031203   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK |    |          |                  |            |
| BR 2003016572  | A  | 20051004 | BR 2003-16572    | 20031203   |
| CN 1720029   | A  | 20060111 | CN 2003-80105291 | 20031203   |
| JP 2006511606  | T2 | 20060406 | JP 2005-502472   | 20031203   |
| US 2004180958  | A1 | 20040916 | US 2003-732613   | 20031210   |
| NO 2005003355  | A  | 20050711 | NO 2005-3355     | 20050711   |
| PRIORITY APPLN. INFO.:   |    |          |                  |            |
|  |    |          | US 2002-433491P  | P 20021213 |
|  |    |          | GB 2003-2657     | A 20030205 |
|  |    |          | US 2003-454074P  | P 20030312 |
|  |    |          | WO 2003-IB5729   | W 20031203 |

OTHER SOURCE(S): MARPAT 141:89004

ED Entered STN: 02 Jul 2004

GI



AB Disclosed is the use of an alpha-2-delta ligand, or a pharmaceutically acceptable derivative thereof, for the manufacture of a medicament for the treatment of lower urinary tract symptoms (LUTS), other than urinary incontinence, which are associated with overactive bladder (OAB) and/or benign prostatic hyperplasia (BPH). Such use of approx. 35 specific compds. and/or their derivs. is claimed. For instance, (2S,4R)-4-hydroxypyrrolidine-1,2-dicarboxylic acid 1-tert-Bu 2-Me ester was etherified with 3-chlorophenol under Mitsunobu conditions (86%), followed by saponification of the Me ester with LiOH in aqueous THF (98%), and hydrolysis of the tert-Bu ester with HCl in dioxane/THF (86.7%), to give acid I, a use-claimed ligand, as the HCl salt, on a 7-kg scale. In tests of gabapentin, a well-known alpha-2-delta ligand, on the micturition reflex of anesthetized rats, a significant, dose-dependent increase in interval between voiding episodes was observed relative to control animals, with a reduction in voids per h from approx. 5 to <1.

IC ICM A61K031-00

ICS A61K031-197; A61P013-00; A61K031-195

CC 27-10 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 63

IT 60142-95-2, Gabapentin hydrochloride 60142-96-3, Gabapentin  
148553-50-8, Pregabalin **219135-98-5** 227625-35-6 227626-51-9  
313651-33-1 335458-65-6 335458-65-6D, derivs. 335671-52-8D, derivs.  
335671-53-9D, derivs. 335671-55-1D, derivs. 473829-37-7 473829-38-8  
473829-39-9 473829-40-2 473829-41-3 473829-42-4 473829-43-5  
473829-44-6 473829-45-7 473829-46-8 473924-33-3 473924-35-5  
663178-19-6D, derivs. 663178-21-0D, derivs. 663178-24-3D, derivs.  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)

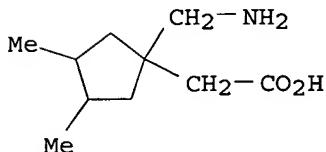
(drug use candidate; preparation of alpha-2-delta ligands to treat lower urinary tract symptoms)

IT **219135-98-5**

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (drug use candidate; preparation of alpha-2-delta ligands to treat lower  
 urinary tract symptoms)

RN 219135-98-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl- (9CI) (CA INDEX  
 NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:162588 CAPLUS

DOCUMENT NUMBER: 140:210798

TITLE: Synergistic combination of an  $\alpha 2\delta$  ligand

and a PDEV inhibitor for use in the treatment of pain

INVENTOR(S): Field, Mark John; Williams, Richard Griffith

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

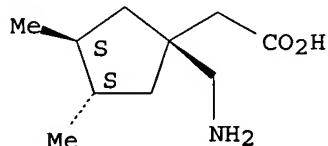
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE       |
|--|------|----------|-----------------|------------|
| WO 2004016259  | A1   | 20040226 | WO 2003-IB3476  | 20030804   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,<br>CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,<br>GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,<br>LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,<br>PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,<br>TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |            |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,<br>KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,<br>FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,<br>BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |            |
| CA 2495433   | AA   | 20040226 | CA 2003-2495433 | 20030804   |
| AU 2003249476  | A1   | 20040303 | AU 2003-249476  | 20030804   |
| EP 1536782   | A1   | 20050608 | EP 2003-787957  | 20030804   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |          |                 |            |
| BR 2003013484  | A    | 20050621 | BR 2003-13484   | 20030804   |
| JP 2006502139  | T2   | 20060119 | JP 2004-528754  | 20030804   |
| US 2004092591  | A1   | 20040513 | US 2003-640547  | 20030813   |
| NO 2005000782  | A    | 20050408 | NO 2005-782     | 20050214   |
| PRIORITY APPLN. INFO.:   |      |          | GB 2002-19024   | A 20020815 |
|  |      |          | GB 2002-23067   | A 20021004 |
|  |      |          | US 2002-421866P | P 20021028 |
|  |      |          | WO 2003-IB3476  | W 20030804 |

ED Entered STN: 29 Feb 2004  
 AB The invention relates to a combination of an  $\alpha 2\delta$  ligand and a PDEV inhibitor for use in therapy, particularly in the curative, prophylactic or palliative treatment of pain, particularly neuropathic pain. Particularly preferred  $\alpha 2\delta$   $\alpha 2\delta$  ligands are gabapentin and pregabalin. Particularly preferred PDEV inhibitors are sildenafil, vardenafil and tadalafil. Combinations of gabapentin and sildenafil on CCI-induced allodynia showed synergic effects over those effects with the drugs administered alone. (3S,5R)-3-amino-5-methyloctanoic acid was prepared as an example of an  $\alpha 2\delta$  ligand.  
 IC ICM A61K031-195  
 ICS A61K031-197; A61K031-522; A61K031-4985; A61K031-53; A61P025-00;  
 A61P025-02  
 CC 1-11 (Pharmacology)  
 Section cross-reference(s): 23, 24, 28, 63  
 IT 223445-75-8P 227625-35-6P 227626-51-9P 313651-33-1P  
 335458-65-6P 473924-33-3P 610300-02-2P 610300-05-5P 610300-06-6P  
 610300-07-7P 610300-08-8P 610300-10-2P 610300-11-3P 610300-13-5P  
 610300-14-6P 610300-15-7P 610300-19-1P 610300-20-4P 610300-30-6P  
 663920-78-3P 663920-98-7P 663920-99-8P 664345-46-4P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (synergistic combination of an  $\alpha 2\delta$  ligand and a PDEV inhibitor for use in the treatment of pain)  
 IT 223445-75-8P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (synergistic combination of an  $\alpha 2\delta$  ligand and a PDEV inhibitor for use in the treatment of pain)  
 RN 223445-75-8 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2004:60177 CAPLUS  
 DOCUMENT NUMBER: 140:128685  
 TITLE: Methods for synthesis of acyloxyalkyl derivatives of GABA analogs  
 INVENTOR(S): Raillard, Stephen P.; Zhou, Cindy X.; Yao, Fenmei; Manthati, Suresh Kumar; Xiang, Jia-ning; Gallop, Mark A.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 29 pp., Cont.-in-part of U.S. Ser. No. 171,485.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

## PATENT INFORMATION:

| PATENT NO.  | KIND | DATE            | APPLICATION NO. | DATE     |
|---|------|-----------------|-----------------|----------|
| US 2004014940   | A1   | 20040122        | US 2003-460091  | 20030611 |
| US 2003176398   | A1   | 20030918        | US 2002-171485  | 20020611 |
| US 6818787  | B2   | 20041116        |                 |          |
| ZA 2003009679   | A    | 20041222        | ZA 2003-9679    | 20020611 |
| US 2004006132   | A1   | 20040108        | US 2003-459242  | 20030610 |
| US 6972341  | B2   | 20051206        |                 |          |
| WO 2003104184   | A1   | 20031218        | WO 2003-US18495 | 20030611 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW |      |                 |                 |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |                 |                 |          |
| AU 2003247522   | A1   | 20031222        | AU 2003-247522  | 20030611 |
| EP 1554237  | A1   | 20050720        | EP 2003-757492  | 20030611 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |                 |                 |          |
| JP 2005529941   | T2   | 20051006        | JP 2004-511254  | 20030611 |
| ZA 2003009678   | A    | 20050812        | ZA 2003-9678    | 20031212 |
| US 2004198820   | A1   | 20041007        | US 2004-829896  | 20040421 |
| PRIORITY APPLN. INFO.:  |      |                 |                 |          |
|   |      | US 2001-297521P | P               | 20010611 |
|   |      | US 2001-298514P | P               | 20010614 |
|   |      | US 2002-366090P | P               | 20020319 |
|   |      | US 2002-171485  | A2              | 20020611 |
|   |      | US 2002-170127  | A1              | 20020611 |
|   |      | WO 2003-US18495 | W               | 20030611 |

OTHER SOURCE(S): CASREACT 140:128685; MARPAT 140:128685

ED Entered STN: 26 Jan 2004

AB The invention provides a method for synthesizing 1-(acyloxy)alkyl carbamates R<sub>1</sub>CO<sub>2</sub>CR<sub>2</sub>R<sub>3</sub>O<sub>2</sub>C(NR<sub>4</sub>CHR<sub>5</sub>CO)<sub>n</sub>NHCHR<sub>6</sub>CR<sub>7</sub>R<sub>8</sub>CHR<sub>9</sub>CO<sub>2</sub>R<sub>10</sub> [n is 0 or 1; R<sub>1</sub> is acyl or groups (un)substituted alkyl, aryl, arylalkyl, cycloalkyl, cycloheteroalkyl, heteroalkyl, heteroaryl, heteroarylalkyl (groups Q); R<sub>2</sub>, R<sub>3</sub> are H, (un)substituted alkoxy carbonyl, carbamoyl or groups Q; or R<sub>2</sub>R<sub>3</sub>C is (un)substituted cycloalkyl or cycloheteroalkyl; R<sub>4</sub> is H or groups Q; R<sub>5</sub> is H, (un)substituted alkoxy, acyl, carbamoyl or groups Q; or R<sub>4</sub>R<sub>5</sub>C is (un)substituted cycloheteroalkyl; R<sub>6</sub>, R<sub>9</sub> are H or groups Q; R<sub>7</sub>, R<sub>8</sub> are H, (un)substituted acyl or groups Q except aryl; or R<sub>7</sub>R<sub>8</sub>C is (un)substituted cycloalkyl, cycloheteroalkyl or bridged cycloalkyl; R<sub>10</sub> is H, aryldialkylsilyl, trialkylsilyl, or groups Q] of GABA analogs from 1-haloalkyl carbamates XCR<sub>2</sub>R<sub>3</sub>O<sub>2</sub>C(NR<sub>4</sub>CHR<sub>5</sub>CO)<sub>n</sub>NHCHR<sub>6</sub>CR<sub>7</sub>R<sub>8</sub>CHR<sub>9</sub>CO<sub>2</sub>R<sub>10</sub> (X is F, Cl, Br, or I). Thus, gabapentin (a GABA analog) was converted into benzyl 1-[( $\alpha$ -isobutanyloxyethoxy)carbonyl]aminomethylcyclohexaneacetate by esterification with benzyl alc., acylation with 1-chloroethyl chloroformate, and esterification with isobutyric acid.

IC ICM C07K001-04

ICS C07C271-10; C07D213-55

INCL 530332000; 560041000; 546335000; 560024000; 560159000

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 63

IT 60142-99-6 194862-79-8 194862-80-1 196608-53-4 196608-58-9

196608-60-3 196608-62-5 206749-40-8 206749-41-9 206749-42-0  
**219135-91-8 219135-98-5 271580-09-7 271580-10-0**  
 313651-01-3, 3-Aminomethyl-5-methyl-heptanoic acid; 313651-02-4,  
 3-Aminomethyl-5-methyl-octanoic acid 313651-03-5 313651-04-6  
 313651-08-0 313651-09-1 313651-10-4 313651-11-5 313651-13-7  
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 478297-25-5 478297-26-6 478297-27-7

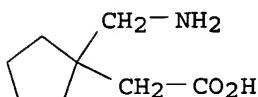
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (GABA analog; synthesis of acyloxyalkyl derivs. of GABA analogs)

IT **60142-99-6 219135-91-8 219135-98-5**

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (GABA analog; synthesis of acyloxyalkyl derivs. of GABA analogs)

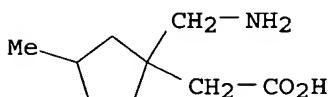
RN 60142-99-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



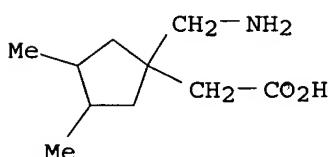
RN 219135-91-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 219135-98-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl- (9CI) (CA INDEX NAME)



L14 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:41129 CAPLUS

DOCUMENT NUMBER: 140:99631

TITLE: Gastrointestinal compositions containing GABA analogs

INVENTOR(S): Ciociola, Arthur A.; Segal, Catherine A.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 11 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

|  |    |          |                 |          |
|--|----|----------|-----------------|----------|
| US 2004010035  | A1 | 20040115 | US 2002-196060  | 20020715 |
| CA 2491721   | AA | 20040122 | CA 2003-2491721 | 20030630 |
| WO 2004006901  | A1 | 20040122 | WO 2003-IB3156  | 20030630 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,<br>CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,<br>GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,<br>LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,<br>PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,<br>UA, UG, US, UZ, VN, YU, ZA, ZM, ZW |    |          |                 |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,<br>KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,<br>FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,<br>BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |    |          |                 |          |
| AU 2003247042  | A1 | 20040202 | AU 2003-247042  | 20030630 |
| BR 2003012568  | A  | 20050503 | BR 2003-12568   | 20030630 |
| EP 1549302   | A1 | 20050706 | EP 2003-764069  | 20030630 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |    |          |                 |          |
| JP 2005533100  | T2 | 20051104 | JP 2004-521018  | 20030630 |
| PRIORITY APPLN. INFO.: US 2002-193640 A 20020710<br>US 2002-196060 A 20020715<br>WO 2003-IB3156 W 20030630   |    |          |                 |          |

OTHER SOURCE(S) : MARPAT 140:99631

ED Entered STN: 18 Jan 2004

AB The invention relates to compns. and methods for treating and/or preventing lower gastrointestinal (GI) disorders in mammalian patients, more particularly for alleviating and/or preventing the lower GI symptoms associated with such disorders. A gelatin capsule comprised gabapentin 20.000, trimebutine 20.000, lactose 5.000, and tricalcium phosphate 55.000%.

IC ICM A61K031-21

INCL 514506000

CC 63-6 (Pharmaceuticals)

Section cross-reference(s) : 1

IT 51-77-4, Gefarnate 56-12-2D, GABA, analogs 56-81-5, Glycerin, biological studies 60-54-8, Tetracycline 67-20-9, Nitrofurantoin 84-65-1D, Anthraquinone, derivs. 101-81-5D, Diphenylmethane, derivs. 128-49-4, Dioctyl calcium sulfosuccinate 154-23-4, Catechin 364-62-5, Metoclopramide 489-84-9, Guaiazulene 577-11-7, Dioctyl sodium sulfosuccinate 1222-57-7, Zolimidine 1309-42-8, Magnesium hydroxide 1397-74-6, Acetyltauric acid 1406-05-9, Penicillin 5697-56-3, Carbenoxolone 6277-14-1, Acetoxolone 6809-52-5, Teprenone 6998-60-3, Rifamycin 7487-88-9, Magnesium sulfate, biological studies 7491-09-0, Dioctyl potassium sulfosuccinate 7527-94-8, Alkofanone 7558-79-4, Dibasic sodium phosphate 7558-80-7, Monobasic sodium phosphate 7779-25-1, Magnesium citrate 9003-97-8, Polycarbophil 9004-32-4, Carboxymethyl cellulose sodium 9004-67-5, Methyl cellulose 9007-67-4, Enterogastrone 11042-64-1,  $\gamma$ -Oryzanol 11111-12-9, Cephalosporin 12607-92-0, Aceglutamide aluminum 15479-57-9, Aluminum salicylate 19368-18-4, Ftaxilide 20231-81-6, Uzarin 23910-07-8, Mebiquine 28797-61-7, Pirenzepine 30751-05-4, Troxipide 34675-84-8, Cetraxate 36877-68-6, Nitroimidazole 39133-31-8, Trimebutine 51481-61-9, Cimetidine 54182-58-0, Sucralfate 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine 55028-70-1, Arbaprostil 56208-01-6, Pifarnine 56695-65-9, Rosaprostol 57381-26-7, Irsogladine 57644-54-9, Bismuth subcitrate 57808-66-9, Domperidone 60142-96-3, Gabapentin 61869-08-7, Paroxetine 64204-55-3, Esaprazole 64218-02-6, Plaunotol 64506-49-6, Sofalcone 66357-35-5, Ranitidine 66871-56-5, Lidamidine 69900-72-7, Trimoprostil 70667-26-4, Ornoprostil 72492-12-7,

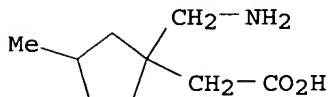
Spizofurone 73121-56-9, Enprostil 73590-58-6, Omeprazole 76824-35-6,  
 Famotidine 76963-41-2, Nizatidine 77287-05-9, Rioprostil 78628-28-1,  
 Roxatidine acetate 78718-25-9, Benexate hydrochloride 79617-96-2,  
 Sertraline 80738-43-8, Lincosamide 81098-60-4, Cisapride 83150-76-9,  
 Octreotide 92071-51-7, Rotraxate 99614-02-5, Ondansetron  
 112727-80-7, Renzapride 120635-74-7, Cilansetron 122852-42-0,  
 Alosetron 123618-00-8, Fedotozine 126040-58-2, Calcium polycarbophil  
 128013-69-4 148553-50-8 196608-53-4 219135-91-8  
 219135-98-5 219136-10-4  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (gastrointestinal compns.)

IT 219135-91-8 219135-98-5

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (gastrointestinal compns.)

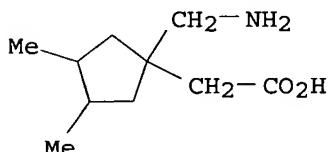
RN 219135-91-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 219135-98-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl- (9CI) (CA INDEX NAME)



L14 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:41128 CAPLUS  
 DOCUMENT NUMBER: 140:99630  
 TITLE: Gastrointestinal compositions containing GABA analogs  
 INVENTOR(S): Ciociola, Arthur A.; Segal, Catherine A.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 10 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| US 2004010034   | A1   | 20040115 | US 2002-193640  | 20020710 |
| CA 2491721  | AA   | 20040122 | CA 2003-2491721 | 20030630 |
| WO 2004006901   | A1   | 20040122 | WO 2003-IB3156  | 20030630 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,<br>CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,<br>GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,<br>LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, |      |          |                 |          |

|   |                           |
|---|---------------------------|
| PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,<br>UA, UG, US, UZ, VN, YU, ZA, ZM, ZW   |                           |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,<br>KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,<br>FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,<br>BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |                           |
| AU 2003247042 A1 20040202   | AU 2003-247042 20030630   |
| BR 2003012568 A 20050503  | BR 2003-12568 20030630    |
| EP 1549302 A1 20050706  | EP 2003-764069 20030630   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  |                           |
| JP 2005533100 T2 20051104   | JP 2004-521018 20030630   |
| PRIORITY APPLN. INFO.:  | US 2002-193640 A 20020710 |
|   | US 2002-196060 A 20020715 |
|   | WO 2003-IB3156 W 20030630 |

OTHER SOURCE(S): MARPAT 140:99630

ED Entered STN: 18 Jan 2004

AB The invention relates to compns. and methods for treating and/or preventing lower gastrointestinal (GI) disorders in mammalian patients, more particularly for alleviating and/or preventing the lower GI symptoms associated with such disorders. Thus, capsules contained gabapentin 20.000, trimebutine 20.000, lactose, 5.000, and tricalcium phosphate 55.000%.

IC ICM A61K031-21

INCL 514506000

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 1

IT 51-77-4, Gefarnate 56-12-2D, GABA, analogs 56-81-5, Glycerin, biological studies 60-54-8, Tetracycline 61-33-6, biological studies 67-20-9, Nitrofurantoin 84-65-1D, Anthraquinone, derivs. 101-81-5D, Diphenylmethane, derivs. 128-49-4, Dioctyl calcium sulfosuccinate 154-23-4, Catechin 364-62-5, Metoclopramide 489-84-9, Guaiaculene 577-11-7, Dioctyl sodium sulfosuccinate 1222-57-7, Zolimidine 1309-42-8, Magnesium hydroxide 1397-74-6, Acetyl tannic acid 5697-56-3, Carbenoxolone 6277-14-1, Acetoxolone 6809-52-5, Teprenone 6998-60-3, Rifamycin 7487-88-9, Magnesium sulfate, biological studies 7491-09-0, Dioctyl potassium sulfosuccinate 7527-94-8, Alkofanone 7558-79-4, Dibasic sodium phosphate 7558-80-7, Monobasic sodium phosphate 7779-25-1, Magnesium citrate 9004-32-4, Carboxymethyl cellulose sodium 9004-67-5, Methyl cellulose 9007-67-4, Enterogastrone 11042-64-1,  $\gamma$ -Oryzanol 11111-12-9, Cephalosporin 12607-92-0, Aceglutamide aluminum 15479-57-9, Aluminum salicylate 19368-18-4, Ftaxilide 20231-81-6, Uzarlin 23910-07-8, Mebiquine 28797-61-7, Pirenzepine 30751-05-4, Troxipide 34675-84-8, Cetraurate 36877-68-6D, Nitroimidazole, derivs. 39133-31-8, Trimebutine 51481-61-9, Cimetidine 53908-04-6D, Penam, derivs. 54182-58-0, Sucralfate 55028-70-1, Araprostil 56208-01-6, Pifarnine 56695-65-9, Rosaprostol 57381-26-7, Irsogladine 57644-54-9, Bismuth subcitrate 57808-66-9, Domperidone 60142-96-3, Gabapentin 64204-55-3, Esaprazole 64218-02-6, Plaunotol 64506-49-6, Sofalcone 66357-35-5, Ranitidine 66871-56-5, Lidamidine 69900-72-7, Trimoprostil 70020-71-2,  $\alpha$ -Acetamidocaproic acid zinc salt 70667-26-4, Ornoprostil 72492-12-7, Spizofurone 73121-56-9, Enprostil 73590-58-6, Omeprazole 76824-35-6, Famotidine 76963-41-2, Nizatidine 77287-05-9, Rioprostil 78628-28-1, Roxatidine acetate 78718-25-9, Benexate hydrochloride 80738-43-8, Lincosamide 81098-60-4, Cisapride 83150-76-9, Octreotide 92071-51-7, Rotraxate 99614-02-5, Ondansetron 122852-42-0, Alosetron 123618-00-8, Fedotozine 128013-69-4 148553-50-8 179474-81-8, Prucalopride 196608-53-4 219135-91-8 219135-98-5 219136-10-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

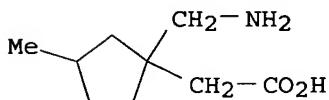
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IT 219135-91-8 219135-98-5

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(gastrointestinal compns. containing GABA analogs)

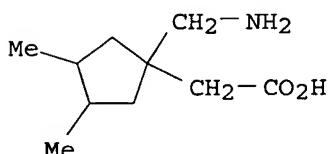
RN 219135-91-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 219135-98-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl- (9CI) (CA INDEX NAME)



L14 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2006 ACS on STM

ACCESSION NUMBER: 2003:678656 CAPLUS

DOCUMENT NUMBER: 139:202522

TITLE: Combinations of an alpha-2-delta ligand with a selective inhibitor of cyclooxygenase-2

INVENTOR(S): Taylor, Charles Price, Jr.

PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA

SOURCE: PCT Int. Appl., 135 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

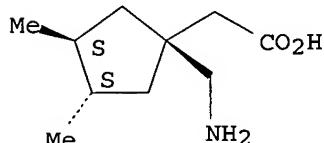
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2003070237   | A1   | 20030828 | WO 2003-IB534   | 20030212 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| CA 2476438  | AA   | 20030828 | CA 2003-2476438 | 20030212 |
| AU 2003246864   | A1   | 20030909 | AU 2003-246864  | 20030212 |
| EP 1480639  | A1   | 20041201 | EP 2003-742460  | 20030212 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |          |                 |          |

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| BR 2003007906          | A  | 20041221 | BR 2003-7906    | 20030212   |
| CN 1635887             | A  | 20050706 | CN 2003-804356  | 20030212   |
| JP 2005523281          | T2 | 20050804 | JP 2003-569193  | 20030212   |
| US 2003199567          | A1 | 20031023 | US 2003-366798  | 20030214   |
| NO 2004003947          | A  | 20040921 | NO 2004-3947    | 20040921   |
| PRIORITY APPLN. INFO.: |    |          | US 2002-359295P | P 20020222 |
|                        |    |          | US 2002-404365P | P 20020819 |
|                        |    |          | WO 2003-IB534   | W 20030212 |

ED Entered STN: 29 Aug 2003  
 AB The invention relates to a combination, comprising a selective inhibitor of COX-2, or a pharmaceutically acceptable salt thereof, and a ligand for calcium channel  $\alpha 2\delta$  subunit, or a pharmaceutically acceptable salt thereof, and valdecoxib. Examples of selective inhibitors of COX-2 include valdecoxib, rofecoxib, and celecoxib. Examples of  $\alpha 2\delta$  ligands include gabapentin, pregabalin, (3S,4S)-(1-aminomethyl-3,4-dimethyl-cyclopentyl)-acetic acid, and 3-(1-aminomethyl-cyclohexymethyl)-4H-[1,2,4]oxadiazol-5-one hydrochloride (I). The combinations are useful for treating certain diseases including cartilage damage, inflammation, pain, and arthritis. For example, capsules containing 25 mg each of valdecoxib and I were prepared  
 IC ICM A61K031-42  
 ICS A61K031-195; A61K031-4245; A61P019-02  
 CC 63-6 (Pharmaceuticals)  
 Section cross-reference(s): 1  
 IT 60142-96-3, Gabapentin 148553-50-8, Pregabalin 162011-90-7, Rofecoxib 169590-42-5, Celecoxib 181695-72-7, Valdecoxib 223445-75-8  
 227626-75-7 228104-34-5 335671-48-2 473924-33-3  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (compns. containing combinations of ligand for calcium channel  
 $\alpha 2\delta$  subunit with selective inhibitor of cyclooxygenase-2)  
 IT 223445-75-8  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (compns. containing combinations of ligand for calcium channel  
 $\alpha 2\delta$  subunit with selective inhibitor of cyclooxygenase-2)  
 RN 223445-75-8 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, (3S,4S)- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2003:610247 CAPLUS  
 DOCUMENT NUMBER: 139:164792  
 TITLE: Preparation of (1-aminomethyl-1-cycloalkyl)acetic acid derivatives and 4-aminobutanoic acid derivatives as alpha 2 delta ligands to treat tinnitus  
 INVENTOR(S): Dooley, David James; Wustrow, David Juergen  
 PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA  
 SOURCE: PCT Int. Appl., 225 pp.

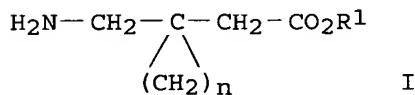
CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE        |
|---|------|----------|-----------------|-------------|
| WO 2003063845   | A1   | 20030807 | WO 2003-IB232   | 20030120    |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |             |
| CA 2474000  | AA   | 20030807 | CA 2003-2474000 | 20030120    |
| EP 1469841  | A1   | 20041027 | EP 2003-700417  | 20030120    |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |          |                 |             |
| BR 2003007411   | A    | 20041207 | BR 2003-7411    | 20030120    |
| CN 1625393  | A    | 20050608 | CN 2003-803138  | 20030120    |
| JP 2005521664   | T2   | 20050721 | JP 2003-563539  | 20030120    |
| US 2003176504   | A1   | 20030918 | US 2003-353367  | 20030129    |
| US 7026505  | B2   | 20060411 |                 |             |
| ZA 2004003069   | A    | 20050422 | ZA 2004-3069    | 20040422    |
| US 2006100281   | A1   | 20060511 | US 2005-314126  | 20051221    |
| PRIORITY APPLN. INFO.:  |      |          | US 2002-353632P | P 20020131  |
|   |      |          | WO 2003-IB232   | W 20030120  |
|   |      |          | US 2003-353367  | A3 20030129 |

OTHER SOURCE(S): MARPAT 139:164792

ED Entered STN: 08 Aug 2003

GI



AB The invention relates to a method of treating tinnitus by administering an  $\alpha 2\delta$  [ $\alpha 2\delta$  subunit of presynaptic P/Q-type voltage-sensitive  $\text{Ca}^{2+}$  channels (VGCC)] ligand such as, for example, a compound of formula (I;  $\text{R}1 = \text{H}$ , straight or branched lower alkyl;  $n = \text{an integer of } 4-6$ ) or  $\gamma$ -aminobutyric acid derivs. represented by formula  $\text{H}_2\text{NCH}(\text{R}3)\text{CR}1\text{R}2\text{CH}_2\text{CO}_2\text{H}$  [ $\text{R}1 = \text{straight or branched unsubstituted C1-6 alkyl, unsubstituted Ph, unsubstituted C3-6 cycloalkyl; R2 = H, Me; R3 = H, Me, CO}_2\text{H}$ ] and pharmaceutically acceptable salts thereof. Thus,  $\text{NaH}$  (60% dispersion, 2.4 g, 65 mmol) was washed with hexane, suspended in 60 mL dimethoxyethane, slowly treated with tri-Et phosphonoacetate over 5 min under ice-cooling in ice water bath was slowly added, stirred for 15 min at  $0^\circ$ , treated with a solution of 3-methyl-1-pentanal (6.5 g, 65 mmol) 20 mL in methoxyethane, and refluxed overnight to give, after

workup, Et 61% 5-methyl-2-heptenoate (II). II 6.75, DBU 6.0, and MeNO<sub>2</sub> 21.97 g were stirred in 80 mL MeCN overnight under N<sub>2</sub> to give, after workup, 42% Et 5-methyl-3-nitromethylheptanoate (III). III (3.6 g) was hydrogenated in the presence of 20% Pd-C in ethanol to give Et 3-aminomethyl-5-methylheptanoate which was refluxed in 30 mL 6 N aqueous HCl overnight to give, after purification on a column of Dowex 50WX8-100 ion exchange resin, 630 mg 3-aminomethyl-5-methylheptanoic acid. A tablet, a coated tablet, in injection vial, and a suppository formulation, e.g. a tablet containing 3-[(1-aminomethylcyclohexyl)methyl]-4H-[1,2,4]oxadiazol-5-one hydrochloride, were prepared

|    |  |
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| IC | ICM A61K031-00   |
|    | ICS A61P027-16; A61K031-13; A61K031-131; A61K031-137; A61K031-4245; A61K031-41; A61K031-662; A61K031-18; A61K031-443   |
| CC | 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))   |
|    | Section cross-reference(s): 23, 24, 25, 63   |
| IT | 208836-20-8P, (R)-2,6-Dimethylnon-2-ene 223445-75-8P<br>227625-02-7P, N-[2-(1-Aminomethylcyclohexyl)ethyl]methanesulfonamide<br>227625-03-8P, [(1-Aminomethylcyclohexyl)methyl]phosphonic acid<br>227625-04-9P, (2-Aminomethyl-4-methylpentyl)phosphonic acid<br>227625-05-0P, [[1-(1H-Tetrazol-5-ylmethyl)cyclohexyl)methyl]amine<br>227625-06-1P, 4-Methyl-2-(1H-tetrazol-5-ylmethyl)pentylamine<br>227625-35-6P, 3-[[1-(Aminomethyl)cyclohexyl)methyl]-4H-[1,2,4]oxadiazol-5-one<br>227626-51-9P, [[1-(1H-Tetrazol-5-ylmethyl)cycloheptyl)methyl]amine<br>227626-59-7P, N-[2-(1-Nitromethyl)cyclohexyl)ethyl]acetamide<br>227626-72-4P, N-[2-(1-Aminomethylcyclohexyl)ethyl]methanesulfonamide<br>hydrochloride 227626-73-5P, [[1-(1H-Tetrazol-5-ylmethyl)cyclohexyl)methyl]amine hydrochloride 227626-74-6P,<br>N-[2-(1-Aminomethylcyclohexyl)ethyl]acetamide hydrochloride<br>227626-75-7P, 3-[(1-Aminomethylcyclohexyl)methyl]-4H-[1,2,4]oxadiazol-5-one hydrochloride 227626-76-8P, 3-[(1-Aminomethylcyclohexyl)methyl]-4H-[1,2,4]oxadiazole-5-thione hydrochloride 227626-77-9P,<br>[[9-(1H-Tetrazol-5-ylmethyl)bicyclo[3.3.1]non-9-yl]methyl]amine hydrochloride 227626-78-0P, [[2-(1H-Tetrazol-5-ylmethyl)adamantan-2-yl]methyl]amine hydrochloride 227626-79-1P 228104-32-3P,<br>4-Methyl-2-(1H-tetrazol-5-ylmethyl)pentylamine hydrochloride 228104-33-4P, 3-(2-Aminomethyl-4-methylpentyl)-4H-[1,2,4]oxadiazole-5-thione hydrochloride 228104-34-5P, 3-(2-Aminomethyl-4-methylpentyl)-4H-[1,2,4]oxadiazol-5-one hydrochloride 228104-35-6P, 3-(3-Amino-2-cyclopentylpropyl)-4H-[1,2,4]oxadiazol-5-one 228104-36-7P,<br>3-(3-Amino-2-cyclopentylpropyl)-4H-[1,2,4]thiadiazol-5-one 228104-37-8P<br>228104-38-9P, 3-(3-Amino-2-cyclobutylpropyl)-4H-[1,2,4]oxadiazol-5-one<br>228104-39-0P, 3-(3-Amino-2-cyclobutylpropyl)-4H-[1,2,4]thiadiazol-5-one<br>228104-40-3P, 2-Cyclobutyl-3-(2-oxo-2,3-dihydro-2λ4-[1,2,3,5]oxathiadiazol-4-yl)propylamine 313651-01-3P,<br>3-Aminomethyl-5-methylheptanoic acid 313651-02-4P, 3-Aminomethyl-5-methyloctanoic acid 313651-22-8P, (3R,4S)-3-Aminomethyl-4,5-dimethylhexanoic acid 313651-25-1P 313651-26-2P, 3-Aminomethyl-4-isopropylhexanoic acid 313651-28-4P, 3-Aminomethyl-4-isopropyloctanoic acid 313651-32-0P, (3S,5R)-3-Aminomethyl-5-methylheptanoic acid 313651-33-1P 313651-35-3P 313652-91-4P, 3-Aminomethyl-5,7-dimethyloctanoic acid 313652-94-7P 313652-99-2P 313653-05-3P<br>313653-08-6P 313653-15-5P, (3S,5R)-3-Aminomethyl-5-methyloctanoic acid hydrochloride 313653-29-1P, (3S,5S)-3-Aminomethyl-5-methyloctanoic acid 313653-36-0P, (3S,5S)-3-Aminomethyl-5-methylheptanoic acid 313653-43-9P, (3S,5R)-3-Aminomethyl-5-methylnonanoic acid hydrochloride 313653-47-3P, (3S,5S)-3-Aminomethyl-5-methylnonanoic acid 313653-64-4P 313653-77-9P, 3-Aminomethyl-4-isopropylheptanoic acid hydrochloride 335458-39-4P<br>335458-46-3P 335458-59-8P 335458-65-6P 335458-69-0P, (3-Aminomethylbicyclo[3.2.0]hept-3-yl)acetic acid 335458-85-0P<br>335458-87-2P 335458-88-3P 335458-89-4P 335458-91-8P 335458-92-9P |

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (1-aminomethylcycloalkyl)acetic acid derivs. and 4-aminobutanoic acid derivs. as alpha 2 delta ligands for treating tinnitus)

IT 223445-75-8P

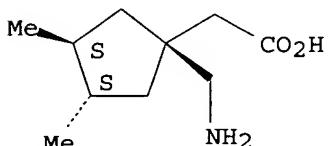
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (1-aminomethylcycloalkyl)acetic acid derivs. and 4-aminobutanoic acid derivs. as alpha 2 delta ligands for treating tinnitus)

RN 223445-75-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2002:964141 CAPLUS  
 DOCUMENT NUMBER: 138:24958  
 TITLE: Preparation of GABA analogs as prodrugs  
 INVENTOR(S): Gallop, Mark A.; Cundy, Kenneth C.; Zhou, Cindy X.; Yao, Fenmei; Xiang, Jia-Ning; Ollman, Ian R.; Qui, Fayang G.

PATENT ASSIGNEE(S): Xenopore, Inc., USA  
 SOURCE: PCT Int. Appl., 148 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2002100347 | A2   | 20021219 | WO 2002-US18689 | 20020611 |
| WO 2002100347 | A3   | 20031016 |                 |          |

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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
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 US 2003083382 A1 20030501 US 2002-170127 20020611  
 US 6833140 B2 20041221  
 EP 1404324 A2 20040407 EP 2002-744314 20020611  
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 CN 1533270 A 20040929 CN 2002-814583 20020611  
 JP 2004536873 T2 20041209 JP 2003-516067 20020611  
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 NZ 530109 A 20050624 NZ 2002-530109 20020611  
 CN 1753673 A 20060329 CN 2002-814572 20020611  
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 PRIORITY APPLN. INFO.: US 2001-297521P P 20010611  
 US 2001-298514P P 20010614  
 US 2002-366090P P 20020319  
 US 2002-170127 A1 20020611  
 WO 2002-US18689 W 20020611

OTHER SOURCE(S): MARPAT 138:24958

ED Entered STN: 20 Dec 2002

AB The invention provides prodrugs of GABA analogs and pharmaceutical compns. containing these prodrugs for treating or preventing common diseases and/or disorders. Compds. of formulas R1(X-CHR2CO)<sub>n</sub>NHCHR3CR4R5CHR6CO-Y-R7 [n = 0 or 1; X = O or an imino group; Y = O or S; R1 = (thio)acyl or phosphoryl groups, alkylthio, arylthio, etc.; R2-R7 = H, (cyclo)alkyl, aryl, etc.; CR4R5 = (un)substituted cyclo(hetero)alkyl, bridged cycloalkyl], R20R21C: (NCHR2CO)<sub>t</sub>(X-CHR2CO)<sub>u</sub>NHCHR3CR4R5CHR6CO-Y-R7 [t, u = 0 or 1; R20, R21 = groups similar to R4 and R5], and R1(X-CHR2CO)<sub>n</sub>NRCHR3CR4R5CHR6CO-R [R2 = CR22R23O (to form a lactone), where R22, R23 are groups similar to R4 and R5] are claimed. Thus, 1-[[[(pivaloyloxy)methoxy]carbonyl]amino]methyl]-1-cyclohexaneacetic acid (51) was prepared by acylation of gabapentin with p-nitrophenyl pivaloyloxymethyl carbonate (preparation given). In vitro Caco-2 cellular permeabilities of the prodrugs were determined, with compound

51

having Papp (apical to basolateral) and Papp (basolateral to apical) values of 1.06x10<sup>-4</sup> and 1.25x10<sup>-5</sup> cm/s, resp.

IC ICM A61K

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 63

IT 56-12-2DP, Gaba, analogs 60142-99-6P 128013-69-4P

194862-79-8P 194862-80-1P 196608-53-4P 196608-58-9P 196608-60-3P

196608-62-5P 206749-40-8P 206749-41-9P 206749-42-0P

**219135-91-8P 219135-98-5P 271580-09-7P 271580-10-0P**

313651-01-3P 313651-02-4P 313651-03-5P 313651-04-6P 313651-08-0P

313651-09-1P 313651-10-4P 313651-11-5P 313651-13-7P 374622-34-1P

478296-56-9P 478296-57-0P 478296-60-5P 478296-61-6P 478296-62-7P

478296-64-9P 478296-65-0P 478296-66-1P 478296-67-2P 478296-68-3P

478296-71-8P 478296-72-9P 478296-73-0P 478296-74-1P 478296-75-2P

478296-76-3P 478296-77-4P 478296-78-5P 478296-79-6P 478296-80-9P

478296-81-0P 478296-82-1P 478296-83-2P 478296-84-3P 478296-86-5P

478296-90-1P 478296-91-2P 478296-95-6P 478296-97-8P 478296-98-9P

478297-00-6P 478297-01-7P 478297-03-9P 478297-05-1P 478297-11-9P

478297-13-1P 478297-15-3P 478297-17-5P 478297-18-6P 478297-20-0P  
 478297-21-1P 478297-22-2P 478297-23-3P 478297-24-4P 478297-25-5P  
 478297-26-6P 478297-27-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of GABA analogs as prodrugs)

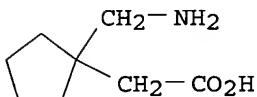
IT 60142-99-6P 219135-91-8P 219135-98-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of GABA analogs as prodrugs)

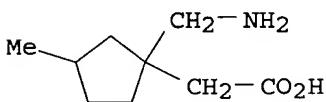
RN 60142-99-6 CAPPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



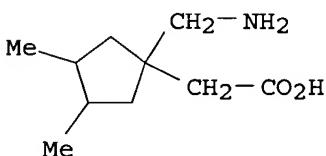
RN 219135-91-8 CAPPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 219135-98-5 CAPPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl- (9CI) (CA INDEX NAME)



L14 ANSWER 23 OF 28 CAPPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:435025 CAPPLUS

DOCUMENT NUMBER: 135:46446

TITLE: Branched chain amino acid-dependent aminotransferase inhibitors for treatment of diabetic retinopathy

INVENTOR(S): Bryans, Justin Stephen; Hu, Lain-Yen; Hutson, Susan M.; Lanoue, Kathryn Foley; Lieth, Erich; Rafferty, Michael Francis; Ryder, Todd Robert; Su, Ti-Zhi; Welty, Devin Franklin; Wustrow, David Juergen

PATENT ASSIGNEE(S): Warner-Lambert Company, USA; Penn State Research Foundation; Wake Forest University

SOURCE: PCT Int. Appl., 140 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

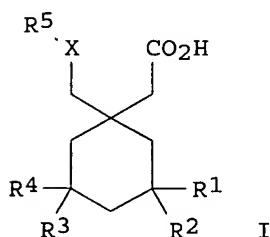
## PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO.  | DATE       |
|--|------|----------|------------------|------------|
| WO 2001042191  | A1   | 20010614 | WO 2000-US30769  | 20001108   |
| W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ,<br>EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT,<br>LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR,<br>TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,<br>DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,<br>BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG |      |          |                  |            |
| CA 2361647   | AA   | 20010614 | CA 2000-2361647  | 20001108   |
| BR 2000008443  | A    | 20011030 | BR 2000-8443     | 20001108   |
| EP 1157000   | A1   | 20011128 | EP 2000-977094   | 20001108   |
| EP 1157000   | B1   | 20051102 |                  |            |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO   |      |          |                  |            |
| EE 200100416   | A    | 20021216 | EE 2001-416      | 20001108   |
| JP 2003516379  | T2   | 20030513 | JP 2001-543493   | 20001108   |
| CN 1626069   | A    | 20050615 | CN 2004-10064117 | 20001108   |
| AT 308510  | E    | 20051115 | AT 2000-977094   | 20001108   |
| ZA 2001006260  | A    | 20021030 | ZA 2001-6260     | 20010730   |
| HR 2001000581  | A1   | 20020831 | HR 2001-581      | 20010803   |
| NO 2001003844  | A    | 20011004 | NO 2001-3844     | 20010807   |
| BG 105875  | A    | 20020531 | BG 2001-105875   | 20010905   |
| HK 1044933   | A1   | 20050603 | HK 2002-106385   | 20020829   |
| PRIORITY APPLN. INFO.:   |      |          |                  |            |
|  |      |          | US 1999-169635P  | P 19991208 |
|  |      |          | US 2000-175399P  | P 20000111 |
|  |      |          | US 2000-230020P  | P 20000905 |
|  |      |          | WO 2000-US30769  | W 20001108 |

OTHER SOURCE(S): MARPAT 135:46446

ED Entered STN: 15 Jun 2001

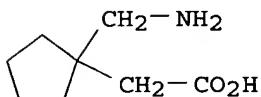
GI



AB Cycloalkylalkanoic acid derivs., e.g., I [R1-R4 = H or alkyl; X = NH, alkylimino, O; R5 = H, alkyl, benzyl, alkanoyl, alkoxyalkanoyl, arylalkyl, alkoxy, cycloalkyl, allyl, alkylcycloalkyl, alkoxy, cycloalkyl, alkylcycloalkyl, tri-substituted haloalkyl (when R1-R4 are each H, R6 ≠ H or Me)] or pharmaceutically acceptable salts, esters, prodrugs, or amides, were prepared Compds. I are inhibitors of the branched chain amino acid-dependent aminotransferase (BCAT) pathway in animals, in particular humans, and thus can be used to treat the retina for diabetic

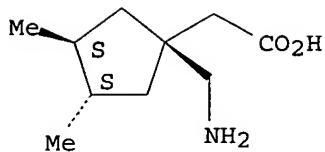
retinopathy prophylactically or therapeutically. Thus, trans-(1R,3R)-1-(aminomethyl)-3-methylcyclohexylacetic acid hydrochloride, prepared from (R)-3-methylcyclohexanone and Et cyanoacetate by a multistep procedure, showed IC<sub>50</sub> = 146 μM in an assay of BCAT.

IC ICM C07C229-28  
 ICS C07C059-11; C07C059-62; A61K031-19; A61P027-02  
 CC 34-2 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 1, 24  
 IT 60142-99-6P 63562-00-5P 66024-98-4P 66025-00-1P  
 66025-02-3P 66025-09-0P 196608-46-5P 196608-49-8P  
**223425-81-8P 223425-83-0P 223445-66-7P**  
 223445-67-8P 344459-71-8P 344459-73-0P 344459-77-4P  
 344459-79-6P 344459-83-2P 344459-85-4P 344459-87-6P 344459-89-8P  
 344459-91-2P 344459-93-4P 344459-95-6P **344459-99-0P**  
 344460-02-2P 344460-04-4P 344460-06-6P 344460-08-8P 344460-10-2P  
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 344571-27-3P 344571-28-4P 344574-37-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (branched chain amino acid-dependent aminotransferase inhibitors for treatment of diabetic retinopathy)  
 IT 60142-99-6P 223425-81-8P 223425-83-0P  
**223445-66-7P 223445-67-8P 344459-99-0P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (branched chain amino acid-dependent aminotransferase inhibitors for treatment of diabetic retinopathy)  
 RN 60142-99-6 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



RN 223425-81-8 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, hydrochloride, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

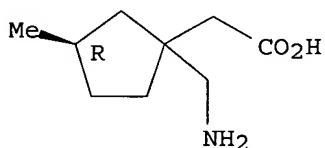


● HCl

RN 223425-83-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-, hydrochloride, (3R)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

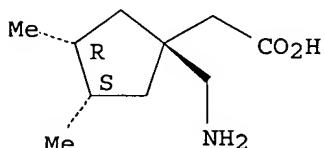


● HCl

RN 223445-66-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, hydrochloride,  
(3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

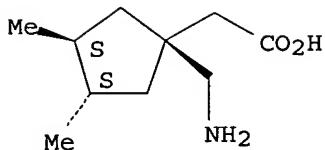


● HCl

RN 223445-67-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, hydrochloride,  
(3S,4S)- (9CI) (CA INDEX NAME)

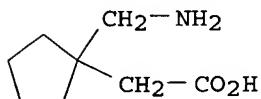
Absolute stereochemistry. Rotation (+).



● HCl

RN 344459-99-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:68151 CAPLUS

DOCUMENT NUMBER: 132:102843

TITLE: GABA analogs for preventing and treating gastrointestinal damage

INVENTOR(S): Guglietta, Antonio; Taylor, Charles Price, Jr.; Ren, Jiayuan; Watson, W. P.; Rafferty, Michael Francis; Diop, Laurent; Chovet, Maria; Bueno, Lionel; Little, Hilary J.

PATENT ASSIGNEE(S): Jouveinal, Fr.

SOURCE: Eur. Pat. Appl., 20 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| EP 974351  | A2   | 20000126 | EP 1998-401018  | 19980424 |
| EP 974351  | A3   | 20001213 |                 |          |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

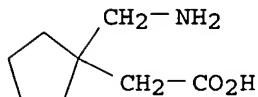
PRIORITY APPLN. INFO.: EP 1998-401018 19980424

OTHER SOURCE(S): MARPAT 132:102843

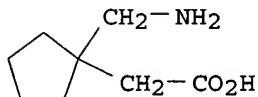
ED Entered STN: 28 Jan 2000

AB GABA analogs are useful to prevent and treat gastrointestinal damage and ethanol withdrawal syndrome. Preferred treatments employ gabapentin or pregabalin.

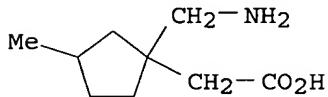
IC ICM A61K031-195  
 CC 1-9 (Pharmacology)  
 IT 56-12-2D, GABA, analogs 60142-96-3D, esters 60142-96-3D, esters  
**60142-99-6 60142-99-6D**, esters 63562-03-8  
 63562-03-8D, esters 148553-50-8, Pregabalin 148553-51-9 196608-53-4  
**219135-91-8 219135-98-5 219136-10-4**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
     (GABA analogs for preventing and treating gastrointestinal damage)  
 IT **60142-99-6 60142-99-6D**, esters **219135-91-8**  
**219135-98-5**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
     (GABA analogs for preventing and treating gastrointestinal damage)  
 RN 60142-99-6 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



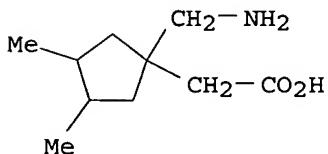
RN 60142-99-6 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



RN 219135-91-8 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 219135-98-5 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl- (9CI) (CA INDEX NAME)



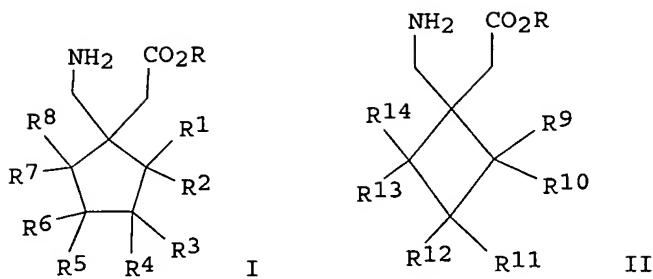
L14 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1999:297397 CAPLUS  
 DOCUMENT NUMBER: 130:297006  
 TITLE: Synthesis of cyclic amino acids and derivatives thereof useful as pharmaceutical agents  
 INVENTOR(S): Bryans, Justin Stephen; Horwell, David Christopher; Thorpe, Andrew John; Wustrow, David Juergen; Yuen, Po-Wai  
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA  
 SOURCE: PCT Int. Appl., 75 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.   | DATE        |
|---|------|----------|-------------------|-------------|
| WO 9921824  | A1   | 19990506 | WO 1998-US19876   | 19980923    |
| W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                   |             |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                   |             |
| CA 2303244  | AA   | 19990506 | CA 1998-2303244   | 19980923    |
| CA 2303244  | C    | 20051206 |                   |             |
| AU 9896638  | A1   | 19990517 | AU 1998-96638     | 19980923    |
| AU 755800   | B2   | 20021219 |                   |             |
| BR 9813284  | A    | 20000822 | BR 1998-13284     | 19980923    |
| EP 1032555  | A1   | 20000906 | EP 1998-950649    | 19980923    |
| EP 1032555  | B1   | 20060412 |                   |             |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY   |      |          |                   |             |
| TR 200001170  | T2   | 20001023 | TR 2000-200001170 | 19980923    |
| JP 2001521020   | T2   | 20011106 | JP 2000-517936    | 19980923    |
| JP 3756761  | B2   | 20060315 |                   |             |
| NZ 503651   | A    | 20020927 | NZ 1998-503651    | 19980923    |
| IL 134732   | A1   | 20040328 | IL 1998-134732    | 19980923    |
| CN 1500773  | A    | 20040602 | CN 2003-10120932  | 19980923    |
| AT 323067   | E    | 20060415 | AT 1998-950649    | 19980923    |
| ZA 9809740  | A    | 19990425 | ZA 1998-9740      | 19981026    |
| US 6635673  | B1   | 20031021 | US 2000-485382    | 20000208    |
| NO 2000002118   | A    | 20000426 | NO 2000-2118      | 20000426    |
| HK 1030768  | A1   | 20041217 | HK 2001-101728    | 20010312    |
| US 2003220397   | A1   | 20031127 | US 2003-448834    | 20030530    |
| US 6921835  | B2   | 20050726 |                   |             |
| US 2005159487   | A1   | 20050721 | US 2005-78961     | 20050311    |
| JP 2006096758   | A2   | 20060413 | JP 2005-319009    | 20051102    |
| PRIORITY APPLN. INFO.:  |      |          | US 1997-63644P    | P 19971027  |
|   |      |          | US 1998-97685P    | P 19980824  |
|   |      |          | CN 1998-810346    | A 19980923  |
|   |      |          | JP 2000-517936    | A3 19980923 |
|   |      |          | WO 1998-US19876   | W 19980923  |
|   |      |          | US 2000-485382    | A1 20000208 |
|   |      |          | US 2003-448834    | A3 20030530 |

OTHER SOURCE(S): MARPAT 130:297006

ED Entered STN: 14 May 1999

GI



**AB** The invention is a novel series of cyclic amino acids [(I, II); R = H, alkyl; R1 - R14 independently = H, (branched) alkyl, Ph, CH<sub>2</sub>Ph, F, Cl, Br, OH, CH<sub>2</sub>OH, NH<sub>2</sub>, CH<sub>2</sub>NH<sub>2</sub>, CF<sub>3</sub>, CO<sub>2</sub>h, CO<sub>2</sub>R<sub>15</sub>; CH<sub>2</sub>CO<sub>2</sub>R<sub>15</sub>, OR<sub>15</sub>; R<sub>15</sub> = (branched) alkyl, Ph, CH<sub>2</sub>Ph, and R<sub>1</sub>-R<sub>8</sub> are not simultaneously H] which are useful in the treatment of epilepsy, faintness attacks, neurodegenerative disorders, depression, anxiety, panic, pain, neuro-pathol. disorders, gastrointestinal disorders such as irritable bowel syndrome (IBS), and inflammation, especially arthritis. A pharmaceutical composition containing a compound of

the invention as well as methods of preparing the compds. and novel intermediates useful in the preparation of the final compds. are included. Thus, trans-3,4-dimethyl-cyclopentanone was reacted with triethylphosphonoacetate and NaH to give trans-(3,4-dimethyl-cyclopentylidene)acetic acid Et ester; this unsatd. ester was then reacted with H<sub>3</sub>CNO<sub>2</sub> to give trans-(3,4-dimethyl-1-nitro-methyl-cyclopentyl)acetic acid Et ester (III). III was hydrogenated to give a spiro-lactam, which was then ring-opened to give I (R = H, R<sub>1</sub>-R<sub>3</sub>, R<sub>6</sub>-R<sub>8</sub> = H, R<sub>4</sub>,R<sub>5</sub> = trans-Me's) as the HCl salt. In in vivo tests, III had IC<sub>50</sub> of 0.034 μM in carrageenan-induced thermal hyperalgesia tests using rats; in anticonvulsant efficacy tests using DBA/2 audiogenic mice, III had 100% efficiency at 1 h post-dose at 30 mg/kg.

**IC** ICM C07C229-28

ICS C07C229-34; A61K031-195

**CC** 34-3 (Amino Acids, Peptides, and Proteins)  
Section cross-reference(s): 1, 24, 63

**IT** 223425-86-3 223425-88-5 223425-89-6

223425-90-9 223425-91-0 223425-92-1

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223445-84-9 223445-85-0 223445-86-1  
223445-87-2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cyclic amino acids as pharmaceutical agents)

IT 27741-65-7P 223425-55-6P 223425-58-9P 223425-60-3P 223425-65-8P  
 223425-66-9P 223425-67-0P 223425-68-1P 223425-69-2P 223425-70-5P  
 223425-71-6P 223425-73-8P 223425-75-0P 223425-77-2P  
 223425-78-3P 223425-79-4P 223425-80-7P 223445-59-8P 223445-60-1P  
 223445-61-2P 223445-62-3P 223445-63-4P 223445-64-5P  
**223445-65-6P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of in the synthesis of cyclic amino acids and derivs. thereof useful as pharmaceutical agents)

IT 223425-81-8P 223425-82-9P 223425-83-0P  
 223425-84-1P 223425-85-2P 223445-66-7P  
**223445-67-8P 223445-68-9P**  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of cyclic amino acids as pharmaceutical agents)

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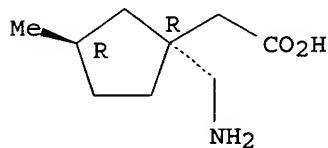
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RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(cyclic amino acids as pharmaceutical agents)

RN 223425-88-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-, hydrochloride,  
(1R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

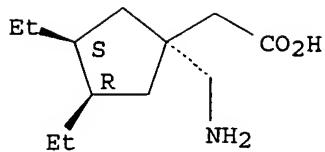


● HCl

RN 223425-89-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-diethyl-, (3R,4S)-rel- (9CI)  
(CA INDEX NAME)

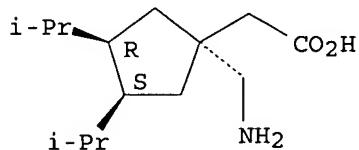
Relative stereochemistry.



RN 223425-90-9 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-bis(1-methylethyl)-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

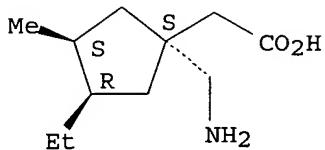
Relative stereochemistry.



RN 223425-91-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-methyl-, (1S,3R,4S)- (9CI) (CA INDEX NAME)

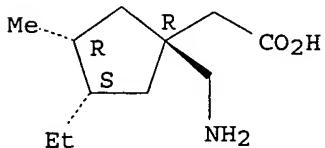
Absolute stereochemistry.



RN 223425-92-1 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-methyl-, (1R,3S,4R)- (9CI) (CA INDEX NAME)

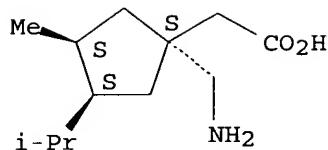
Absolute stereochemistry.



RN 223425-93-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-(1-methylethyl)-, (1S,3S,4S)- (9CI) (CA INDEX NAME)

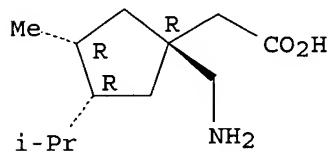
Absolute stereochemistry.



RN 223425-94-3 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-(1-methylethyl)-, (1R,3R,4R)- (9CI) (CA INDEX NAME)

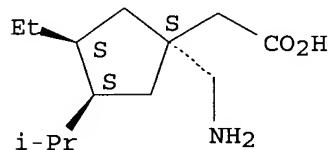
Absolute stereochemistry.



RN 223425-95-4 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-(1-methylethyl)-, (1S,3S,4S)- (9CI) (CA INDEX NAME)

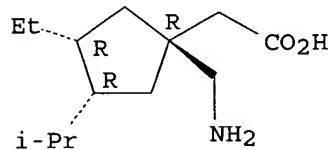
Absolute stereochemistry.



RN 223425-97-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-(1-methylethyl)-, (1R,3R,4R)- (9CI) (CA INDEX NAME)

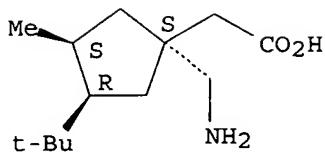
Absolute stereochemistry.



RN 223425-98-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-methyl-, (1S,3R,4S)- (9CI) (CA INDEX NAME)

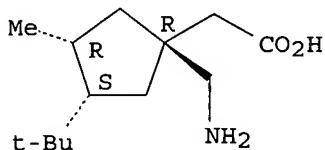
Absolute stereochemistry.



RN 223425-99-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-methyl-,  
(1R,3S,4R)- (9CI) (CA INDEX NAME)

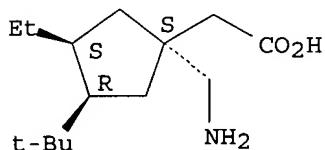
Absolute stereochemistry.



RN 223426-00-4 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-ethyl-,  
(1S,3R,4S)- (9CI) (CA INDEX NAME)

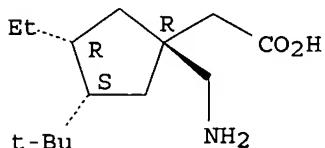
Absolute stereochemistry.



RN 223426-01-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-ethyl-,  
(1R,3S,4R)- (9CI) (CA INDEX NAME)

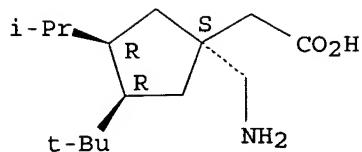
Absolute stereochemistry.



RN 223426-02-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-(1-  
methylethyl)-, (1S,3R,4R)- (9CI) (CA INDEX NAME)

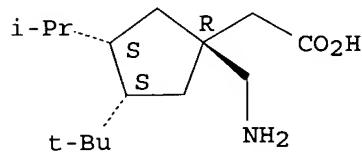
Absolute stereochemistry.



RN 223426-03-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-(1-methylethyl)-, (1R,3S,4S)- (9CI) (CA INDEX NAME)

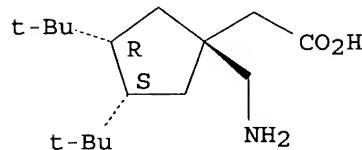
Absolute stereochemistry.



RN 223426-04-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-bis(1,1-dimethylethyl)-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

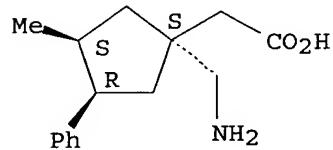
Relative stereochemistry.



RN 223426-05-9 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-phenyl-, (1S,3S,4R)- (9CI) (CA INDEX NAME)

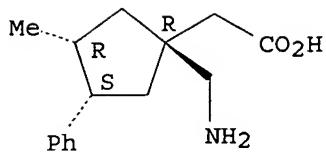
Absolute stereochemistry.



RN 223426-07-1 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-phenyl-, (1R,3R,4S)- (9CI) (CA INDEX NAME)

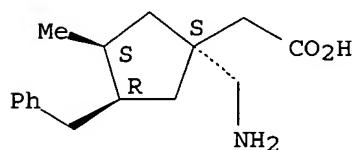
Absolute stereochemistry.



RN 223426-08-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-(phenylmethyl)-, (1S,3S,4R)- (9CI) (CA INDEX NAME)

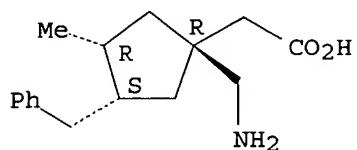
Absolute stereochemistry.



RN 223426-09-3 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-(phenylmethyl)-, (1R,3R,4S)- (9CI) (CA INDEX NAME)

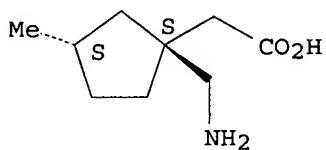
Absolute stereochemistry.



RN 223426-10-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-, (1S,3S)- (9CI) (CA INDEX NAME)

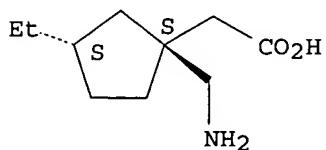
Absolute stereochemistry.



RN 223426-11-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-, (1S,3S)- (9CI) (CA INDEX NAME)

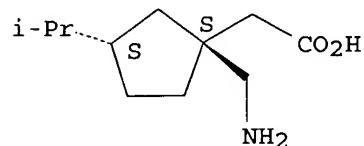
Absolute stereochemistry.



RN 223426-12-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1-methylethyl)-, (1S,3S)-  
(9CI) (CA INDEX NAME)

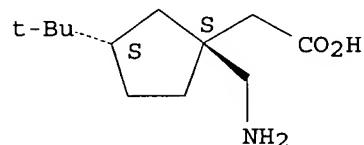
Absolute stereochemistry.



RN 223426-13-9 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-, (1S,3S)-  
(9CI) (CA INDEX NAME)

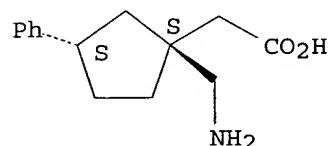
Absolute stereochemistry.



RN 223426-14-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-phenyl-, (1S,3S)- (9CI) (CA  
INDEX NAME)

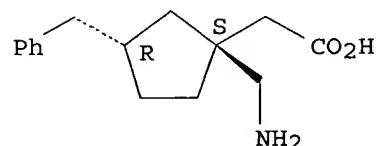
Absolute stereochemistry.



RN 223426-16-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(phenylmethyl)-, (1S,3R)- (9CI)  
(CA INDEX NAME)

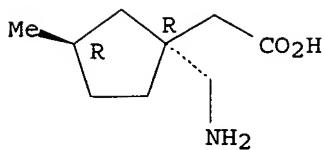
Absolute stereochemistry.



RN 223426-17-3 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-, (1R,3R)- (9CI) (CA  
INDEX NAME)

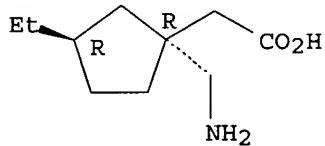
Absolute stereochemistry.



RN 223426-18-4 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-, (1R,3R)- (9CI) (CA INDEX NAME)

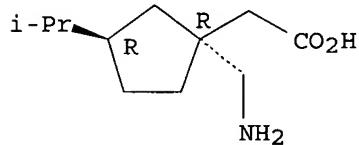
Absolute stereochemistry.



RN 223426-19-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1-methylethyl)-, (1R,3R)- (9CI) (CA INDEX NAME)

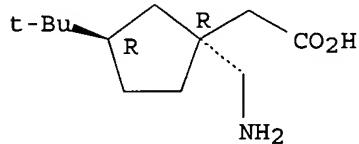
Absolute stereochemistry.



RN 223426-20-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-, (1R,3R)- (9CI) (CA INDEX NAME)

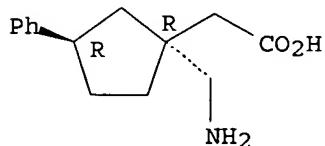
Absolute stereochemistry.



RN 223426-21-9 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-phenyl-, (1R,3R)- (9CI) (CA INDEX NAME)

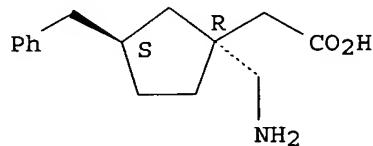
Absolute stereochemistry.



RN 223426-22-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(phenylmethyl)-, (1R,3S)- (9CI)  
(CA INDEX NAME)

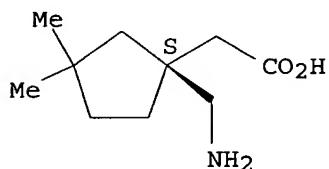
Absolute stereochemistry.



RN 223426-23-1 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,3-dimethyl-, (1S)- (9CI) (CA INDEX NAME)

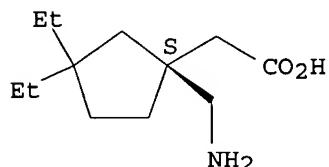
Absolute stereochemistry.



RN 223426-24-2 CAPLUS

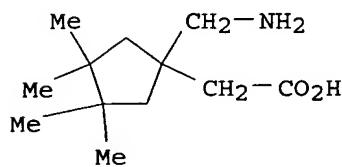
CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,3-diethyl-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



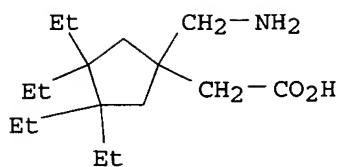
RN 223426-25-3 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,3,4,4-tetramethyl- (9CI) (CA INDEX NAME)



RN 223426-26-4 CAPLUS

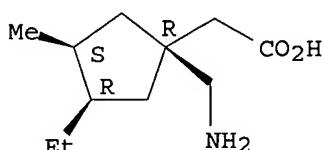
CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,3,4,4-tetraethyl- (9CI) (CA INDEX NAME)



RN 223426-27-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-methyl-, (1R,3R,4S)-  
(9CI) (CA INDEX NAME)

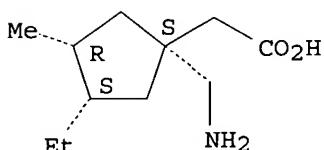
Absolute stereochemistry.



RN 223426-28-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-methyl-, (1S,3S,4R)-  
(9CI) (CA INDEX NAME)

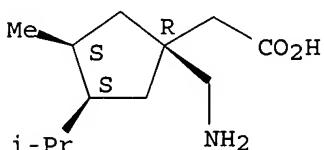
Absolute stereochemistry.



RN 223426-29-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-(1-methylethyl)-,  
(1R,3S,4S)- (9CI) (CA INDEX NAME)

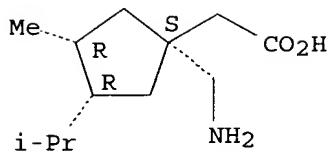
Absolute stereochemistry.



RN 223426-30-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-(1-methylethyl)-,  
(1S,3R,4R)- (9CI) (CA INDEX NAME)

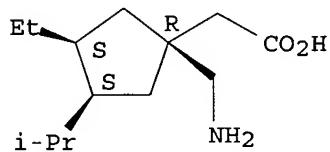
Absolute stereochemistry.



RN 223426-31-1 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-(1-methylethyl)-, (1R,3S,4S)- (9CI) (CA INDEX NAME)

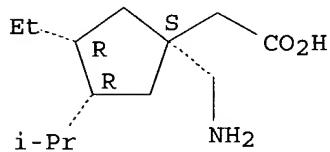
Absolute stereochemistry.



RN 223426-32-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-(1-methylethyl)-, (1S,3R,4R)- (9CI) (CA INDEX NAME)

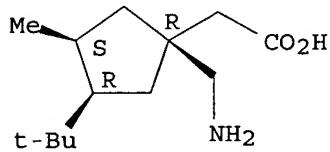
Absolute stereochemistry.



RN 223426-33-3 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-methyl-, (1R,3R,4S)- (9CI) (CA INDEX NAME)

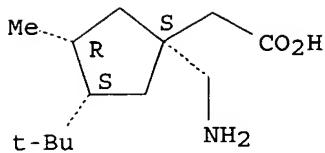
Absolute stereochemistry.



RN 223426-34-4 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-methyl-, (1S,3S,4R)- (9CI) (CA INDEX NAME)

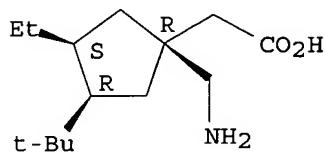
Absolute stereochemistry.



RN 223426-35-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-ethyl-,  
(1R,3R,4S) - (9CI) (CA INDEX NAME)

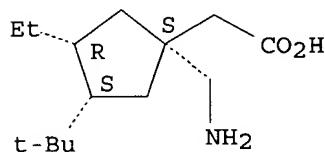
Absolute stereochemistry.



RN 223426-36-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-ethyl-,  
(1S,3S,4R) - (9CI) (CA INDEX NAME)

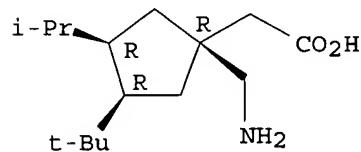
Absolute stereochemistry.



RN 223426-37-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-(1-  
methylethyl)-, (1R,3R,4R) - (9CI) (CA INDEX NAME)

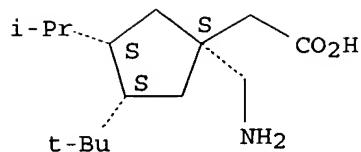
Absolute stereochemistry.



RN 223426-38-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-(1-  
methylethyl)-, (1S,3S,4S) - (9CI) (CA INDEX NAME)

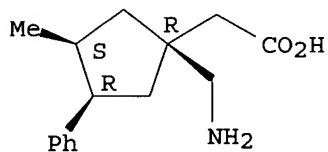
Absolute stereochemistry.



RN 223426-39-9 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-phenyl-, (1R,3S,4R)- (9CI) (CA INDEX NAME)

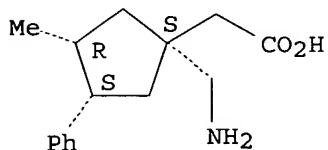
Absolute stereochemistry.



RN 223426-40-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-phenyl-, (1S,3R,4S)- (9CI) (CA INDEX NAME)

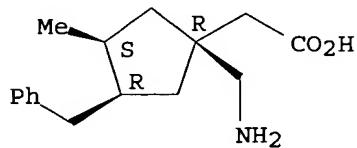
Absolute stereochemistry.



RN 223426-42-4 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-(phenylmethyl)-, (1R,3S,4R)- (9CI) (CA INDEX NAME)

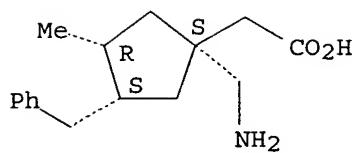
Absolute stereochemistry.



RN 223426-43-5 CAPLUS

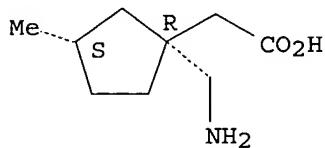
CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-(phenylmethyl)-, (1S,3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



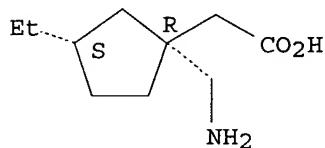
RN 223426-44-6 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-, (1R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



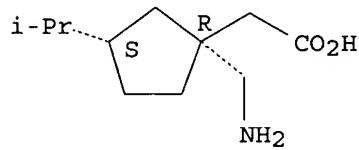
RN 223426-45-7 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-, (1R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



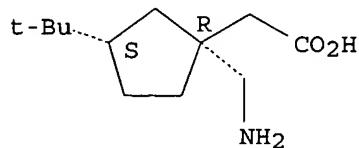
RN 223426-46-8 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1-methylethyl)-, (1R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223426-47-9 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-, (1R,3S)- (9CI) (CA INDEX NAME)

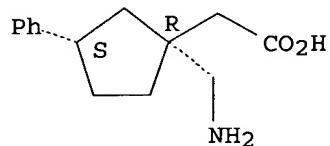
Absolute stereochemistry.



RN 223426-48-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-phenyl-, (1R,3S)- (9CI) (CA INDEX NAME)

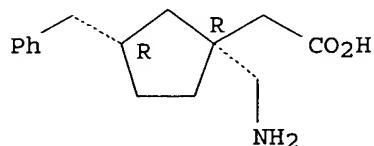
Absolute stereochemistry.



RN 223426-49-1 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(phenylmethyl)-, (1R,3R)- (9CI) (CA INDEX NAME)

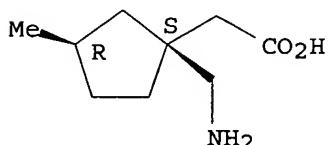
Absolute stereochemistry.



RN 223426-50-4 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-, (1S,3R)- (9CI) (CA INDEX NAME)

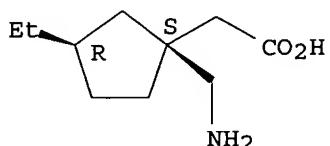
Absolute stereochemistry.



RN 223426-51-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-, (1S,3R)- (9CI) (CA INDEX NAME)

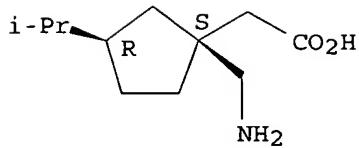
Absolute stereochemistry.



RN 223426-52-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1-methylethyl)-, (1S,3R)- (9CI) (CA INDEX NAME)

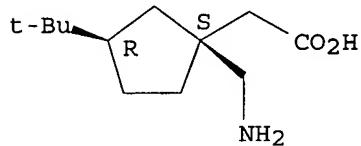
Absolute stereochemistry.



RN 223426-54-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-, (1S,3R)- (9CI) (CA INDEX NAME)

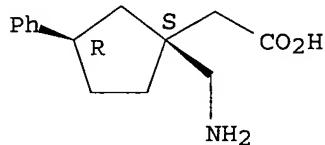
Absolute stereochemistry.



RN 223426-55-9 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-phenyl-, (1S,3R)- (9CI) (CA INDEX NAME)

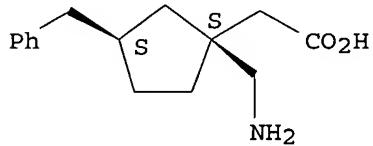
Absolute stereochemistry.



RN 223426-56-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(phenylmethyl)-, (1S,3S)- (9CI) (CA INDEX NAME)

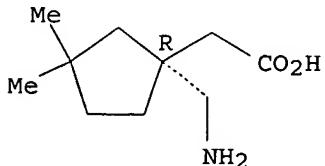
Absolute stereochemistry.



RN 223426-57-1 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,3-dimethyl-, (1R)- (9CI) (CA INDEX NAME)

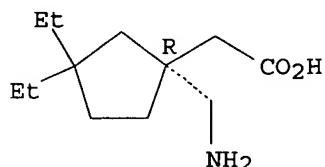
Absolute stereochemistry.



RN 223426-58-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,3-diethyl-, (1R)- (9CI) (CA INDEX NAME)

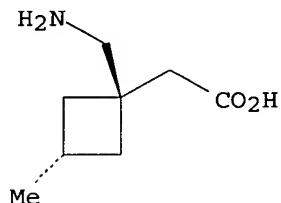
Absolute stereochemistry.



RN 223426-60-6 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-methyl-, trans- (9CI) (CA INDEX NAME)

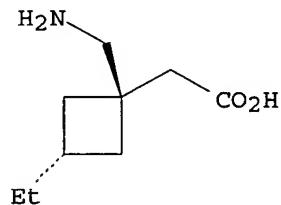
Relative stereochemistry.



RN 223426-61-7 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-ethyl-, trans- (9CI) (CA INDEX NAME)

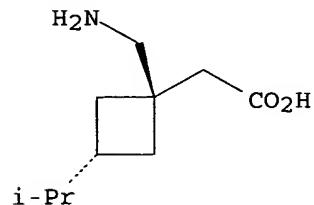
Relative stereochemistry.



RN 223426-62-8 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(1-methylethyl)-, trans- (9CI) (CA INDEX NAME)

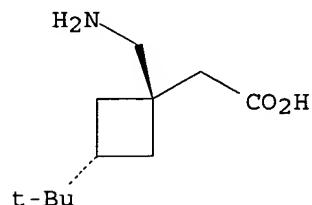
Relative stereochemistry.



RN 223426-63-9 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-, trans- (9CI) (CA INDEX NAME)

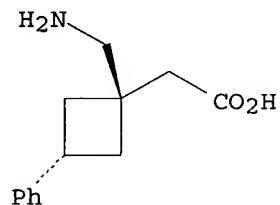
Relative stereochemistry.



RN 223426-64-0 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-phenyl-, trans- (9CI) (CA INDEX NAME)

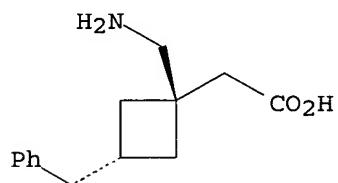
Relative stereochemistry.



RN 223426-65-1 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

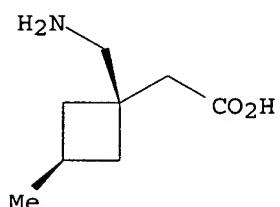
Relative stereochemistry.



RN 223426-66-2 CAPLUS

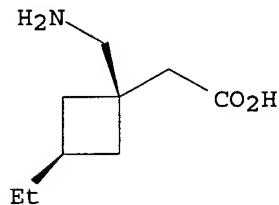
CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



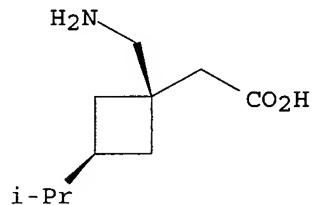
RN 223426-67-3 CAPLUS  
CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-ethyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



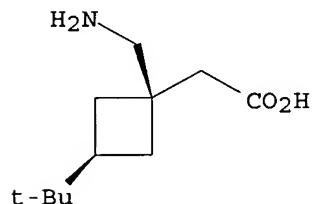
RN 223426-68-4 CAPLUS  
CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(1-methylethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



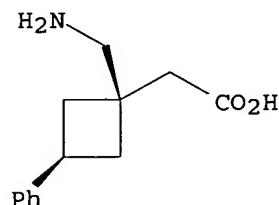
RN 223426-70-8 CAPLUS  
CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 223426-71-9 CAPLUS  
CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-phenyl-, cis- (9CI) (CA INDEX NAME)

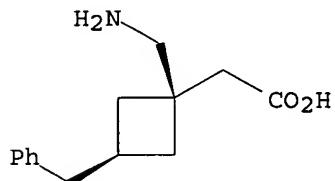
Relative stereochemistry.



RN 223426-72-0 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

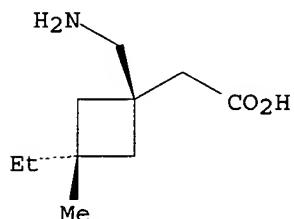
Relative stereochemistry.



RN 223426-74-2 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-ethyl-3-methyl-, trans- (9CI) (CA INDEX NAME)

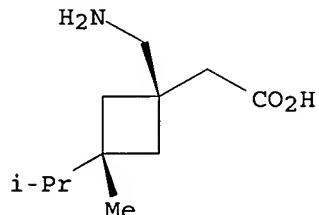
Relative stereochemistry.



RN 223426-76-4 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-methyl-3-(1-methylethyl)-, trans- (9CI) (CA INDEX NAME)

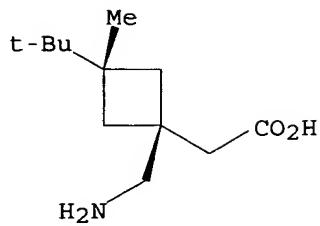
Relative stereochemistry.



RN 223426-77-5 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-3-methyl-, trans- (9CI) (CA INDEX NAME)

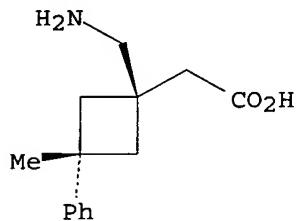
Relative stereochemistry.



RN 223426-79-7 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-methyl-3-phenyl-, *trans*- (9CI)  
(CA INDEX NAME)

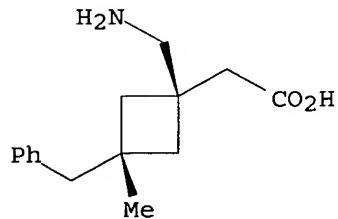
Relative stereochemistry.



RN 223426-80-0 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-methyl-3-(phenylmethyl)-, *trans*- (9CI) (CA INDEX NAME)

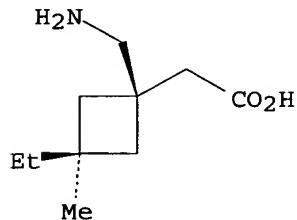
Relative stereochemistry.



RN 223426-81-1 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-ethyl-3-methyl-, *cis*- (9CI) (CA INDEX NAME)

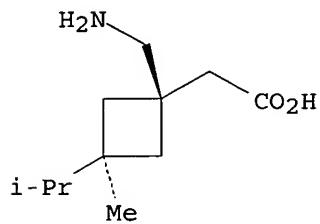
Relative stereochemistry.



RN 223426-82-2 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-methyl-3-(1-methylethyl)-, cis-  
(9CI) (CA INDEX NAME)

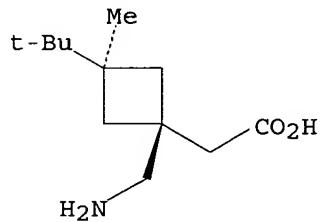
Relative stereochemistry.



RN 223426-83-3 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-3-methyl-,  
cis- (9CI) (CA INDEX NAME)

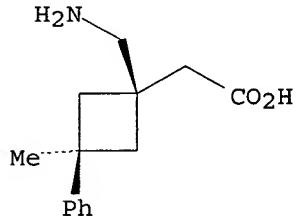
Relative stereochemistry.



RN 223426-84-4 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-methyl-3-phenyl-, cis- (9CI)  
(CA INDEX NAME)

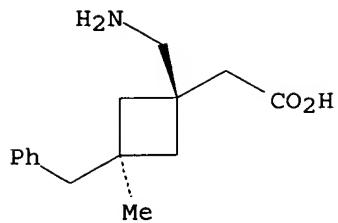
Relative stereochemistry.



RN 223426-85-5 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-methyl-3-(phenylmethyl)-, cis-  
(9CI) (CA INDEX NAME)

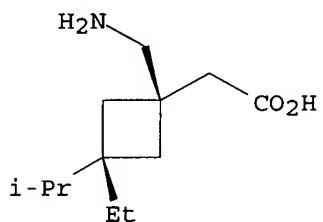
Relative stereochemistry.



RN 223426-86-6 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-ethyl-3-(1-methylethyl)-, trans- (9CI) (CA INDEX NAME)

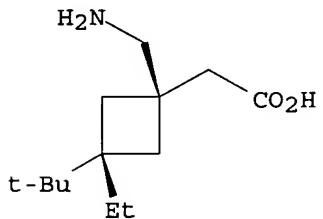
Relative stereochemistry.



RN 223426-87-7 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-3-ethyl-, trans- (9CI) (CA INDEX NAME)

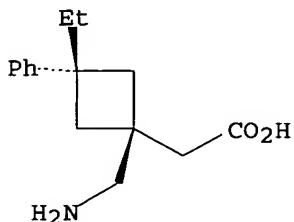
Relative stereochemistry.



RN 223426-88-8 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-ethyl-3-phenyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

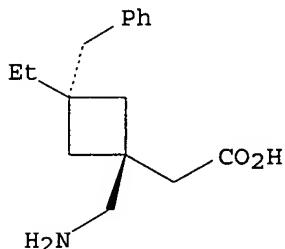


RN 223426-89-9 CAPLUS

Dwayne Jones 10/735,561

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-ethyl-3-(phenylmethyl)-, trans-  
(9CI) (CA INDEX NAME)

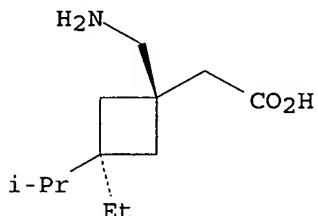
Relative stereochemistry.



RN 223426-90-2 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-ethyl-3-(1-methylethyl)-, cis-  
(9CI) (CA INDEX NAME)

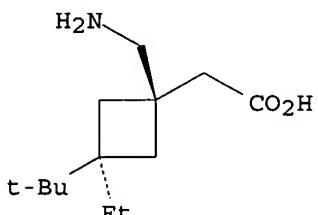
Relative stereochemistry.



RN 223426-91-3 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-3-ethyl-,  
cis- (9CI) (CA INDEX NAME)

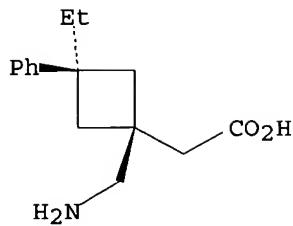
Relative stereochemistry.



RN 223426-92-4 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-ethyl-3-phenyl-, cis- (9CI) (CA  
INDEX NAME)

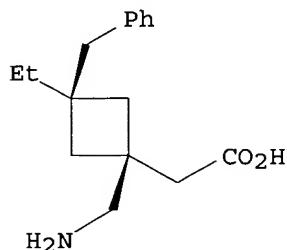
Relative stereochemistry.



RN 223426-93-5 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-ethyl-3-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

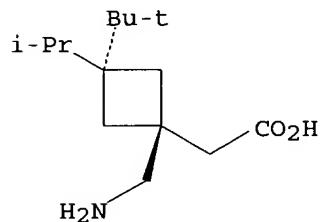
Relative stereochemistry.



RN 223426-94-6 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-3-(1-methylethyl)-, trans- (9CI) (CA INDEX NAME)

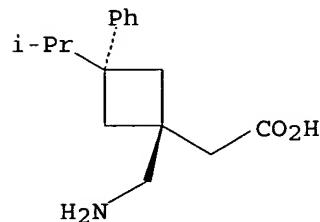
Relative stereochemistry.



RN 223426-95-7 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(1-methylethyl)-3-phenyl-, trans- (9CI) (CA INDEX NAME)

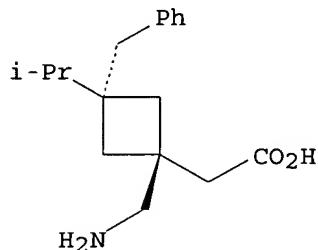
Relative stereochemistry.



RN 223426-96-8 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(1-methylethyl)-3-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

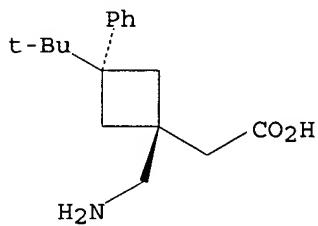
Relative stereochemistry.



RN 223426-97-9 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-3-phenyl-, trans- (9CI) (CA INDEX NAME)

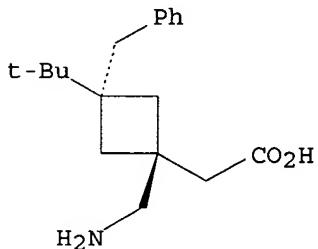
Relative stereochemistry.



RN 223426-98-0 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-3-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

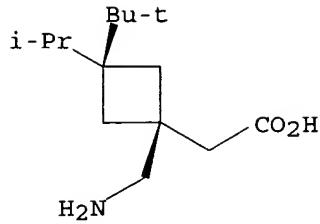
Relative stereochemistry.



RN 223426-99-1 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-3-(1-methylethyl)-, cis- (9CI) (CA INDEX NAME)

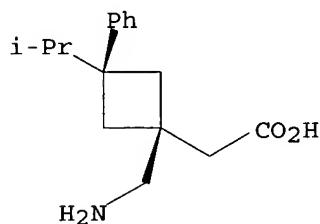
Relative stereochemistry.



RN 223427-00-7 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(1-methylethyl)-3-phenyl-, cis-  
(9CI) (CA INDEX NAME)

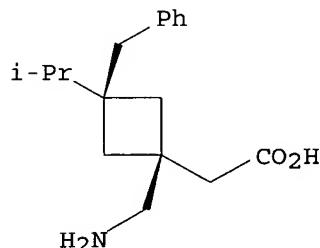
Relative stereochemistry.



RN 223427-01-8 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(1-methylethyl)-3-(phenylmethyl)-  
, trans- (9CI) (CA INDEX NAME)

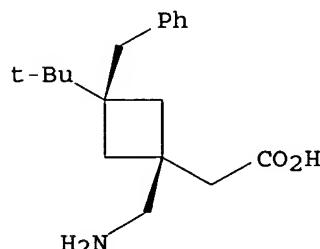
Relative stereochemistry.



RN 223427-02-9 CAPLUS

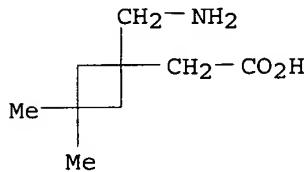
CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-3-  
(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



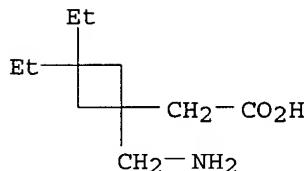
RN 223427-03-0 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3,3-dimethyl- (9CI) (CA INDEX NAME)



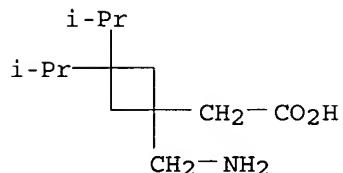
RN 223427-04-1 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3,3-diethyl- (9CI) (CA INDEX NAME)



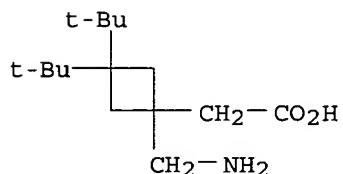
RN 223427-05-2 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3,3-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



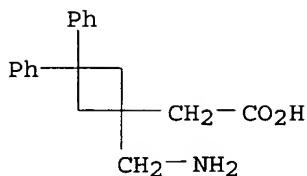
RN 223427-06-3 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3,3-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

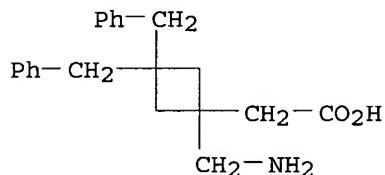


RN 223427-07-4 CAPLUS

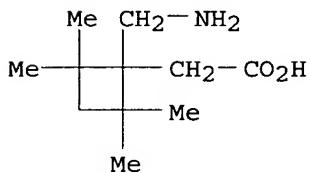
CN Cyclobutaneacetic acid, 1-(aminomethyl)-3,3-diphenyl- (9CI) (CA INDEX NAME)



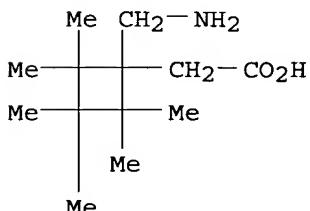
RN 223427-08-5 CAPLUS  
CN Cyclobutaneacetic acid, 1-(aminomethyl)-3,3-bis(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 223427-09-6 CAPLUS  
CN Cyclobutaneacetic acid, 1-(aminomethyl)-2,2,4,4-tetramethyl- (9CI) (CA INDEX NAME)

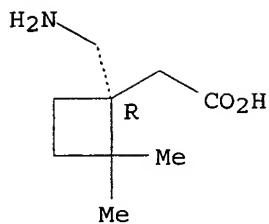


RN 223427-10-9 CAPLUS  
CN Cyclobutaneacetic acid, 1-(aminomethyl)-2,2,3,3,4,4-hexamethyl- (9CI) (CA INDEX NAME)



RN 223427-11-0 CAPLUS  
CN Cyclobutaneacetic acid, 1-(aminomethyl)-2,2-dimethyl-, (1R)- (9CI) (CA INDEX NAME)

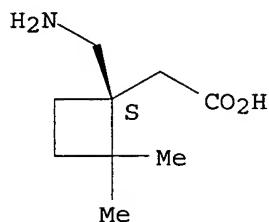
Absolute stereochemistry.



RN 223427-12-1 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-2,2-dimethyl-, (1S)- (9CI) (CA INDEX NAME)

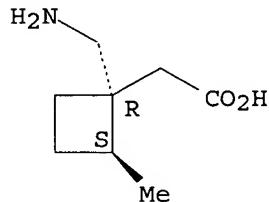
Absolute stereochemistry.



RN 223427-13-2 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-2-methyl-, (1R,2S)- (9CI) (CA INDEX NAME)

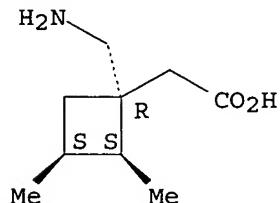
Absolute stereochemistry.



RN 223427-15-4 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1R,2S,3S)- (9CI) (CA INDEX NAME)

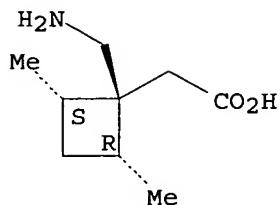
Absolute stereochemistry.



RN 223427-16-5 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-2,4-dimethyl-, (1 $\alpha$ ,2 $\beta$ ,4 $\beta$ )- (9CI) (CA INDEX NAME)

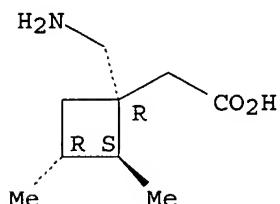
Relative stereochemistry.



RN 223427-17-6 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1R,2S,3R)- (9CI)  
(CA INDEX NAME)

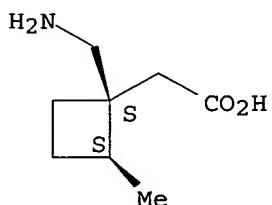
Absolute stereochemistry.



RN 223427-18-7 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-2-methyl-, (1S,2S)- (9CI) (CA  
INDEX NAME)

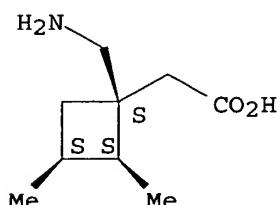
Absolute stereochemistry.



RN 223427-20-1 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1S,2S,3S)- (9CI)  
(CA INDEX NAME)

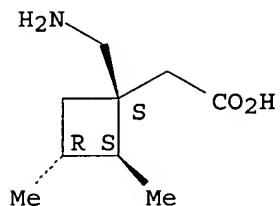
Absolute stereochemistry.



RN 223427-21-2 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1S,2S,3R)- (9CI)  
(CA INDEX NAME)

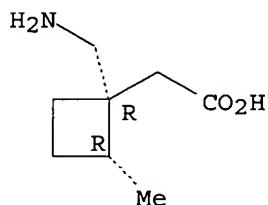
Absolute stereochemistry.



RN 223427-22-3 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-2-methyl-, (1R,2R)- (9CI) (CA INDEX NAME)

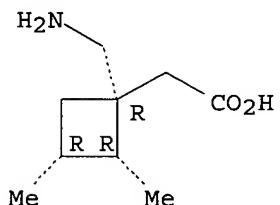
Absolute stereochemistry.



RN 223427-23-4 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1R,2R,3R)- (9CI) (CA INDEX NAME)

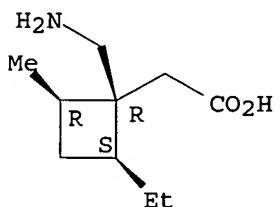
Absolute stereochemistry.



RN 223427-24-5 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-2-ethyl-4-methyl-, (1R,2S,4R)- (9CI) (CA INDEX NAME)

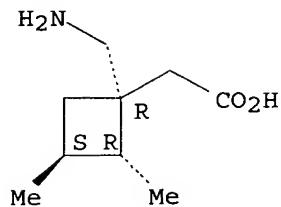
Absolute stereochemistry.



RN 223427-25-6 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1R,2R,3S)- (9CI) (CA INDEX NAME)

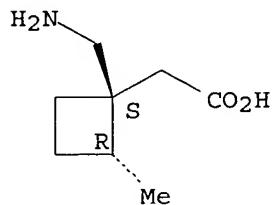
Absolute stereochemistry.



RN 223427-26-7 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-2-methyl-, (1S,2R)- (9CI) (CA INDEX NAME)

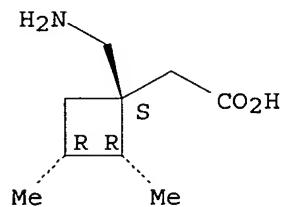
Absolute stereochemistry.



RN 223427-28-9 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1S,2R,3R)- (9CI) (CA INDEX NAME)

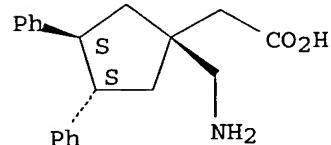
Absolute stereochemistry.



RN 223427-30-3 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-diphenyl-, (1α,3α,4β)- (9CI) (CA INDEX NAME)

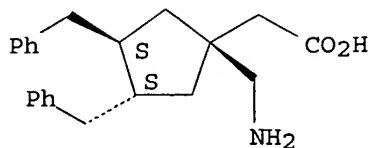
Absolute stereochemistry.



RN 223427-31-4 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-bis(phenylmethyl)-, (1α,3α,4β)- (9CI) (CA INDEX NAME)

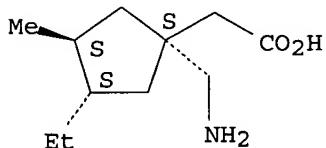
Absolute stereochemistry.



RN 223427-32-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-methyl-, (1S,3S,4S)-  
(9CI) (CA INDEX NAME)

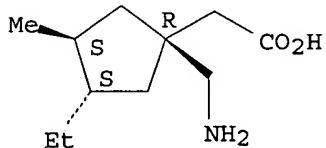
Absolute stereochemistry.



RN 223427-33-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-methyl-, (1R,3S,4S)-  
(9CI) (CA INDEX NAME)

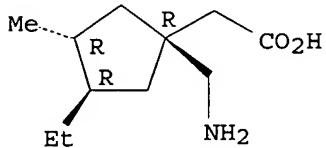
Absolute stereochemistry.



RN 223427-34-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-methyl-, (1R,3R,4S)-  
(9CI) (CA INDEX NAME)

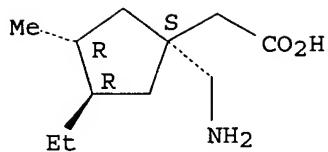
Absolute stereochemistry.



RN 223427-35-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-methyl-, (1S,3R,4R)-  
(9CI) (CA INDEX NAME)

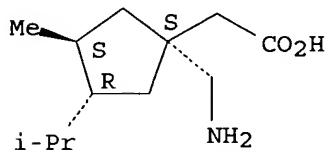
Absolute stereochemistry.



RN 223427-37-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-(1-methylethyl)-, (1S,3S,4R)- (9CI) (CA INDEX NAME)

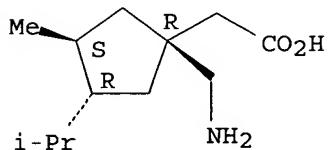
Absolute stereochemistry.



RN 223427-38-1 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-(1-methylethyl)-, (1R,3S,4R)- (9CI) (CA INDEX NAME)

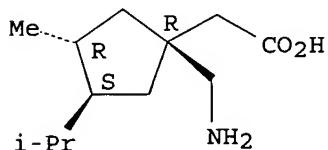
Absolute stereochemistry.



RN 223427-39-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-(1-methylethyl)-, (1R,3R,4S)- (9CI) (CA INDEX NAME)

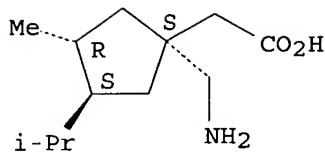
Absolute stereochemistry.



RN 223427-40-5 CAPLUS

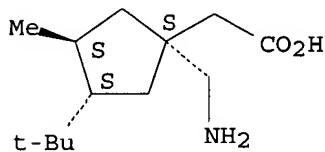
CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-(1-methylethyl)-, (1S,3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



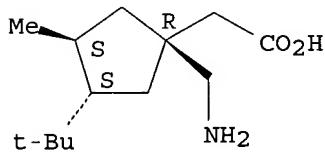
RN 223427-41-6 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-methyl-,  
 (1S,3S,4S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



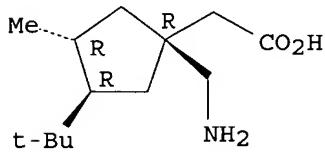
RN 223427-42-7 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-methyl-,  
 (1R,3S,4S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



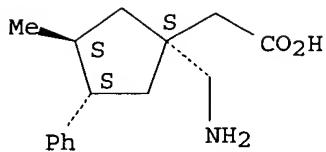
RN 223427-43-8 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-methyl-,  
 (1R,3R,4R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223427-45-0 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-phenyl-, (1S,3S,4S) -  
 (9CI) (CA INDEX NAME)

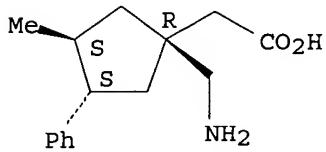
Absolute stereochemistry.



RN 223427-46-1 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-phenyl-, (1R,3S,4S)-  
(9CI) (CA INDEX NAME)

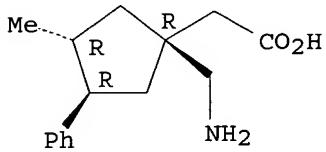
Absolute stereochemistry.



RN 223427-47-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-phenyl-, (1R,3R,4R)-  
(9CI) (CA INDEX NAME)

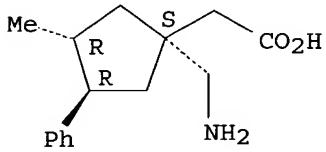
Absolute stereochemistry.



RN 223427-48-3 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-phenyl-, (1S,3R,4R)-  
(9CI) (CA INDEX NAME)

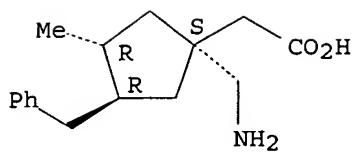
Absolute stereochemistry.



RN 223427-49-4 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-(phenylmethyl)-,  
(1S,3R,4R)- (9CI) (CA INDEX NAME)

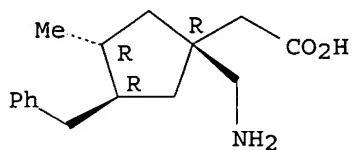
Absolute stereochemistry.



RN 223427-50-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-(phenylmethyl)-, (1R,3R,4R)- (9CI) (CA INDEX NAME)

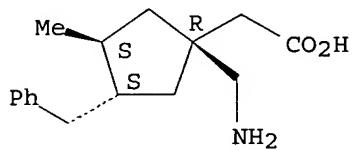
Absolute stereochemistry.



RN 223427-51-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-(phenylmethyl)-, (1R,3S,4S)- (9CI) (CA INDEX NAME)

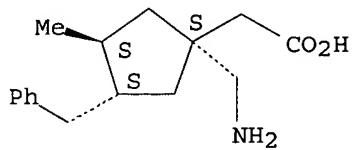
Absolute stereochemistry.



RN 223427-53-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-4-(phenylmethyl)-, (1S,3S,4S)- (9CI) (CA INDEX NAME)

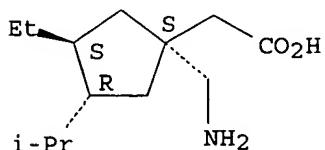
Absolute stereochemistry.



RN 223427-54-1 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-(1-methylethyl)-, (1S,3S,4R)- (9CI) (CA INDEX NAME)

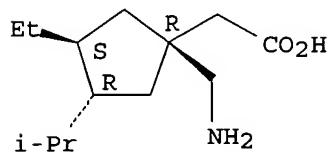
Absolute stereochemistry.



RN 223427-55-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-(1-methylethyl)-, (1R,3S,4R)- (9CI) (CA INDEX NAME)

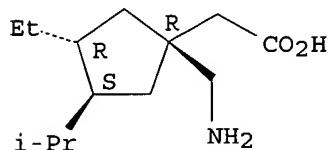
Absolute stereochemistry.



RN 223427-56-3 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-(1-methylethyl)-, (1R,3R,4S)- (9CI) (CA INDEX NAME)

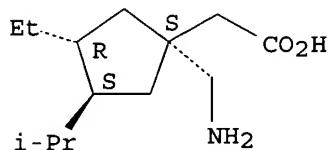
Absolute stereochemistry.



RN 223427-57-4 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-(1-methylethyl)-, (1S,3R,4S)- (9CI) (CA INDEX NAME)

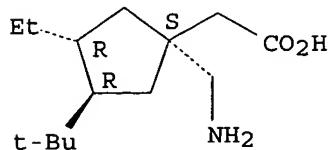
Absolute stereochemistry.



RN 223427-58-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-ethyl-, (1S,3R,4R)- (9CI) (CA INDEX NAME)

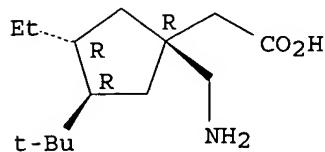
Absolute stereochemistry.



RN 223427-60-9 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-ethyl-, (1R,3R,4R)- (9CI) (CA INDEX NAME)

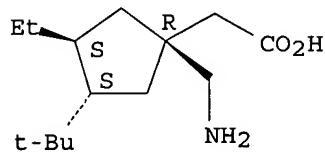
Absolute stereochemistry.



RN 223427-61-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-ethyl-, (1R,3S,4S)- (9CI) (CA INDEX NAME)

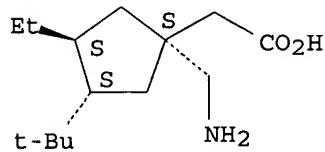
Absolute stereochemistry.



RN 223427-62-1 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-ethyl-, (1S,3S,4S)- (9CI) (CA INDEX NAME)

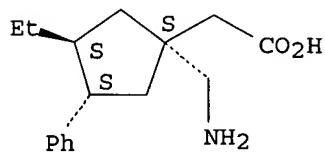
Absolute stereochemistry.



RN 223427-63-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-phenyl-, (1S,3S,4S)- (9CI) (CA INDEX NAME)

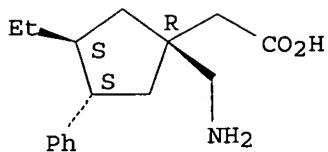
Absolute stereochemistry.



RN 223427-64-3 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-phenyl-, (1R,3S,4S)- (9CI) (CA INDEX NAME)

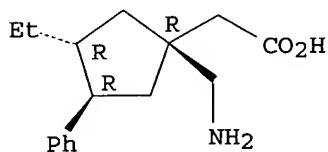
Absolute stereochemistry.



RN 223427-65-4 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-phenyl-, (1R,3R,4R)-  
(9CI) (CA INDEX NAME)

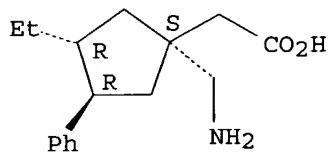
Absolute stereochemistry.



RN 223427-66-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-phenyl-, (1S,3R,4R)-  
(9CI) (CA INDEX NAME)

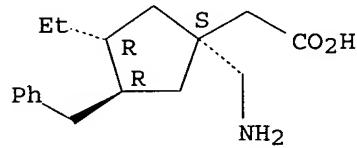
Absolute stereochemistry.



RN 223427-67-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-(phenylmethyl)-,  
(1S,3R,4R)- (9CI) (CA INDEX NAME)

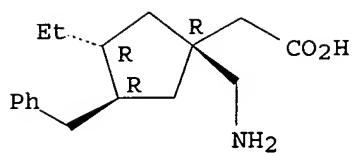
Absolute stereochemistry.



RN 223427-68-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-(phenylmethyl)-,  
(1R,3R,4R)- (9CI) (CA INDEX NAME)

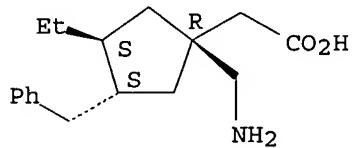
Absolute stereochemistry.



RN 223427-69-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-(phenylmethyl)-, (1R,3S,4S)- (9CI) (CA INDEX NAME)

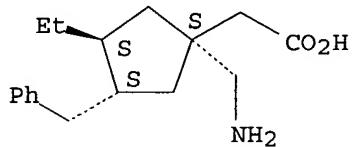
Absolute stereochemistry.



RN 223427-70-1 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-4-(phenylmethyl)-, (1S,3S,4S)- (9CI) (CA INDEX NAME)

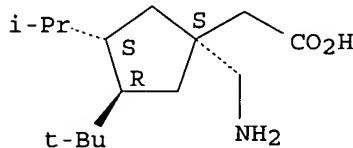
Absolute stereochemistry.



RN 223427-71-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-(1-methylethyl)-, (1S,3R,4S)- (9CI) (CA INDEX NAME)

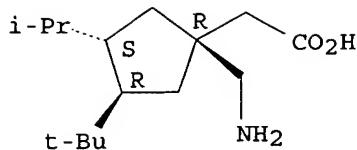
Absolute stereochemistry.



RN 223427-72-3 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-(1-methylethyl)-, (1R,3R,4S)- (9CI) (CA INDEX NAME)

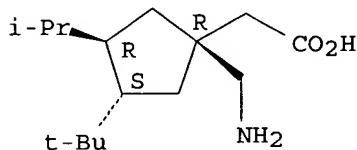
Absolute stereochemistry.



RN 223427-73-4 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-(1-methylethyl)-, (1R,3S,4R)- (9CI) (CA INDEX NAME)

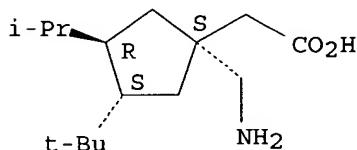
Absolute stereochemistry.



RN 223427-74-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-(1-methylethyl)-, (1S,3S,4R)- (9CI) (CA INDEX NAME)

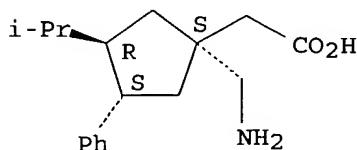
Absolute stereochemistry.



RN 223427-76-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1-methylethyl)-4-phenyl-, (1S,3R,4S)- (9CI) (CA INDEX NAME)

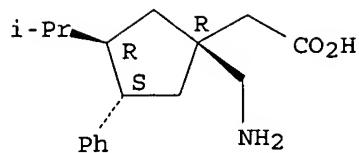
Absolute stereochemistry.



RN 223427-77-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1-methylethyl)-4-phenyl-, (1R,3R,4S)- (9CI) (CA INDEX NAME)

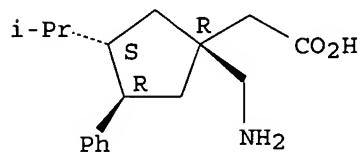
Absolute stereochemistry.



RN 223427-78-9 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1-methylethyl)-4-phenyl-, (1R, 3S, 4R)- (9CI) (CA INDEX NAME)

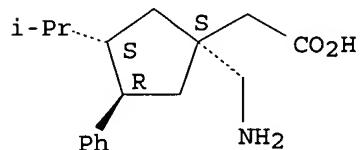
Absolute stereochemistry.



RN 223427-79-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1-methylethyl)-4-phenyl-, (1S, 3S, 4R)- (9CI) (CA INDEX NAME)

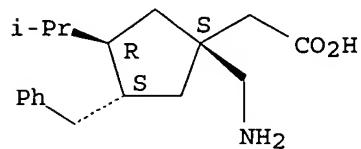
Absolute stereochemistry.



RN 223427-80-3 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1-methylethyl)-4-(phenylmethyl)-, (1S, 3R, 4S)- (9CI) (CA INDEX NAME)

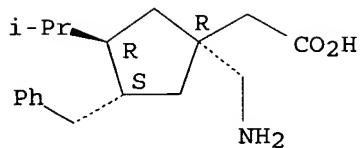
Absolute stereochemistry.



RN 223427-81-4 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1-methylethyl)-4-(phenylmethyl)-, (1R, 3R, 4S)- (9CI) (CA INDEX NAME)

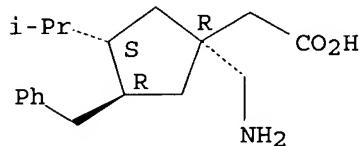
Absolute stereochemistry.



RN 223427-82-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1-methylethyl)-4-(phenylmethyl)-, (1R,3S,4R)- (9CI) (CA INDEX NAME)

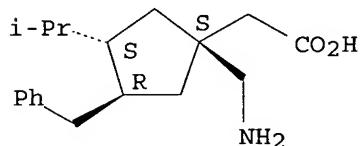
Absolute stereochemistry.



RN 223427-83-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1-methylethyl)-4-(phenylmethyl)-, (1S,3S,4R)- (9CI) (CA INDEX NAME)

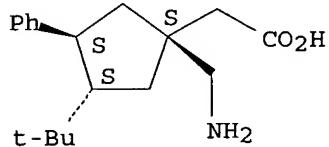
Absolute stereochemistry.



RN 223427-84-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-phenyl-, (1S,3S,4S)- (9CI) (CA INDEX NAME)

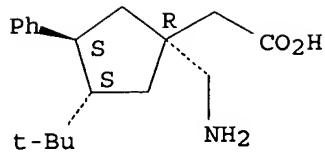
Absolute stereochemistry.



RN 223427-86-9 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-phenyl-, (1R,3S,4S)- (9CI) (CA INDEX NAME)

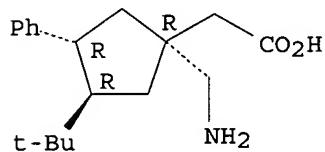
Absolute stereochemistry.



RN 223427-87-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-phenyl-, (1R,3R,4R)- (9CI) (CA INDEX NAME)

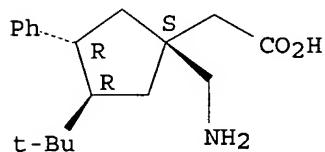
Absolute stereochemistry.



RN 223427-88-1 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-phenyl-, (1S,3R,4R)- (9CI) (CA INDEX NAME)

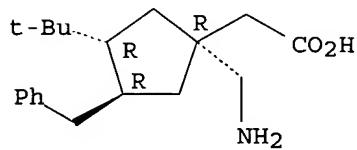
Absolute stereochemistry.



RN 223427-89-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-(phenylmethyl)-, (1R,3R,4R)- (9CI) (CA INDEX NAME)

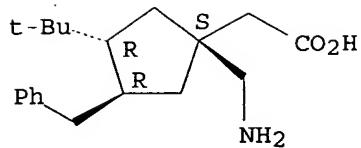
Absolute stereochemistry.



RN 223427-90-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-(phenylmethyl)-, (1S,3R,4R)- (9CI) (CA INDEX NAME)

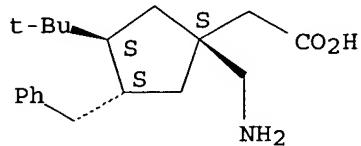
Absolute stereochemistry.



RN 223427-91-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-(phenylmethyl)-, (1S,3S,4S)- (9CI) (CA INDEX NAME)

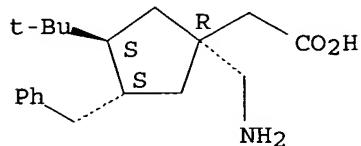
Absolute stereochemistry.



RN 223427-92-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-4-(phenylmethyl)-, (1R,3S,4S)- (9CI) (CA INDEX NAME)

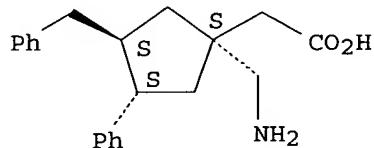
Absolute stereochemistry.



RN 223427-93-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-phenyl-4-(phenylmethyl)-, (1S,3S,4S)- (9CI) (CA INDEX NAME)

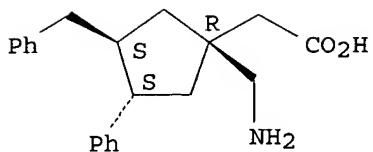
Absolute stereochemistry.



RN 223427-94-9 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-phenyl-4-(phenylmethyl)-, (1R,3S,4S)- (9CI) (CA INDEX NAME)

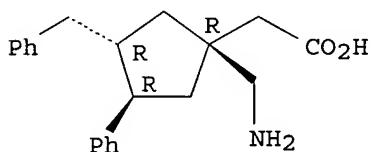
Absolute stereochemistry.



RN 223427-95-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-phenyl-4-(phenylmethyl)-, (1R,3R,4R)- (9CI) (CA INDEX NAME)

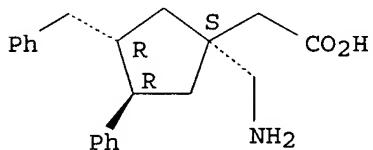
Absolute stereochemistry.



RN 223427-97-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-phenyl-4-(phenylmethyl)-, (1S,3R,4R)- (9CI) (CA INDEX NAME)

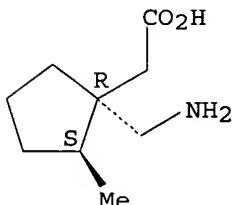
Absolute stereochemistry.



RN 223427-98-3 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2-methyl-, (1R,2S)- (9CI) (CA INDEX NAME)

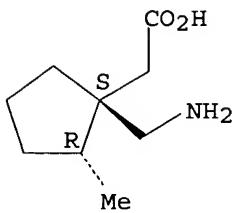
Absolute stereochemistry.



RN 223427-99-4 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2-methyl-, (1S,2R)- (9CI) (CA INDEX NAME)

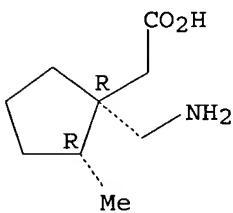
Absolute stereochemistry.



RN 223428-00-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2-methyl-, (1R,2R)- (9CI) (CA INDEX NAME)

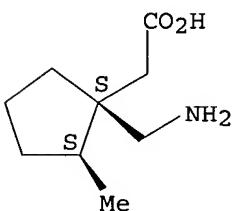
Absolute stereochemistry.



RN 223428-01-1 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2-methyl-, (1S,2S)- (9CI) (CA INDEX NAME)

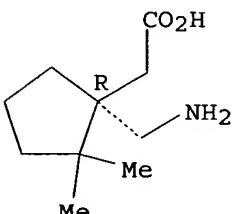
Absolute stereochemistry.



RN 223428-02-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,2-dimethyl-, (1R)- (9CI) (CA INDEX NAME)

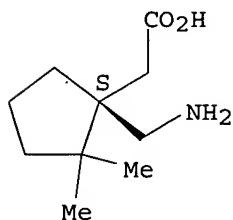
Absolute stereochemistry.



RN 223428-03-3 CAPLUS

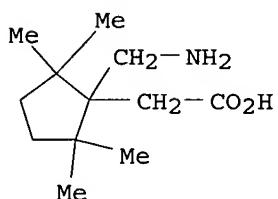
CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,2-dimethyl-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223428-04-4 CAPLUS

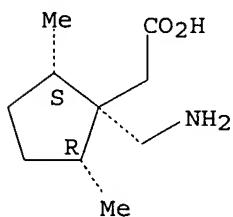
CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,2,5,5-tetramethyl- (9CI) (CA INDEX NAME)



RN 223428-05-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,5-dimethyl-, (1 $\alpha$ ,2 $\alpha$ ,5 $\alpha$ )- (9CI) (CA INDEX NAME)

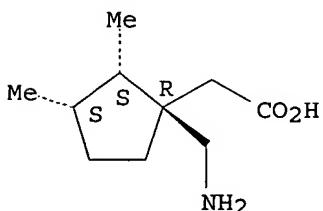
Relative stereochemistry.



RN 223428-06-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1R,2S,3S)- (9CI) (CA INDEX NAME)

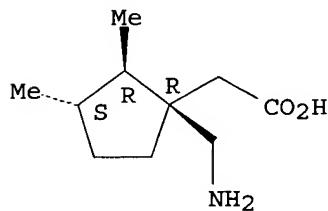
Absolute stereochemistry.



RN 223428-07-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1R,2R,3S)- (9CI)  
(CA INDEX NAME)

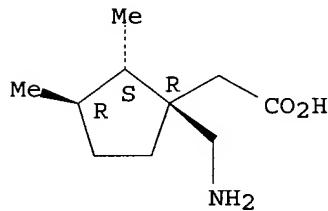
Absolute stereochemistry.



RN 223428-08-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1R,2S,3R)- (9CI)  
(CA INDEX NAME)

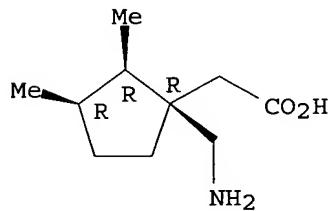
Absolute stereochemistry.



RN 223428-09-9 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1R,2R,3R)- (9CI)  
(CA INDEX NAME)

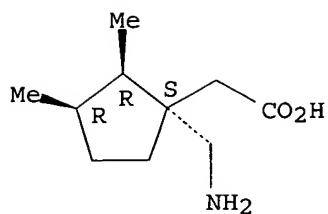
Absolute stereochemistry.



RN 223428-10-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1S,2R,3R)- (9CI)  
(CA INDEX NAME)

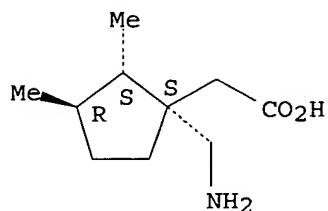
Absolute stereochemistry.



RN 223428-12-4 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1S,2S,3R)- (9CI)  
(CA INDEX NAME)

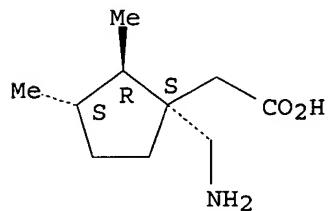
Absolute stereochemistry.



RN 223428-13-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1S,2R,3S)- (9CI)  
(CA INDEX NAME)

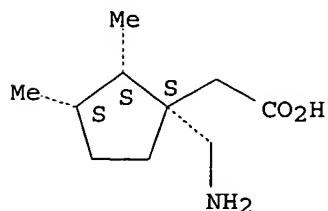
Absolute stereochemistry.



RN 223428-14-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1S,2S,3S)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

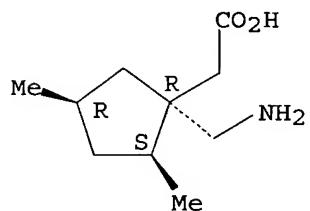


RN 223428-15-7 CAPLUS

Dwayne Jones 10/735,561

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,4-dimethyl-, (1R,2S,4R)- (9CI)  
(CA INDEX NAME)

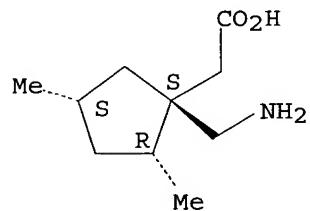
Absolute stereochemistry.



RN 223428-16-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,4-dimethyl-, (1S,2R,4S)- (9CI)  
(CA INDEX NAME)

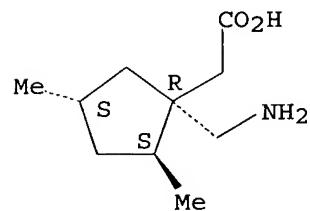
Absolute stereochemistry.



RN 223428-17-9 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,4-dimethyl-, (1R,2S,4S)- (9CI)  
(CA INDEX NAME)

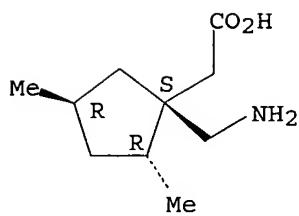
Absolute stereochemistry.



RN 223428-18-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,4-dimethyl-, (1S,2R,4R)- (9CI)  
(CA INDEX NAME)

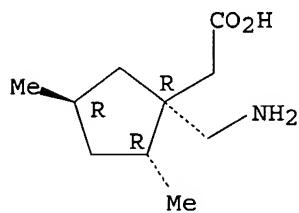
Absolute stereochemistry.



RN 223428-19-1 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,4-dimethyl-, (1R,2R,4R)- (9CI)  
(CA INDEX NAME)

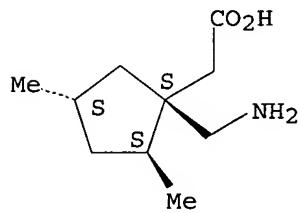
Absolute stereochemistry.



RN 223428-20-4 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,4-dimethyl-, (1S,2S,4S)- (9CI)  
(CA INDEX NAME)

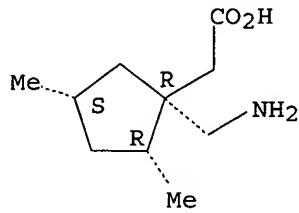
Absolute stereochemistry.



RN 223428-21-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,4-dimethyl-, (1R,2R,4S)- (9CI)  
(CA INDEX NAME)

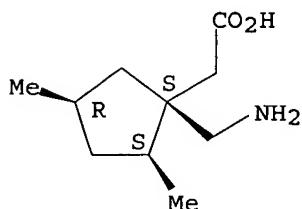
Absolute stereochemistry.



RN 223428-22-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,4-dimethyl-, (1S,2S,4R)- (9CI)  
(CA INDEX NAME)

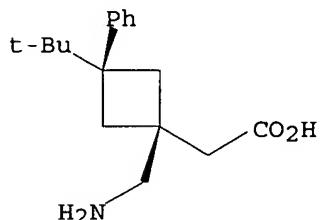
Absolute stereochemistry.



RN 223428-58-8 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-3-(1,1-dimethylethyl)-3-phenyl-,  
cis- (9CI) (CA INDEX NAME)

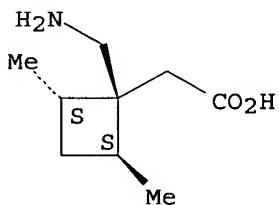
Relative stereochemistry.



RN 223445-09-8 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-2,4-dimethyl-,  
(1α,2α,4β)- (9CI) (CA INDEX NAME)

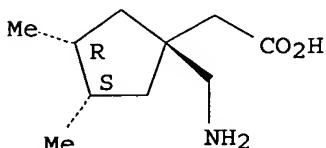
Relative stereochemistry.



RN 223445-69-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, (3R,4S)-rel- (9CI)  
(CA INDEX NAME)

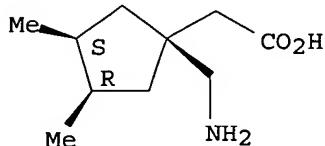
Relative stereochemistry.



RN 223445-70-3 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-,  
 (1 $\alpha$ ,3 $\alpha$ ,4 $\alpha$ )- (9CI) (CA INDEX NAME)

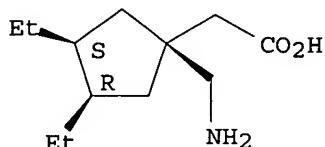
Relative stereochemistry.



RN 223445-71-4 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-diethyl-,  
 (1 $\alpha$ ,3 $\alpha$ ,4 $\alpha$ )- (9CI) (CA INDEX NAME)

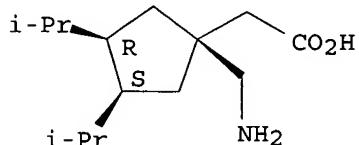
Relative stereochemistry.



RN 223445-72-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-bis(1-methylethyl)-,  
 (1 $\alpha$ ,3 $\alpha$ ,4 $\alpha$ )- (9CI) (CA INDEX NAME)

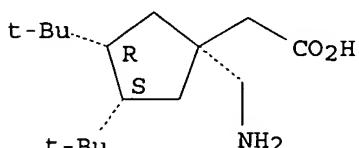
Relative stereochemistry.



RN 223445-73-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-bis(1,1-dimethylethyl)-,  
 (1 $\alpha$ ,3 $\alpha$ ,4 $\alpha$ )- (9CI) (CA INDEX NAME)

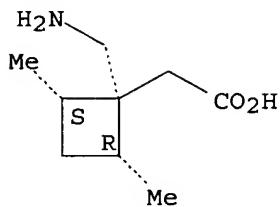
Relative stereochemistry.



RN 223445-74-7 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-2,4-dimethyl-, (2R,4S)-rel- (9CI)  
 (CA INDEX NAME)

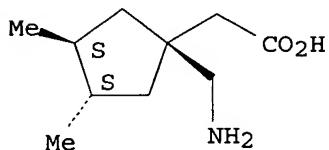
Relative stereochemistry.



RN 223445-75-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, (3S,4S)- (9CI)  
(CA INDEX NAME)

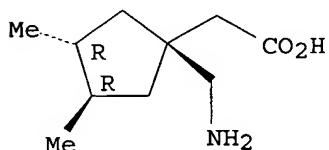
Absolute stereochemistry.



RN 223445-76-9 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, (3R,4R)- (9CI)  
(CA INDEX NAME)

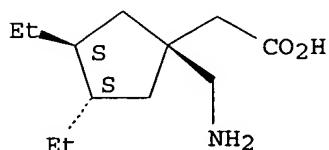
Absolute stereochemistry.



RN 223445-77-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-diethyl-, (3S,4S)- (9CI) (CA  
INDEX NAME)

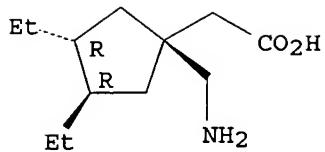
Absolute stereochemistry.



RN 223445-78-1 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-diethyl-, (3R,4R)- (9CI) (CA  
INDEX NAME)

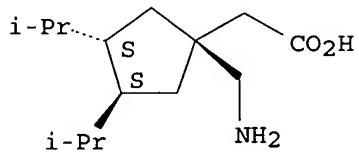
Absolute stereochemistry.



RN 223445-79-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-bis(1-methylethyl)-, (3S,4S)- (9CI) (CA INDEX NAME)

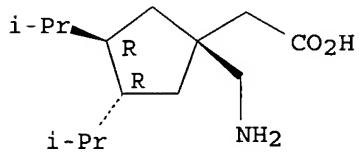
Absolute stereochemistry.



RN 223445-80-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-bis(1-methylethyl)-, (3R,4R)- (9CI) (CA INDEX NAME)

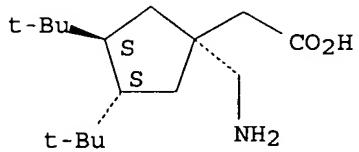
Absolute stereochemistry.



RN 223445-81-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-bis(1,1-dimethylethyl)-, (3S,4S)- (9CI) (CA INDEX NAME)

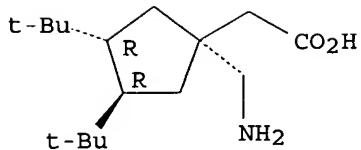
Absolute stereochemistry.



RN 223445-82-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-bis(1,1-dimethylethyl)-, (3R,4R)- (9CI) (CA INDEX NAME)

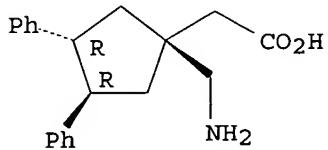
Absolute stereochemistry.



RN 223445-83-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-diphenyl-, (3R,4R)- (9CI)  
(CA INDEX NAME)

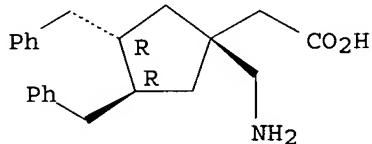
Absolute stereochemistry.



RN 223445-84-9 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-bis(phenylmethyl)-, (3R,4R)-  
(9CI) (CA INDEX NAME)

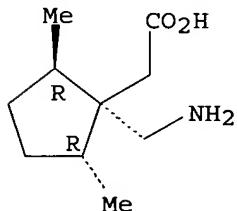
Absolute stereochemistry.



RN 223445-85-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,5-dimethyl-, (2R,5R)- (9CI)  
(CA INDEX NAME)

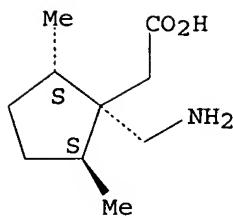
Absolute stereochemistry.



RN 223445-86-1 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,5-dimethyl-, (2S,5S)- (9CI)  
(CA INDEX NAME)

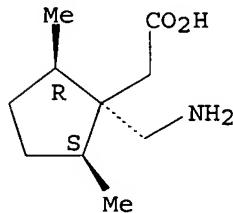
Absolute stereochemistry.



RN 223445-87-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,5-dimethyl-,  
(1 $\alpha$ ,2 $\beta$ ,5 $\beta$ ) - (9CI) (CA INDEX NAME)

Relative stereochemistry.



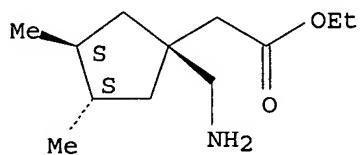
IT 223425-75-0P 223445-65-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reaction of in the synthesis of cyclic amino acids and  
derivs. thereof useful as pharmaceutical agents)

RN 223425-75-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, ethyl ester,  
(3S,4S) - (9CI) (CA INDEX NAME)

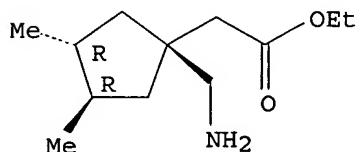
Absolute stereochemistry.



RN 223445-65-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, ethyl ester,  
(3R,4R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



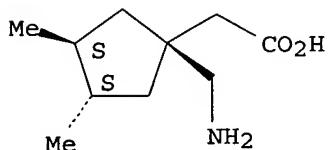
IT 223425-81-8P 223425-82-9P 223425-83-0P  
 223425-85-2P 223445-66-7P 223445-67-8P  
 223445-68-9P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of cyclic amino acids as pharmaceutical agents)

RN 223425-81-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, hydrochloride,  
 (3R,4R)-rel- (9CI) (CA INDEX NAME)

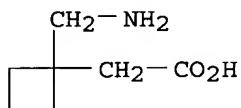
Relative stereochemistry.



● HCl

RN 223425-82-9 CAPLUS

CN Cyclobutaneacetic acid, 1-(aminomethyl)-, hydrochloride (9CI) (CA INDEX NAME)

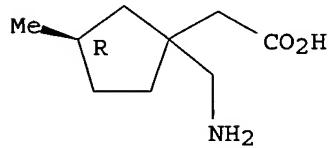


● HCl

RN 223425-83-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-, hydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

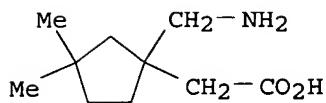


HCl

RN 223425-85-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,3-dimethyl-, hydrochloride

(9CI) (CA INDEX NAME)

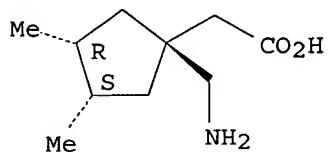


● HCl

RN 223445-66-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, hydrochloride,  
(3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

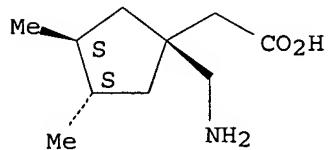


● HCl

RN 223445-67-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, hydrochloride,  
(3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

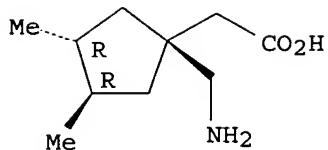


● HCl

RN 223445-68-9 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl-, hydrochloride,  
(3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1999:141204 CAPLUS  
 DOCUMENT NUMBER: 130:191891  
 TITLE: GABA analogs to prevent and treat gastrointestinal damage and ethanol withdrawal syndrome  
 INVENTOR(S): Guglietta, Antonio; Taylor, Charles, Price, Jr.; Ren, Jiayuan; Watson, W. P.; Rafferty, Michael Francis; Diop, Laurent; Chovet, Maria; Bueno, Lionel; Little, Hilary J.  
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA; The University of Oklahoma  
 SOURCE: PCT Int. Appl., 46 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|---|------|----------|------------------|----------|
| WO 9908671  | A1   | 19990225 | WO 1998-US17082  | 19980818 |
| W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                  |          |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
| CA 2297163  | AA   | 19990225 | CA 1998-2297163  | 19980818 |
| CA 2297163  | C    | 20011120 |                  |          |
| AU 9892930  | A1   | 19990308 | AU 1998-92930    | 19980818 |
| EP 1009399  | A1   | 20000621 | EP 1998-945758   | 19980818 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                  |          |
| BR 9812133  | A    | 20000718 | BR 1998-12133    | 19980818 |
| JP 2001515033   | T2   | 20010918 | JP 2000-509411   | 19980818 |
| NZ 502729   | A    | 20021025 | NZ 1998-502729   | 19980818 |
| TW 570794   | B    | 20040111 | TW 1998-87113592 | 19980818 |
| IL 134164   | A1   | 20050517 | IL 1998-134164   | 19980818 |
| ZA 9807493  | A    | 19990707 | ZA 1998-7493     | 19980819 |
| US 6127418  | A    | 20001003 | US 1999-284710   | 19990419 |
| MX 200001093  | A    | 20001020 | MX 2000-1093     | 20000131 |
| NO 2000000786   | A    | 20000217 | NO 2000-786      | 20000217 |
| US 6242488  | B1   | 20010605 | US 2000-567191   | 20000509 |

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|------------------------|-------------|-----------------|-------------|
| US 2001014698          | A1 20010816 | US 2001-804742  | 20010313    |
| US 6426368             | B2 20020730 |                 |             |
| PRIORITY APPLN. INFO.: |             |                 |             |
|                        |             | US 1997-56753P  | P 19970820  |
|                        |             | US 1998-74794P  | P 19980216  |
|                        |             | US 1998-82936P  | P 19980424  |
|                        |             | WO 1998-US17082 | W 19980818  |
|                        |             | US 1999-284710  | A3 19990419 |
|                        |             | US 2000-567191  | A3 20000509 |

OTHER SOURCE(S): MARPAT 130:191891

ED Entered STN: 05 Mar 1999

AB GABA analogs are useful to prevent and treat gastrointestinal damage and ethanol withdrawal syndrome. Preferred treatments employ gabapentin or pregabalin.

IC ICM A61K031-195

CC 1-9 (Pharmacology)

Section cross-reference(s): 63

IT 56-12-2D, GABA, analogs 34597-40-5, Fenoprofen calcium 60142-96-3  
60142-96-3D, esters 60142-99-6 60142-99-6D, esters  
63562-03-8 63562-03-8D, esters 148553-50-8, Pregabalin 148553-51-9  
196608-53-4 219135-91-8 219135-98-5 219136-10-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(GABA analogs to prevent and treat gastrointestinal damage and ethanol withdrawal syndrome)

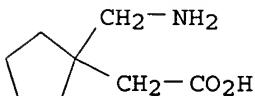
IT 60142-99-6 60142-99-6D, esters 219135-91-8  
219135-98-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(GABA analogs to prevent and treat gastrointestinal damage and ethanol withdrawal syndrome)

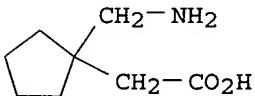
RN 60142-99-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



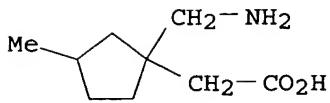
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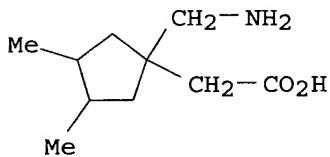


RN 219135-91-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 219135-98-5 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl- (9CI) (CA INDEX NAME)

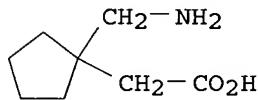


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

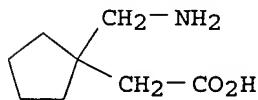
L14 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1999:141203 CAPLUS  
 DOCUMENT NUMBER: 130:191890  
 TITLE: GABA analogs to prevent and treat gastrointestinal damage and ethanol withdrawal syndrome  
 INVENTOR(S): Guglietta, Antonio; Taylor, Charles Price, Jr.; Ren, Jiayuan; Watson, W. P.; Rafferty, Michael Francis; Diop, Laurent; Chovet, Maria; Bueno, Lionel; Little, Hilary J.  
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA; The University of Oklahoma; Taylor, Charles Price, Jr.; et al.  
 SOURCE: PCT Int. Appl., 41 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE            | APPLICATION NO.  | DATE     |
|---|------|-----------------|------------------|----------|
| WO 9908670  | A1   | 19990225        | WO 1998-US15694  | 19980729 |
| W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |                 |                  |          |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG                                    |      |                 |                  |          |
| AU 9886685  | A1   | 19990308        | AU 1998-86685    | 19980729 |
| TW 570794   | B    | 20040111        | TW 1998-87113592 | 19980818 |
| ZA 9807493  | A    | 19990707        | ZA 1998-7493     | 19980819 |
| US 6242488  | B1   | 20010605        | US 2000-567191   | 20000509 |
| PRIORITY APPLN. INFO.:  |      |                 |                  |          |
|   |      | US 1997-56753P  | P                | 19970820 |
|   |      | US 1998-74794P  | P                | 19980216 |
|   |      | US 1998-82936P  | P                | 19980424 |
|   |      | WO 1998-US15694 | W                | 19980424 |
|   |      | US 1999-284710  | A3               | 19990419 |

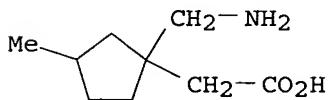
OTHER SOURCE(S) : MARPAT 130:191890  
 ED Entered STN: 05 Mar 1999  
 AB GABA analogs are useful to prevent and treat gastrointestinal damage and ethanol withdrawal syndrome. Preferred treatments employ gabapentin or pregabalin.  
 IC ICM A61K031-195  
 CC 1-9 (Pharmacology)  
 Section cross-reference(s) : 63  
 IT 56-12-2D, GABA, analogs 34597-40-5, Fenoprofen calcium 60142-96-3  
 60142-96-3D, esters 60142-99-6 60142-99-6D, esters  
 63562-03-8 63562-03-8D, esters 148553-50-8, Pregabalin 148553-51-9  
 196608-53-4 219135-91-8 219135-98-5 219136-10-4  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (GABA analogs to prevent and treat gastrointestinal damage and ethanol withdrawal syndrome)  
 IT 60142-99-6 60142-99-6D, esters 219135-91-8  
 219135-98-5  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (GABA analogs to prevent and treat gastrointestinal damage and ethanol withdrawal syndrome)  
 RN 60142-99-6 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



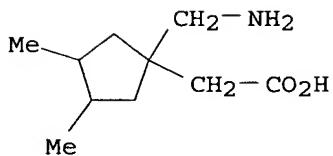
RN 60142-99-6 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



RN 219135-91-8 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 219135-98-5 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2006 ACS on STM  
 ACCESSION NUMBER: 1999:27708 CAPLUS  
 DOCUMENT NUMBER: 130:90504  
 TITLE: Use of GABA analogs such as gabapentin in the manufacture of a medicament for treating inflammatory diseases  
 INVENTOR(S): Schrier, Denis; Taylor, Charles Price, Jr.; Westlund-High, Karin Nanette  
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA; Board of Regents of the University of Texas System  
 SOURCE: PCT Int. Appl., 35 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

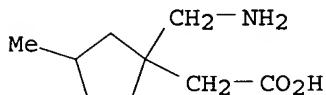
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 9858641  | A1   | 19981230 | WO 1998-US13107 | 19980624   |
| W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |            |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  |      |          |                 |            |
| CA 2294607  | AA   | 19981230 | CA 1998-2294607 | 19980624   |
| AU 9883758  | A1   | 19990104 | AU 1998-83758   | 19980624   |
| AU 735675   | B2   | 20010712 |                 |            |
| ZA 9805517  | A    | 19990120 | ZA 1998-5517    | 19980624   |
| EP 994704   | A1   | 20000426 | EP 1998-934170  | 19980624   |
| EP 994704   | B1   | 20050615 |                 |            |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI   |      |          |                 |            |
| BR 9812265  | A    | 20000718 | BR 1998-12265   | 19980624   |
| JP 2002506449   | T2   | 20020226 | JP 1999-505021  | 19980624   |
| NZ 501626   | A    | 20020328 | NZ 1998-501626  | 19980624   |
| AT 297722   | E    | 20050715 | AT 1998-934170  | 19980624   |
| ES 2244070  | T3   | 20051201 | ES 1998-934170  | 19980624   |
| US 6329429  | B1   | 20011211 | US 1999-403867  | 19991025   |
| MX 9909996  | A    | 20000331 | MX 1999-9996    | 19991029   |
| NO 9906468  | A    | 20000221 | NO 1999-6468    | 19991223   |
| US 2002032235   | A1   | 20020314 | US 2001-924656  | 20010808   |
| US 6887902  | B2   | 20050503 |                 |            |
| PRIORITY APPLN. INFO.:  |      |          | US 1997-50736P  | P 19970625 |
|   |      |          | US 1998-84183P  | P 19980504 |
|   |      |          | WO 1998-US13107 | W 19980624 |

Dwayne Jones 10/735,561

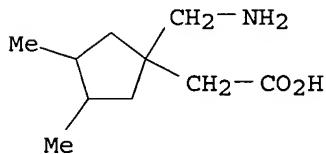
US 1999-403867

A3 19991025

OTHER SOURCE(S): MARPAT 130:90504  
ED Entered STN: 14 Jan 1999  
AB GABA analogs, e.g. gabapentin and pregabalin, are useful for the prevention and treatment of inflammatory diseases.  
IC ICM A61K031-195  
CC 1-7 (Pharmacology)  
IT 56-12-2D, GABA, analogs 60142-96-3, Gabapentin 148553-50-8, Pregabalin 148553-51-9 196608-53-4 219135-91-8 219135-98-5 219136-10-4  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(gabapentin or other GABA analog for treatment of inflammatory disease)  
IT 219135-91-8 219135-98-5  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(gabapentin or other GABA analog for treatment of inflammatory disease)  
RN 219135-91-8 CAPLUS  
CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 219135-98-5 CAPLUS  
CN Cyclopentaneacetic acid, 1-(aminomethyl)-3,4-dimethyl- (9CI) (CA INDEX NAME)



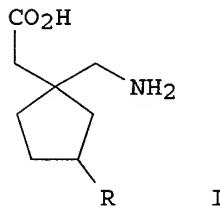
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

THE ESTIMATED COST FOR THIS REQUEST IS 97.92 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L15 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2006:364647 CAPLUS  
DOCUMENT NUMBER: 144:350975  
TITLE: Method for the stereoselective synthesis of cyclic amino acids  
INVENTOR(S): Bryans, Justin Stephen; Blakemore, David Clive;  
Williams, Sophie Caroline  
PATENT ASSIGNEE(S): Warner-Lambert Company, USA  
SOURCE: U.S. Pat. Appl. Publ., 72 pp.  
CODEN: USXXCO

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND         | DATE        | APPLICATION NO. | DATE     |
|------------------------|--------------|-------------|-----------------|----------|
| US 2006084825          | A1           | 20060420    | US 2004-968430  | 20041019 |
| PRIORITY APPLN. INFO.: |              |             | US 2004-968430  | 20041019 |
| ED                     | Entered STN: | 21 Apr 2006 |                 |          |
| GI                     |              |             |                 |          |



AB The invention relates to the synthesis of stereoisomeric 1-(aminomethyl)cyclopentylacetic acid derivs. I (R = C1-10 alkyl or C3-C10 cycloalkyl) or their pharmaceutically-acceptable salts, which are useful in the treatment of epilepsy, faintness attacks, hypokinesia, cranial disorders, neurodegenerative disorders, depression, anxiety, panic, pain, neuropathol. disorders, gastrointestinal disorders such as irritable bowel syndrome (IBS), inflammation especially arthritis, sleep disorders, premenstrual syndrome, and hot flashes. Compds. I are 3-substituted cyclopentyl-based analogs of gabapentin. Thus, (1S,3R)-1-(aminomethyl)-3-methylcyclopentylacetic acid hydrochloride was prepared by a multistep procedure starting with the condensation of (R)-(+)-3-methylcyclopentanone with Et cyanoacetate.

INCL 562504000

CC 34-2 (Amino Acids, Peptides, and Proteins)  
Section cross-reference(s): 1IT 223425-88-5P 223426-50-4P 342652-27-1P  
342652-57-7P 342652-58-8P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(stereoselective synthesis of cyclic amino acids)

IT 223425-88-5P 223426-50-4P 342652-27-1P  
342652-57-7P 342652-58-8P

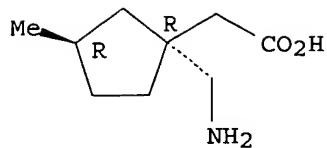
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(stereoselective synthesis of cyclic amino acids)

RN 223425-88-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-, hydrochloride, (1R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

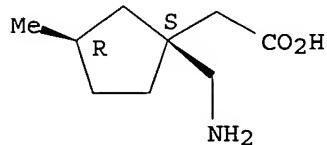


● HCl

RN 223426-50-4 CAPLUS

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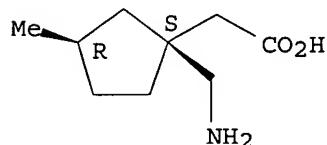
Absolute stereochemistry.



RN 342652-27-1 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-, hydrochloride, (1S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

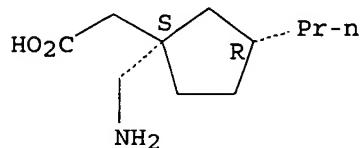


● HCl

RN 342652-57-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-propyl-, (1S,3R)- (9CI) (CA INDEX NAME)

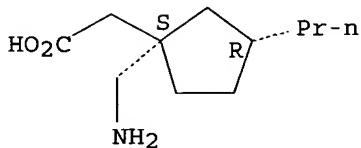
Absolute stereochemistry.



RN 342652-58-8 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-propyl-, hydrochloride, (1S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L15 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2003:591003 CAPLUS  
 DOCUMENT NUMBER: 139:128044  
 TITLE: Pharmaceutical composition and method using a GABA analog, an NMDA antagonist, and an optional additional drug for treating disorders of the central nervous system  
 INVENTOR(S): Galer, Bradley S.; Schlagheck, Thomas G.  
 PATENT ASSIGNEE(S): Endo Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 40 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

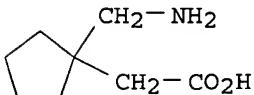
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2003061656   | A1   | 20030731 | WO 2003-US794   | 20030110   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW |      |          |                 |            |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |            |
| CA 2473536  | AA   | 20030731 | CA 2003-2473536 | 20030110   |
| EP 1471909  | A1   | 20041103 | EP 2003-731905  | 20030110   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |          |                 |            |
| JP 2005518411   | T2   | 20050623 | JP 2003-561600  | 20030110   |
| CN 1642547  | A    | 20050720 | CN 2003-806180  | 20030110   |
| PRIORITY APPLN. INFO.:  |      |          | US 2002-349773P | P 20020116 |
|   |      |          | WO 2003-US794   | W 20030110 |

OTHER SOURCE(S): MARPAT 139:128044

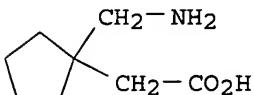
ED Entered STN: 01 Aug 2003

AB Disorders of the central nervous system (CNS) are treated by the administration of a GABA analog (e.g. gabapentin or pregabalin), an NMDA receptor antagonist (e.g. dextromethorphan or d-methadone), and, optionally, another pharmacol. active substance, e.g., one which is effective for the treatment of a CNS disorder.

IC ICM A61K031-44  
 ICS A61K031-195  
 CC 1-11 (Pharmacology)  
 Section cross-reference(s): 63  
 IT 50-48-6, Amitriptyline 50-49-7, Imipramine 50-53-3, Chlorpromazine, biological studies 52-86-8, Haloperidol 56-12-2D, GABA, analogs 69-23-8, Fluphenazine 72-69-5, Nortriptyline 113-45-1, Methyl phenidate 125-71-3, Dextromethorphan 125-73-5, Dextrorphan 303-49-1, Clomipramine 321-64-2, Tacrine 768-94-5, Amantadine 1622-61-3, Clonazepam 1668-19-5, Doxepin 1744-22-5, Riluzole 2062-78-4, Pimozide 4205-90-7, Clonidine 5653-80-5 12794-10-4D, Benzodiazepine, derivs. 14611-51-9, Selegiline 19794-93-5, Trazodone 19982-08-2, Memantine 25614-03-3, Bromocriptine 31677-93-7, Bupropion hydrochloride 36505-84-7, Buspirone 54910-89-3, Fluoxetine 57308-51-7, Carbidopa-levodopa mixture 60142-96-3 60142-96-3D, esters 60142-99-6 60142-99-6D, esters 61869-08-7, Paroxetine 63562-03-8 63562-03-8D, esters 79617-96-2, Sertraline 83366-66-9, Nefazodone 85650-52-8, Mirtazapine 92623-85-3, Milnacipran 93413-69-5, Venlafaxine 116539-59-4, Duloxetine 120014-06-4, Donepezil 148553-50-8, Pregabalin 569296-24-8 569296-25-9 569296-26-0 569296-27-1 569296-28-2 569296-29-3 569296-30-6 569296-31-7  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (GABA analog, NMDA antagonist, and optional addnl. drug for treating CNS disorders)  
 IT 60142-99-6 60142-99-6D, esters  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (GABA analog, NMDA antagonist, and optional addnl. drug for treating CNS disorders)  
 RN 60142-99-6 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



RN 60142-99-6 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2001:435024 CAPLUS  
 DOCUMENT NUMBER: 135:19914  
 TITLE: Method for the stereoselective synthesis of cyclic amino acids  
 INVENTOR(S): Bryans, Justin Stephen; Blakemore, David Clive; Williams, Sophie Caroline

PATENT ASSIGNEE(S) : Warner-Lambert Company, USA  
 SOURCE: PCT Int. Appl., 215 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

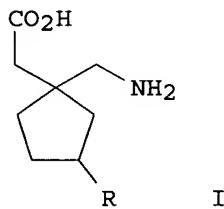
| PATENT NO.   | KIND | DATE     | APPLICATION NO.  | DATE     |
|--|------|----------|------------------|----------|
| WO 2001042190  | A1   | 20010614 | WO 2000-US32570  | 20001130 |
| W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ,<br>EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT,<br>LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR,<br>TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,<br>DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,<br>BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG |      |          |                  |          |
| CA 2392761   | AA   | 20010614 | CA 2000-2392761  | 20001130 |
| BR 2000016201  | A    | 20020813 | BR 2000-16201    | 20001130 |
| EP 1237847   | A1   | 20020911 | EP 2000-980881   | 20001130 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                  |          |
| JP 2003516378  | T2   | 20030513 | JP 2001-543492   | 20001130 |
| CN 1607201   | A    | 20050420 | CN 2004-10062843 | 20001130 |
| ZA 2002003628  | A    | 20030807 | ZA 2002-3628     | 20020507 |
| US 2003069438  | A1   | 20030410 | US 2002-149160   | 20020606 |
| US 6864390   | B2   | 20050308 |                  |          |

PRIORITY APPLN. INFO.: US 1999-169602P P 19991208  
 WO 2000-US32570 W 20001130

OTHER SOURCE(S) : CASREACT 135:19914; MARPAT 135:19914

ED Entered STN: 15 Jun 2001

GI



- AB Stereoisomeric 1-(aminomethyl)cyclopentylacetic acid derivs. I (R = C1-10 alkyl or C3-C10 cycloalkyl) or their pharmaceutically acceptable salts were prepared for treatment of epilepsy, faintness attacks, hypokinesia, cranial disorders, neurodegenerative disorders, depression, anxiety, panic, pain, neuropathol. disorders, gastrointestinal disorders such as irritable bowel syndrome (IBS), inflammation especially arthritis, sleep disorders, premenstrual syndrome, and hot flashes. Compds. I are 3-substituted cyclopentyl-based analogs of gabapentin. Thus, (1S,3R)-1-(aminomethyl)-3-methylcyclopentylacetic acid hydrochloride was prepared by a multistep procedure starting with the condensation of (R)-(+)-3-methylcyclopentanone with Et cyanoacetate.
- IC ICM C07C227-32  
 ICS C07C229-28; C07C057-46; C07C255-31; C07C255-41; C07C265-08;  
 C07C271-12; C07C069-616
- CC 34-2 (Amino Acids, Peptides, and Proteins)

IT 223425-88-5P 223426-17-3P 223426-18-4P  
 223426-50-4P 223426-51-5P 342652-27-1P  
 342652-51-1P 342652-52-2P 342652-54-4P  
 342652-56-6P 342652-57-7P 342652-58-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(stereoselective synthesis of cyclic amino acids)

IT 223425-88-5P 223426-17-3P 223426-18-4P  
 223426-50-4P 223426-51-5P 342652-27-1P  
 342652-51-1P 342652-52-2P 342652-54-4P  
 342652-56-6P 342652-57-7P 342652-58-8P

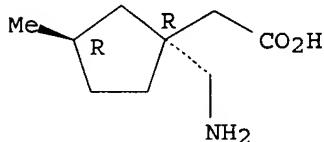
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(stereoselective synthesis of cyclic amino acids)

RN 223425-88-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-, hydrochloride,  
 (1R,3R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

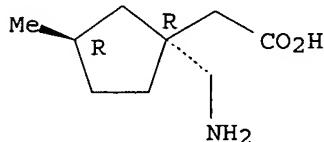


● HCl

RN 223426-17-3 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-, (1R,3R) - (9CI) (CA INDEX NAME)

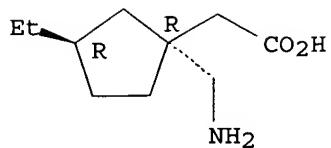
Absolute stereochemistry.



RN 223426-18-4 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-, (1R,3R) - (9CI) (CA INDEX NAME)

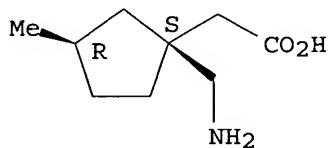
Absolute stereochemistry.



RN 223426-50-4 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-, (1S,3R)- (9CI) (CA INDEX NAME)

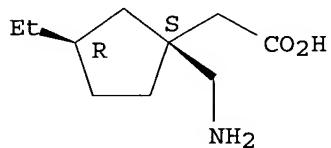
Absolute stereochemistry.



RN 223426-51-5 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-, (1S,3R)- (9CI) (CA INDEX NAME)

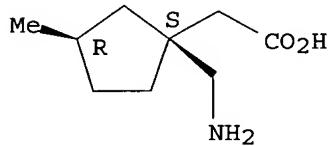
Absolute stereochemistry.



RN 342652-27-1 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-methyl-, hydrochloride, (1S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

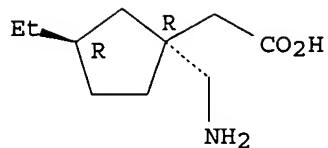


● HCl

RN 342652-51-1 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-, hydrochloride, (1R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

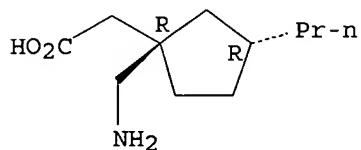


● HCl

RN 342652-52-2 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-propyl-, (1R,3R)- (9CI) (CA INDEX NAME)

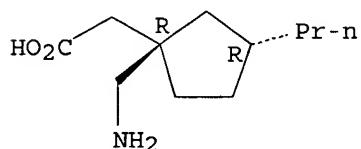
Absolute stereochemistry.



RN 342652-54-4 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-propyl-, hydrochloride, (1R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

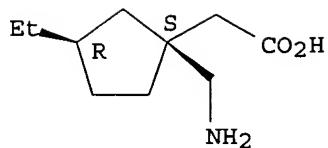


● HCl

RN 342652-56-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-ethyl-, hydrochloride, (1S,3R)- (9CI) (CA INDEX NAME)

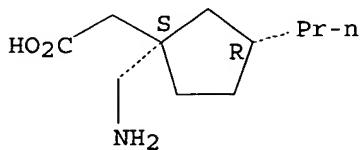
Absolute stereochemistry.



● HCl

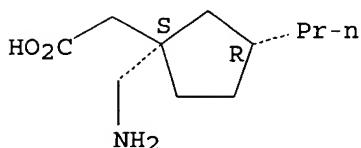
RN 342652-57-7 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-propyl-, (1S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 342652-58-8 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-3-propyl-, hydrochloride, (1S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2000:814298 CAPLUS  
 DOCUMENT NUMBER: 133:344633  
 TITLE: Modulation of substance P by GABA analogs, and therapeutic methods  
 INVENTOR(S): Magistro, Philip John, Jr.  
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA  
 SOURCE: PCT Int. Appl., 27 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO.  | DATE     |
|---------------|------|----------|--|----------|
| WO 2000067742 | A2   | 20001116 | WO 2000-US6199   | 20000310 |
| WO 2000067742 | A3   | 20010816 |  |          |
|               |      |          | W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, DZ, EE, GD,<br>GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA,<br>MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US,<br>UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,<br>DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,<br>CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG |          |

PRIORITY APPLN. INFO.: US 1999-132614P P 19990505

OTHER SOURCE(S) : MARPAT 133:344633

ED Entered STN: 21 Nov 2000

AB Modulation of substance P by GABA analogs is disclosed. Preferred GABA analog compds. include gabapentin and pregabalin. Methods of the invention include the modulation of substance P, as well as methods for preventing or treating conditions associated with substance P, by administering to an animal an effective amount of one or more GABA analog compds. Conditions associated with substance P include headaches and migraine, neurogenic inflammation, emesis, nausea and vomiting, cough and bronchitis, obesity, allergy, asthma, hemorrhoids and anal fissures, ulcer, fever, infertility and periodontal disease.

IC ICM A61K031-00

CC 1-11 (Pharmacology)

Section cross-reference(s) : 63

IT 56-12-2D, GABA, analogs 60142-96-3 60142-96-3D, esters and isomers  
60142-99-6 60142-99-6D, esters and isomers 63562-03-8

63562-03-8D, esters and isomers 148553-50-8, Pregabalin

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(GABA analog substance P modulators, and therapeutic use)

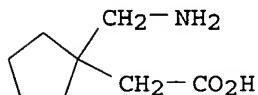
IT 60142-99-6 60142-99-6D, esters and isomers

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(GABA analog substance P modulators, and therapeutic use)

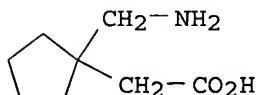
RN 60142-99-6 CAPPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



RN 60142-99-6 CAPPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)

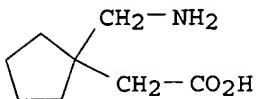


L15 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2000:53372 CAPLUS  
 DOCUMENT NUMBER: 132:88190  
 TITLE: The treatment of renal colic-associated pain with GABA analogs  
 INVENTOR(S): Angello, James T.  
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA  
 SOURCE: PCT Int. Appl., 11 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2000002547   | A2   | 20000120 | WO 1999-US15387 | 19990708   |
| WO 2000002547   | A3   | 20000504 |                 |            |
| W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |            |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |            |
| CA 2332931  | AA   | 20000120 | CA 1999-2332931 | 19990708   |
| AU 9950922  | A1   | 20000201 | AU 1999-50922   | 19990708   |
| AU 766708   | B2   | 20031023 |                 |            |
| BR 9911925  | A    | 20010327 | BR 1999-11925   | 19990708   |
| EP 1094804  | A2   | 20010502 | EP 1999-935443  | 19990708   |
| EP 1094804  | B1   | 20051005 |                 |            |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                 |            |
| NZ 509230   | A    | 20030829 | NZ 1999-509230  | 19990708   |
| AT 305778   | E    | 20051015 | AT 1999-935443  | 19990708   |
| ES 2249905  | T3   | 20060401 | ES 1999-935443  | 19990708   |
| ZA 2000007172   | A    | 20020304 | ZA 2000-7172    | 20001204   |
| US 6680343  | B1   | 20040120 | US 2000-720007  | 20001219   |
| NO 2001000115   | A    | 20010108 | NO 2001-115     | 20010108   |
| PRIORITY APPLN. INFO.:  |      |          | US 1998-92167P  | P 19980709 |
|   |      |          | WO 1999-US15387 | W 19990708 |

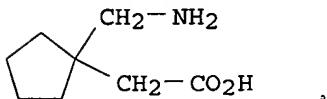
OTHER SOURCE(S): MARPAT 132:88190  
 ED Entered STN: 23 Jan 2000  
 AB A method is provided for using certain analogs of glutamic acid and  $\gamma$ -aminobutyric acid to relieve the pain associated with renal colic.  
 IC ICM A61K031-00  
 CC 1-11 (Pharmacology)  
 IT 56-12-2D, GABA, analogs 60142-96-3, Gabapentin 60142-96-3D, esters 60142-99-6 60142-99-6D, esters 63562-03-8D, esters 63562-03-8D, esters 148553-50-8, Pregabalin  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (GABA/glutamate analogs for treatment of renal colic-associated pain)  
 IT 60142-99-6 60142-99-6D, esters  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (GABA/glutamate analogs for treatment of renal colic-associated pain)  
 RN 60142-99-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



RN 60142-99-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



L15 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2000:53370 CAPLUS  
 DOCUMENT NUMBER: 132:88189  
 TITLE: Method for the treatment of insomnia using a GABA or glutamic acid analog  
 INVENTOR(S): Magnus-Miller, Leslie; Segal, Catherine A.  
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA  
 SOURCE: PCT Int. Appl., 11 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2000002546   | A2   | 20000120 | WO 1999-US15058 | 19990701   |
| WO 2000002546   | A3   | 20000615 |                 |            |
| W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |            |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |            |
| CA 2333024  | AA   | 20000120 | CA 1999-2333024 | 19990701   |
| CA 2333024  | C    | 20020326 |                 |            |
| AU 9949673  | A1   | 20000201 | AU 1999-49673   | 19990701   |
| AU 765038   | B2   | 20030904 |                 |            |
| BR 9911887  | A    | 20010327 | BR 1999-11887   | 19990701   |
| EP 1094803  | A2   | 20010502 | EP 1999-933667  | 19990701   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                 |            |
| JP 2002520277   | T2   | 20020709 | JP 2000-558806  | 19990701   |
| NZ 509231   | A    | 20030829 | NZ 1999-509231  | 19990701   |
| ZA 2000007174   | A    | 20020304 | ZA 2000-7174    | 20001204   |
| NO 2001000117   | A    | 20010108 | NO 2001-117     | 20010108   |
| US 6306910  | B1   | 20011023 | US 2001-743370  | 20010109   |
| US 2002004528   | A1   | 20020110 | US 2001-921682  | 20010803   |
| PRIORITY APPLN. INFO.:  |      |          | US 1998-92166P  | P 19980709 |

WO 1999-US15058 W 19990701  
 US 2001-743370 A3 20010109

OTHER SOURCE(S): MARPAT 132:88189

ED Entered STN: 23 Jan 2000

AB A method is disclosed for using certain analogs of glutamic acid and  $\gamma$ -aminobutyric acid to treat insomnia.

IC ICM A61K031-00

CC 1-11 (Pharmacology)

IT 56-12-2D, GABA, analogs 60142-96-3, Gabapentin 60142-96-3D, esters  
**60142-99-6 60142-99-6D**, esters 63562-03-8D, esters  
 63562-03-8D, esters 148553-50-8, Pregabalin  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(GABA analog for insomnia treatment)

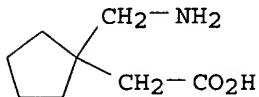
IT **60142-99-6 60142-99-6D**, esters

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(GABA analog for insomnia treatment)

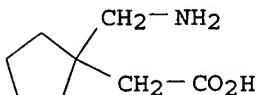
RN 60142-99-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



RN 60142-99-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



L15 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:53369 CAPLUS

DOCUMENT NUMBER: 132:88188

TITLE: Compositions comprising GABA analogs and a decongestant to relieve sinus headache pain

INVENTOR(S): Magnus, Leslie; Segal, Catherine A.

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 13 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2000002545 | A2   | 20000120 | WO 1999-US13946 | 19990618 |
| WO 2000002545 | A3   | 20000413 |                 |          |

W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU,

ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX,  
 NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA,  
 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 CA 2332927 AA 20000120 CA 1999-2332927 19990618  
 AU 9945799 A1 20000201 AU 1999-45799 19990618  
 AU 758103 B2 20030313  
 EP 1093365 A2 20010425 EP 1999-928815 19990618  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO  
 BR 9911943 A 20010529 BR 1999-11943 19990618  
 NZ 508491 A 20030926 NZ 1999-508491 19990618  
 ZA 2000007170 A 20020304 ZA 2000-7170 20001204  
 NO 2001000118 A 20010108 NO 2001-118 20010108  
 US 2004002543 A1 20040101 US 2003-610386 20030630  
 PRIORITY APPLN. INFO.: US 1998-92146P P 19980709  
 WO 1999-US13946 W 19990618  
 US 2001-743433 B1 20010216

OTHER SOURCE(S): MARPAT 132:88188

ED Entered STN: 23 Jan 2000

AB The invention is composition and method for treating sinus headache or sinus pain including analogs of glutamic acid and  $\gamma$ -aminobutyric acid in combination with a decongestant.

IC ICM A61K031-00

CC 1-11 (Pharmacology)

Section cross-reference(s): 63

IT 56-12-2D, GABA, analogs 59-42-7, Phenylephrine 90-82-4, Pseudoephedrine 299-42-3, Ephedrine 60142-96-3, Gabapentin 60142-96-3D, esters 60142-99-6 60142-99-6D, esters 63562-03-8 63562-03-8D, esters 148553-50-8, Pregabalin 254990-38-0, Ma huong

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(GABA analog and decongestant to relieve sinus headache pain)

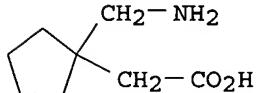
IT 60142-99-6 60142-99-6D, esters

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(GABA analog and decongestant to relieve sinus headache pain)

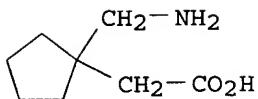
RN 60142-99-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



RN 60142-99-6 CAPLUS

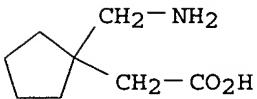
CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



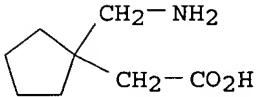
L15 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1999:487208 CAPLUS  
 DOCUMENT NUMBER: 131:111443  
 TITLE: Gabapentin and its derivatives for the treatment of muscular and skeletal pain  
 INVENTOR(S): Magnus-Miller, Leslie; Segal, Catherine A.  
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA  
 SOURCE: PCT Int. Appl., 26 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE       |
|--|------|----------|-----------------|------------|
| WO 9937296   | A1   | 19990729 | WO 1999-US1290  | 19990122   |
| W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL,<br>IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL,<br>RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ,<br>MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,<br>FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,<br>CM, GA, GN, GW, ML, MR, NE, SN, TD, TG |      |          |                 |            |
| CA 2309354   | AA   | 19990729 | CA 1999-2309354 | 19990122   |
| AU 9924630   | A1   | 19990809 | AU 1999-24630   | 19990122   |
| EP 1047414   | A1   | 20001102 | EP 1999-904178  | 19990122   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO   |      |          |                 |            |
| PRIORITY APPLN. INFO.:   |      |          | US 1998-72397P  | P 19980123 |
|  |      |          | WO 1999-US1290  | W 19990122 |

OTHER SOURCE(S): MARPAT 131:111443  
 ED Entered STN: 06 Aug 1999  
 AB The invention is a method of using certain analogs of glutamic acid and gamma-aminobutyric acid to relieve muscular/skeletal back pain.  
 IC ICM A61K031-195  
 CC 1-11 (Pharmacology)  
 IT 60142-96-3 60142-96-3D, esters 60142-99-6 60142-99-6D  
 , esters 63562-03-8 63562-03-8D, esters  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (gabapentin and its derivs. for the treatment of muscular and skeletal pain)  
 IT 60142-99-6 60142-99-6D, esters  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (gabapentin and its derivs. for the treatment of muscular and skeletal pain)  
 RN 60142-99-6 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



RN 60142-99-6 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1999:136813 CAPLUS  
 DOCUMENT NUMBER: 130:205144  
 TITLE: Methods using gabapentin and related amino acids for treating physiological conditions associated with the use, or sequelae of use, of cocaine or other psychomotor stimulants  
 INVENTOR(S): Akunne, Hyacinth Chi; Green, Alycia Latreese; Corbin, Ann Elizabeth; Heffner, Thomas Gary; Dooley, David James  
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA  
 SOURCE: PCT Int. Appl., 21 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE        |
|---|------|----------|-----------------|-------------|
| WO 9908667  | A2   | 19990225 | WO 1998-US16847 | 19980813    |
| WO 9908667  | A3   | 19990506 |                 |             |
| W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |             |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |             |
| AU 9890191  | A1   | 19990308 | AU 1998-90191   | 19980813    |
| ZA 9807439  | A    | 19990226 | ZA 1998-7439    | 19980818    |
| US 6194459  | B1   | 20010227 | US 2000-485022  | 20000202    |
| US 6566400  | B1   | 20030520 | US 2000-650313  | 20000829    |
| PRIORITY APPLN. INFO.:  |      |          | US 1997-56189P  | P 19970819  |
|   |      |          | WO 1998-US16847 | W 19980813  |
|   |      |          | US 2000-485022  | A3 20000202 |

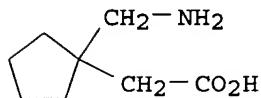
OTHER SOURCE(S): MARPAT 130:205144

ED Entered STN: 03 Mar 1999

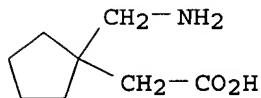
AB The invention is novel uses of known cyclic amino acids. Such compds. as

gabapentin and pregabalin are used for treating physiol. conditions associated with the use, or sequelae of use, of cocaine or other psychomotor stimulants and other addictive drugs/substances. Physiol. conditions include stimulant-induced toxicities.

IC ICM A61K031-00  
 CC 1-11 (Pharmacology)  
 Section cross-reference(s) : 63  
 IT 60142-96-3 60142-96-3D, esters 60142-99-6 60142-99-6D  
     , esters 63562-03-8 63562-03-8D, esters 148553-50-8  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
     (gabapentin and related amino acids for treating physiol. conditions associated with use, or sequelae of use, of cocaine or other psychomotor stimulants)  
 IT 60142-99-6 60142-99-6D, esters  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
     (gabapentin and related amino acids for treating physiol. conditions associated with use, or sequelae of use, of cocaine or other psychomotor stimulants)  
 RN 60142-99-6 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



RN 60142-99-6 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



L15 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1994:508049 CAPLUS  
 DOCUMENT NUMBER: 121:108049  
 TITLE: Preparation of 1-(aminomethyl)cycloalkaneacetic acids.  
 INVENTOR(S): Jennings, Rex A.; Johnson, Don R.; Seamans, Ronald E.; Zeller, James R.  
 PATENT ASSIGNEE(S): Warner-Lambert Co., USA  
 SOURCE: U.S., 11 pp. Cont.-in-part of U.S.Ser.No 846,509  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

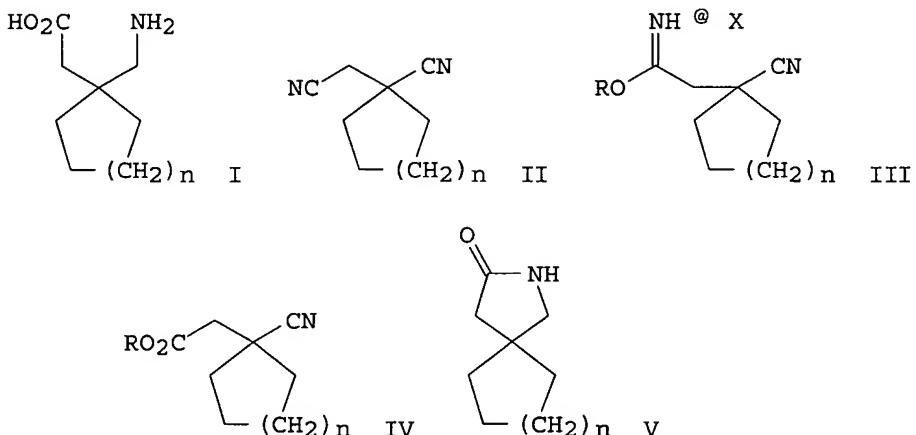
| PATENT NO. | KIND  | DATE     | APPLICATION NO. | DATE     |
|------------|-------|----------|-----------------|----------|
| -----      | ----- | -----    | -----           | -----    |
| US 5319135 | A     | 19940607 | US 1993-72212   | 19930604 |

|            |    |          |                |          |
|------------|----|----------|----------------|----------|
| US 5132451 | A  | 19920721 | US 1990-564623 | 19900810 |
| ZA 9006766 | A  | 19920429 | ZA 1990-6766   | 19900824 |
| KR 169475  | B1 | 19990320 | KR 1990-13103  | 19900824 |
| US 5693845 | A  | 19971202 | US 1992-846509 | 19920306 |
| US 5362883 | A  | 19941108 | US 1994-208771 | 19940308 |
| FI 9502034 | A  | 19950428 | FI 1995-2034   | 19950428 |
| FI 107915  | B1 | 20011031 |                |          |
| NO 9504929 | A  | 19951205 | NO 1995-4929   | 19951205 |
| NO 180296  | B  | 19961216 |                |          |
| NO 180296  | C  | 19970326 |                |          |
| NO 9504930 | A  | 19951205 | NO 1995-4930   | 19951205 |
| NO 180298  | B  | 19961216 |                |          |
| NO 180298  | C  | 19970326 |                |          |
| NO 9504931 | A  | 19951205 | NO 1995-4931   | 19951205 |
| NO 180299  | B  | 19961216 |                |          |
| NO 180299  | C  | 19970326 |                |          |
| NO 9504932 | A  | 19951205 | NO 1995-4932   | 19951205 |
| NO 180301  | B  | 19961216 |                |          |
| NO 180301  | C  | 19970326 |                |          |

## PRIORITY APPLN. INFO.:

|                |    |          |
|----------------|----|----------|
| US 1989-399056 | B2 | 19890825 |
| US 1990-564623 | A3 | 19900810 |
| US 1992-846509 | A2 | 19920306 |
| FI 1990-4164   | A  | 19900822 |
| NO 1990-3732   | A  | 19900824 |
| US 1993-72212  | A3 | 19930604 |

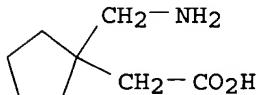
OTHER SOURCE(S): CASREACT 121:108049; MARPAT 121:108049

ED Entered STN: 03 Sep 1994  
GI

AB Title compds. (I; n = 1-3), were prepared by (1) reacting dinitriles (II) with ROH (R = C1-6 alkyl) in the presence of acid and a solvent to give iminoesters (III; X = acid moiety) in situ, (2) addition of H<sub>2</sub>O and aqueous base to afford (IV), (3) treatment of IV with H and a catalyst to give spirolactams (V), (4) hydrolysis of V with acid to give a I salt, and (5) neutralization with base and optional salification. Thus, a mixture of 1-cyanocyclohexaneacetonitrile, EtOH, and PhMe was cooled to 10°, evacuated, and treated with HCl gas; the mixture was held cold for 3 d,

treated with addnl. HCl, and stirred cold for 4 d. Solvent was removed by vacuum distillation at < 25°; the mixture was cooled in an ice bath, treated with H<sub>2</sub>O and aqueous NaOH to bring the pH to 4.5, and then stirred 24 h. PhMe was added, the aqueous phase was removed, MeOH and aqueous NaOH were added, and the mixture was warmed to 40° and stirred 4 h to give, after separation of the PhMe phase and acidification of the aqueous phase with HCl, 78% 1-cyanocyclohexaneacetic acid. The latter in MeOH was hydrogenated at 50 psih and room temperature over Rh/Pd/C for 2 h to give 79% 1-(aminomethyl)cyclohexaneacetic acid.

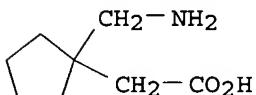
IC ICM C07C227-22  
ICS C07D209-96  
INCL 562507000  
CC 24-5 (Alicyclic Compounds)  
IT 60142-99-6P, Cyclopentaneacetic acid, 1-(aminomethyl)-  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, from cyanocyclopentaneacetonitrile)  
IT 60142-99-6P, Cyclopentaneacetic acid, 1-(aminomethyl)-  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, from cyanocyclopentaneacetonitrile)  
RN 60142-99-6 CAPLUS  
CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



L15 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1993:182788 CAPLUS  
DOCUMENT NUMBER: 118:182788  
TITLE: Characterization of [<sup>3</sup>H]gabapentin binding to a novel site in rat brain: Homogenate binding studies  
AUTHOR(S): Suman-Chauhan, Nirmala; Webdale, Louise; Hill, David R.; Woodruff, Geoffrey N.  
CORPORATE SOURCE: Parke-Davis Neurosci. Res. Cent., Addenbrooks Hosp. Site, Cambridge, CB2 2QB, UK  
SOURCE: European Journal of Pharmacology, Molecular Pharmacology Section (1993), 244(3), 293-301  
CODEN: EJPPE; ISSN: 0922-4106  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
ED Entered STN: 14 May 1993  
AB The binding characteristics of [<sup>3</sup>H]gabapentin, the radiolabeled analog of the novel anticonvulsant gabapentin (1-(aminomethyl)cyclohexaneacetic acid) were studied using purified synaptic plasma membranes prepared from rat cerebral cortex. In 10 mM HEPES buffer, [<sup>3</sup>H]gabapentin bound to a single population of sites with high affinity ( $K_D = 38$  nM) with a maximum binding capacity of 4.6 pmol/mg protein, reaching equilibrium after 30 min at 20°C. This novel site was unique to the central nervous system with little or no specific [<sup>3</sup>H]gabapentin binding being measurable in a range of peripheral tissues. Binding was potently inhibited by a range of gabapentin analogs and 3-alkyl substituted  $\gamma$ -aminobutyric acid (GABA) derivs., although GABA itself and the selective GABAB receptor ligand baclofen, were only weakly active. Gabapentin itself ( $IC_{50} = 80$  nM) and 3-iso-Bu GABA ( $IC_{50} = 80$  nM) which also has anticonvulsant properties, showed the highest affinity for the binding site. Of a wide

range of other pharmacol. active compds., only the polyamines spermine and spermidine influenced [<sup>3</sup>H] gabapentin binding, with both compds. producing a maximum of 50% inhibition of specific binding. Magnesium ions produced a similar pattern of inhibition, but the effect of the polyamines and magnesium ions were not additive. The data provide evidence for the existence in brain of a novel binding site that may mediate the anticonvulsant effects of gabapentin and other potential anticonvulsant compds.

CC 1-3 (Pharmacology)  
 IT 56-12-2, biological studies 56-85-9, L-Glutamine, biological studies  
 71-44-3, Spermine 72-19-5, L-Threonine, biological studies 110-60-1,  
 1,4-Butanediamine 124-20-9, Spermidine 463-00-3 924-49-2,  
 $\beta$ -Hydroxy-GABA 1011-60-5 1078-21-3,  $\beta$ -Phenyl-GABA  
 1119-48-8 5415-99-6 6739-80-6 7439-95-4, Magnesium, biological  
 studies 13080-10-9 13477-53-7 13880-74-5 26074-83-9 42453-21-4  
**60142-99-6** 63562-03-8 71135-23-4 72733-86-9 97564-97-1  
 128013-68-3 128013-69-4 130912-50-4 130912-51-5 131683-06-2  
 134391-49-4 146945-11-1 146945-12-2 146945-13-3  
 RL: PRP (Properties)  
 (affinity of, for brain gabapentin site)  
 IT **60142-99-6**  
 RL: PRP (Properties)  
 (affinity of, for brain gabapentin site)  
 RN 60142-99-6 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



L15 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1992:433682 CAPLUS  
 DOCUMENT NUMBER: 117:33682  
 TITLE: Coated delivery system for cyclic amino acids with improved taste, texture and compressibility  
 INVENTOR(S): Cherukuri, Subraman Rao; Chau, Tommy Linkwong  
 PATENT ASSIGNEE(S): Warner-Lambert Co., USA  
 SOURCE: Eur. Pat. Appl., 14 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| EP 458751   | A1   | 19911127 | EP 1991-810380  | 19910517   |
| R: BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE |      |          |                 |            |
| JP 04270216                                       | A2   | 19920925 | JP 1991-148198  | 19910524   |
| PRIORITY APPLN. INFO.:                            |      |          | US 1990-530768  | A 19900525 |

OTHER SOURCE(S): MARPAT 117:33682

ED Entered STN: 26 Jul 1992

AB A core made of a cyclic amino acid (Markush given), such as the drug Gabapentin is first coated with a water-soluble or water-insol. polymeric film and then with a hydrophilic coating made of fats, fatty acids and/or waxes. Unmilled Gabapentin was granulated with excipients and coated with

gelatin type A and then with a mixture of partially-hydrogenated soybean oil and glycerol monostearate.

IC ICM A61K031-195

ICS A61K009-54; A61K031-215

CC 63-6 (Pharmaceuticals)

IT 60142-96-3 60142-99-6, 1-Aminomethyl-1-cyclopentaneacetic acid  
 63562-03-8, 1-Aminomethyl-1-cycloheptaneacetic acid 63562-08-3  
 63562-10-7 63562-12-9, Butyl 1-aminomethyl-1-cyclopentaneacetate 138799-97-0 138799-98-1, Methyl 1-aminomethyl-1-cyclohexaneacetate 138799-99-2, Butyl 1-aminomethyl-1-cyclohexaneacetate

RL: BIOL (Biological study)

(delivery system for, coated, for bitter taste control)

IT 60142-99-6, 1-Aminomethyl-1-cyclopentaneacetic acid

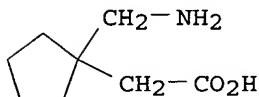
63562-10-7 63562-12-9, Butyl 1-aminomethyl-1-cyclopentaneacetate

RL: BIOL (Biological study)

(delivery system for, coated, for bitter taste control)

RN 60142-99-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



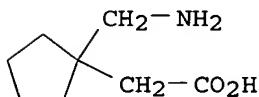
RN 63562-10-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-, benzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 60142-99-6

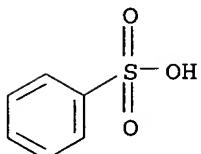
CMF C8 H15 N O2



CM 2

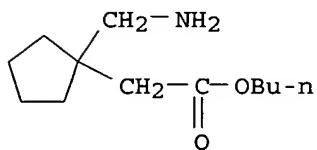
CRN 98-11-3

CMF C6 H6 O3 S



RN 63562-12-9 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-, butyl ester (9CI) (CA INDEX NAME)



L15 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:429916 CAPLUS

DOCUMENT NUMBER: 115:29916

TITLE: Preparation of lactam-free 1-aminomethyl-1-carboxymethylcycloalkanes and drug compositions containing them

INVENTOR(S): Augart, Helmut; Gebhardt, Uwe; Herrmann, Wolfgang

PATENT ASSIGNEE(S): Goedecke A.-G., Germany

SOURCE: Eur. Pat. Appl., 8 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE        |
|---|------|----------|-----------------|-------------|
| EP 414263   | A2   | 19910227 | EP 1990-116265  | 19900824    |
| EP 414263   | A3   | 19910605 |                 |             |
| EP 414263   | B1   | 19941026 |                 |             |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE |      |          |                 |             |
| DE 3928183  | A1   | 19910228 | DE 1989-3928183 | 19890825    |
| JP 03090053   | A2   | 19910416 | JP 1990-221422  | 19900824    |
| JP 3148223  | B2   | 20010319 |                 |             |
| ES 2063219  | T3   | 19950101 | ES 1990-116265  | 19900824    |
| US 6054482  | A    | 20000425 | US 1995-377618  | 19950125    |
| BR 2000002663   | A    | 20020219 | BR 2000-2663    | 20000710    |
| JP 2001058976   | A2   | 20010306 | JP 2000-270023  | 20000824    |
| PRIORITY APPLN. INFO.:                                    |      |          | DE 1989-3928183 | A 19890825  |
|   |      |          | US 1990-570500  | B1 19900821 |
|   |      |          | JP 1990-221422  | A3 19900824 |
|   |      |          | US 1992-865723  | B1 19920408 |
|   |      |          | US 1993-20270   | B1 19930218 |
|   |      |          | JP 2000-270023  | A 20000824  |

OTHER SOURCE(S): MARPAT 115:29916

ED Entered STN: 27 Jul 1991

GI For diagram(s), see printed CA Issue.

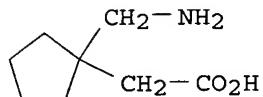
AB Title compds. [I; n = 4-6] containing <0.5 weight% of the corresponding lactams (II) are prepared by hydrolyzing II or crude I (obtained from II and still containing II as an impurity) with concentrated HCl until ring opening is complete,

optionally followed by incorporating the lactam-free I into pharmaceutical compns. containing excipients that do not catalyze formation of the lactam. Gabapentin lactam in H<sub>2</sub>O was refluxed with concentrated HCl at 108° for 6 h, the reaction mixture cooled to 28°, the precipitate collected and dissolved in H<sub>2</sub>O and extracted with CH<sub>2</sub>Cl<sub>2</sub> to give 60% I (n = 5).HCl.

IC ICM C07C229-28

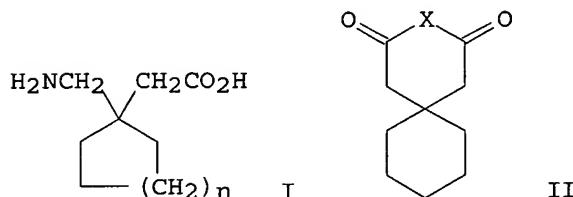
CC 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s) : 24, 45, 63  
 IT 60142-99-6P 63562-03-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, free of lactam)  
 IT 60142-99-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, free of lactam)  
 RN 60142-99-6 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



L15 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1981:174460 CAPLUS  
 DOCUMENT NUMBER: 94:174460  
 TITLE: 1-Aminomethyl-1-cycloalkane acetic acid  
 INVENTOR(S): Hartenstein, Johannes; Satzinger, Gerhard  
 PATENT ASSIGNEE(S): Warner-Lambert Co., USA  
 SOURCE: Can., 15 pp.  
 CODEN: CAXXA4  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.                  | KIND | DATE     | APPLICATION NO. | DATE       |
|-----------------------------|------|----------|-----------------|------------|
| CA 1085420                  | A1   | 19800909 | CA 1977-274702  | 19770324   |
| PRIORITY APPLN. INFO.:      |      |          | CA 1977-274702  | A 19770324 |
| ED Entered STN: 12 May 1984 |      |          |                 |            |
| GI                          |      |          |                 |            |



AB Title (aminomethyl)cycloalkanes I ( $n = 1, 2, 3$ ) were prepared, and they possessed hypothermal and sedative activities (no data). Thus, condensation of cyclohexanediacetic acid anhydride II ( $X = O$ ) with  $H_2NOH$  gave II ( $X = NOH$ ). Lossen rearrangement of II ( $X = PhSO_3N$ ) gave I ( $n = 2$ ).  
 IC C07C101-04  
 CC 24-5 (Alicyclic Compounds)  
 IT 60142-95-2P 60142-96-3P 60142-97-4P 60142-99-6P  
 63562-03-8P 63562-10-7P 64744-49-6P 64924-38-5P

Dwayne Jones 10/735,561

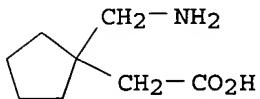
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 60142-99-6P 63562-10-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 60142-99-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



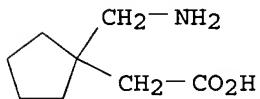
RN 63562-10-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-, benzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 60142-99-6

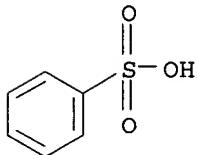
CMF C8 H15 N O2



CM 2

CRN 98-11-3

CMF C6 H6 O3 S



L15 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1979:474263 CAPLUS

DOCUMENT NUMBER: 91:74263

TITLE: N-Sulfonyloxy-1,1-cyclohexanediacetimides

INVENTOR(S): Hartenstein, Johannes; Satzinger, Gerhard

PATENT ASSIGNEE(S): Warner-Lambert Co., USA

SOURCE: U.S., 5 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

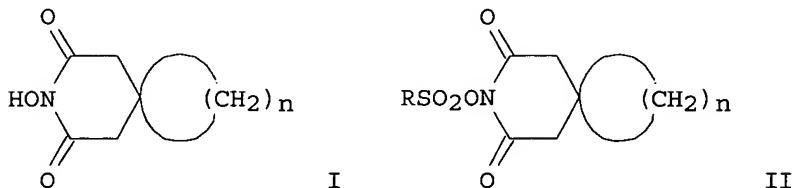
| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| US 4152326 | A    | 19790501 | US 1977-775336  | 19770307 |
| DE 2611690 | A1   | 19770922 | DE 1976-2611690 | 19760319 |
| DE 2611690 | C2   | 19920116 |                 |          |

## PRIORITY APPLN. INFO.:

MARPAT 91:74263

ED Entered STN: 12 May 1984

GI



AB 1,1-Cycloalkanediacetic anhydrides reacted with HONH<sub>2</sub> to yield the resp. cyclic imides I (n = 4, 5, 6), which were converted to N-sulfonyloxy derivs. II (R = Me, Et, camphoryl, Ph, naphthyl, alkyl-, halo-, or nitrophenyl, alkyl-, halo-, or nitronaphthyl). A mixture of 1,1-cyclohexanediacetic anhydride, HONH<sub>2</sub>.HCl, and Na<sub>2</sub>CO<sub>3</sub> was heated 2 h at 70° and worked up to give I (n = 5), which was treated with Na<sub>2</sub>CO<sub>3</sub> and PhSO<sub>2</sub>Cl at room temperature to yield II (R = Ph, n = 5; III). The Lossen rearrangement of III in NaOH at 100° gave 1-aminomethyl-1-cyclohexaneacetic acid benzenesulfonate.

IC C07D221-20; C07D211-94

INCL 546016000

CC 24-5 (Alicyclic Compounds)

Section cross-reference(s): 25

IT 60142-95-2P 60142-97-4P **63562-10-7P** 64744-49-6P  
 64744-50-9P 64744-51-0P 64924-38-5P 70928-55-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, by Lossen rearrangement)

IT **63562-10-7P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, by Lossen rearrangement)

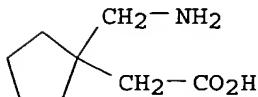
RN 63562-10-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-, benzenesulfonate (9CI) (CA INDEX NAME)

CM 1

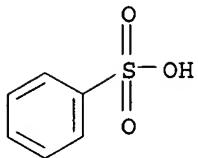
CRN 60142-99-6

CMF C8 H15 N O2



CM 2

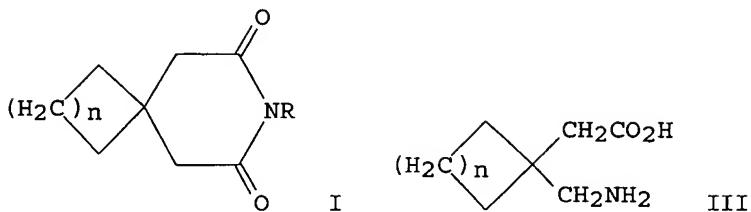
CRN 98-11-3  
 CMF C6 H6 O3 S



L15 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1977:600899 CAPLUS  
 DOCUMENT NUMBER: 87:200899  
 TITLE: Cyclic sulfonyloxyimides  
 INVENTOR(S): Hartenstein, Johannes; Satzinger, Gerhard  
 PATENT ASSIGNEE(S): Goedecke A.-G., Fed. Rep. Ger.  
 SOURCE: Ger. Offen., 19 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE       |
|------------------------|------|----------|-----------------|------------|
| DE 2611690             | A1   | 19770922 | DE 1976-2611690 | 19760319   |
| DE 2611690             | C2   | 19920116 |                 |            |
| US 4152326             | A    | 19790501 | US 1977-775336  | 19770307   |
| GB 1575709             | A    | 19800924 | GB 1977-11097   | 19770316   |
| BE 852591              | A1   | 19770919 | BE 1977-45936   | 19770317   |
| SE 7703018             | A    | 19770920 | SE 1977-3018    | 19770317   |
| SE 442013              | B    | 19851125 |                 |            |
| SE 442013              | C    | 19860306 |                 |            |
| FR 2344540             | A1   | 19771014 | FR 1977-7961    | 19770317   |
| FR 2344540             | B1   | 19810227 |                 |            |
| DK 7701200             | A    | 19770920 | DK 1977-1200    | 19770318   |
| DK 156826              | B    | 19891009 |                 |            |
| DK 156826              | C    | 19900312 |                 |            |
| NL 7703014             | A    | 19770921 | NL 1977-3014    | 19770318   |
| NL 186634              | B    | 19900816 |                 |            |
| NL 186634              | C    | 19910116 |                 |            |
| JP 52113977            | A2   | 19770924 | JP 1977-29345   | 19770318   |
| AU 7723414             | A1   | 19780921 | AU 1977-23414   | 19770318   |
| AU 513892              | B2   | 19810115 |                 |            |
| CH 635066              | A    | 19830315 | CH 1977-3437    | 19770318   |
| ES 457050              | A1   | 19780816 | ES 1977-457050  | 19770321   |
| DK 8200872             | A    | 19820226 | DK 1982-872     | 19820226   |
| DK 156770              | B    | 19891002 |                 |            |
| DK 156770              | C    | 19900319 |                 |            |
| SE 8205469             | A    | 19820924 | SE 1982-5469    | 19820924   |
| SE 454273              | B    | 19880418 |                 |            |
| SE 454273              | C    | 19880728 |                 |            |
| DK 8802146             | A    | 19880420 | DK 1988-2146    | 19880420   |
| DK 159680              | B    | 19901119 |                 |            |
| DK 159680              | C    | 19910422 |                 |            |
| PRIORITY APPLN. INFO.: |      |          | DE 1976-2611690 | A 19760319 |
|                        |      |          | DK 1977-1200    | A 19770318 |

ED      Entered STN:  12 May 1984  
GI



AB The cyclic imides I ( $R = \text{PhSO}_3$ ,  $\text{MeSO}_3$ ,  $4\text{-MeC}_6\text{H}_4\text{SO}_3$ ;  $n = 2, 3, 4$ ) (II) were prepared by the reaction of a 1,1-cycloalkanediacetic anhydride with  $\text{H}_2\text{NOH}\cdot\text{HCl}$  in aqueous  $\text{NaCO}_3$  to give I ( $R = \text{OH}$ ), which were treated with  $\text{MeSO}_2\text{Cl}$ ,  $4\text{-MeC}_6\text{H}_4\text{SO}_2\text{Cl}$  or  $\text{PhSO}_2\text{Cl}$ . Lossen degradation of II in aqueous  $\text{NaOH}$  gave the cycloalkaneacetic acids III ( $n$  as above) or their lactams.

TC  
the cycloalkanecarboxylic acids III (II as above) or their lactams.  
C9ZD221-29

CC 24-1 / Alias

CC 24-1 (Alicyclic Compounds)  
IT 60142 85 3B 63563 10 3B

IT 60142-95-2P 63562-10-7P 64744-42-9P 64744-44-1P  
64744-46-3P 64744-47-4P 64744-48-5P 64744-49-6P 64744-50-9P  
64744-51-0P 64924-38-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 63562-10-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

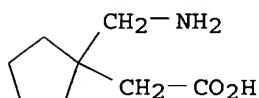
RN 63562-10-7 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-, benzenesulfonate (9CI) (CA INDEX NAME)

CM 1

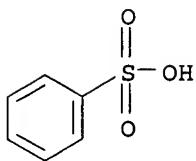
CRN 60142-99-6

CMF C8 H15 N O2



CM 2

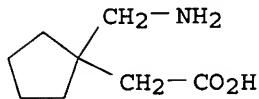
CRN 98-11-3  
CMF C6 H6 O3 S



L15 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1977:467910 CAPLUS  
 DOCUMENT NUMBER: 87:67910  
 TITLE: Cyclic amino acids  
 INVENTOR(S): Satzinger, Gerhard; Hartenstein, Johannes; Herrmann, Manfred; Heldt, Wolfgang  
 PATENT ASSIGNEE(S): Goedecke A.-G., Fed. Rep. Ger.  
 SOURCE: Ger. Offen., 14 pp. Addn. to Ger. Offen. 2,460,891.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

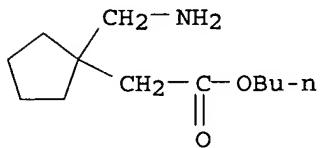
| PATENT NO.  | KIND  | DATE     | APPLICATION NO. | DATE     |
|---|---|----------|-----------------|----------|
| DE 2543821  | A1  | 19770414 | DE 1975-2543821 | 19751001 |
| DE 2543821  | C2  | 19841018 |                 |          |
| PRIORITY APPLN. INFO.:  |   |          |                 |          |
| ED Entered STN: 12 May 1984   |   |          |                 |          |
| GI For diagram(s), see printed CA Issue.  |   |          |                 |          |
| AB 1-(Aminomethyl)cycloalkaneacetic acids I (R = Na, NH <sub>4</sub> , n = 6; R = Na, Ca, n = 7), I.HCl (R = Me, Bu, n = 6; R = Me, n = 7), I.HO <sub>3</sub> SC <sub>6</sub> H <sub>4</sub> Me-p (R = Bu, n = 5, 7), and I.HO <sub>3</sub> SPh (R = H, n = 5) were prepared as antipyretics and narcosis-potentiating agents (no data). Thus, I (R = H, n = 6) (II) was treated with an equimolar amount of 1N NaOH to give I (R = Na, n = 6). II.HCl was esterified with MeOH and BuOH, resp., in the presence of HCl to give I.HCl (R = Me, Bu; n = 6). The azide of 1,1-cyclopentanediacetic acid underwent a Curtius reaction to give I.HCl (R = H, n = 5) which was treated with PhSO <sub>3</sub> H to give the corresponding I.HO <sub>3</sub> SPh. |   |          |                 |          |
| IC C07C101-18   |   |          |                 |          |
| CC 24-6 (Alicyclic Compounds)   |   |          |                 |          |
| IT 63562-09-4P  | Section cross-reference(s): 34, 63  |          |                 |          |
| IT 63562-09-4P  | RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)<br>(preparation and reaction of, with benzenesulfonic acid) |          |                 |          |
| IT 63562-11-8P  | RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)<br>(preparation and reaction of, with toluenesulfonic acid) |          |                 |          |
| IT 63562-00-5P 63562-01-6P 63562-02-7P 63562-04-9P 63562-05-0P<br>63562-06-1P 63562-08-3P 63562-10-7P 63562-13-0P<br>63562-14-1P  | RL: SPN (Synthetic preparation); PREP (Preparation)<br>(preparation of)   |          |                 |          |
| IT 63562-09-4P  | RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)<br>(preparation and reaction of, with benzenesulfonic acid) |          |                 |          |

RN 63562-09-4 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 63562-11-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
     (preparation and reaction of, with toluenesulfonic acid)  
 RN 63562-11-8 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-, butyl ester, hydrochloride (9CI) (CA INDEX NAME)

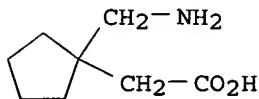


● HCl

IT 63562-10-7P 63562-13-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
     (preparation of)  
 RN 63562-10-7 CAPLUS  
 CN Cyclopentaneacetic acid, 1-(aminomethyl)-, benzenesulfonate (9CI) (CA INDEX NAME)

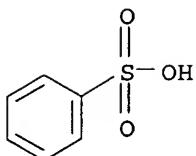
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CM 2

CRN 98-11-3  
 CMF C6 H6 O3 S



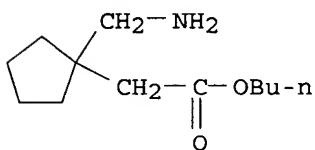
RN 63562-13-0 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)-, butyl ester,  
4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 63562-12-9

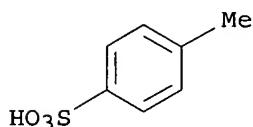
CMF C12 H23 N O2



CM 2

CRN 104-15-4

CMF C7 H8 O3 S



L15 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1976:494679 CAPLUS

DOCUMENT NUMBER: 85:94679

TITLE: Cyclic amino acids

INVENTOR(S): Satzinger, Gerhard; Hartenstein, Johannes; Herrmann, Manfred; Heldt, Wolfgang

PATENT ASSIGNEE(S): Goedecke A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 16 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| DE 2460891 | A1   | 19760701 | DE 1974-2460891 | 19741221 |
| DE 2460891 | C2   | 19820923 |                 |          |

|                        |    |          |                 |            |
|------------------------|----|----------|-----------------|------------|
| GB 1465229             | A  | 19770223 | GB 1975-51193   | 19751215   |
| BE 836835              | A1 | 19760618 | BE 1975-6045299 | 19751218   |
| FR 2294697             | A1 | 19760716 | FR 1975-38818   | 19751218   |
| CH 612664              | A  | 19790815 | CH 1975-16451   | 19751218   |
| CH 612665              | A  | 19790815 | CH 1978-4307    | 19751218   |
| CH 612666              | A  | 19790815 | CH 1978-4308    | 19751218   |
| DK 7505814             | A  | 19760122 | DK 1975-5814    | 19751219   |
| DK 147706              | B  | 19841119 |                 |            |
| DK 147706              | C  | 19850513 |                 |            |
| FI 7503613             | A  | 19760622 | FI 1975-3613    | 19751219   |
| FI 62282               | B  | 19820831 |                 |            |
| FI 62282               | C  | 19821210 |                 |            |
| SE 7514442             | A  | 19760622 | SE 1975-14442   | 19751219   |
| SE 423385              | B  | 19820503 |                 |            |
| SE 423385              | C  | 19820812 |                 |            |
| ES 443723              | A1 | 19770416 | ES 1975-443723  | 19751219   |
| AU 7587741             | A1 | 19770623 | AU 1975-87741   | 19751219   |
| CA 1052811             | A1 | 19790417 | CA 1975-242147  | 19751219   |
| NL 7514900             | A  | 19760623 | NL 1975-14900   | 19751220   |
| NL 181006              | B  | 19870102 |                 |            |
| NL 181006              | C  | 19870601 |                 |            |
| JP 51088940            | A2 | 19760804 | JP 1975-153194  | 19751222   |
| AT 7509750             | A  | 19770515 | AT 1975-9750    | 19751222   |
| AT 340892              | B  | 19780110 |                 |            |
| US 4024175             | A  | 19770517 | US 1975-645724  | 19751231   |
| PRIORITY APPLN. INFO.: |    |          | DE 1974-2460891 | A 19741221 |

OTHER SOURCE(S): MARPAT 85:94679

ED Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

AB I ( $n = 4, 5, 6$ ), which induce hypothermia and are useful central nervous system depressants (no data), were prepared from the corresponding 1,1-cycloalkanediacetic acid monomethyl ester. Thus, 1,1-cyclohexanediacetic acid monomethyl ester reacted with  $\text{ClCO}_2\text{Et}$  in  $\text{Me}_2\text{CO}$  containing  $\text{Et}_3\text{N}$  and  $\text{NaN}_3$  in  $\text{H}_2\text{O}$  to give Me 1-(isocyanatomethyl)-1-cyclohexaneacetate which was refluxed with 20% HCl for 3 hr to give I ( $n = 5$ ).

IC C07C101-04

CC 34-2 (Synthesis of Amino Acids, Peptides, and Proteins)  
Section cross-reference(s): 24, 63

IT 60142-95-2P 60142-96-3P 60142-97-4P 60142-99-6P  
60175-04-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 60142-99-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 60142-99-6 CAPLUS

CN Cyclopentaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)

